Mesage from Ms. Hensle:

Dear Examiner Gudibande,

Your search results have now posted.

****
Please note: 5 of 18 residues in Seq. ID 12 were "X", or undefined, in our standard system. OUr system cannot search X. You may want to resubmit your results for searching with definitions.

****
=> d his ful

```
     (FILE 'HOME' ENTERED AT 12:48:53 ON 12 JAN 2006)

     FILE 'CASREACT' ENTERED AT 12:48:58 ON 12 JAN 2006
                E US2003-672585/APPS
                E US97-612965/APPS
                E US2001-953187/APPS
L1            73 SEA ABB=ON  PLU=ON  GOSSELIN?/AU
L2            90 SEA ABB=ON  PLU=ON  IMBACH?/AU
L3            23 SEA ABB=ON  PLU=ON  L1 AND L2
L4            33 SEA ABB=ON  PLU=ON  AUBERTIN?/AU
L5             4 SEA ABB=ON  PLU=ON  L3 AND L4
L6             0 SEA ABB=ON  PLU=ON  SOMMMADOSSI?/AU
L7             6 SEA ABB=ON  PLU=ON  SOMMADOSSI?/AU
L8             0 SEA ABB=ON  PLU=ON  L5 AND L7
L9            63 SEA ABB=ON  PLU=ON  SCHINAZI?/AU
L10            0 SEA ABB=ON  PLU=ON  L9 AND L5
                D SCA TI L5
L11            4 SEA ABB=ON  PLU=ON  L3 AND DEOXY?/TI
                D SCA TI

     FILE 'HCAPLUS' ENTERED AT 12:52:12 ON 12 JAN 2006
                E US2003-672585/APPS
L12            1 SEA ABB=ON  PLU=ON  US2003-672585/AP
                SEL RN

     FILE 'REGISTRY' ENTERED AT 12:52:31 ON 12 JAN 2006
L13           21 SEA ABB=ON  PLU=ON  (121154-51-6/BI OR 147058-39-7/BI OR
                153547-97-8/BI OR 153547-98-9/BI OR 154463-66-8/BI OR 154568-78
                -2/BI OR 154568-85-1/BI OR 169316-97-6/BI OR 169316-98-7/BI OR
                169316-99-8/BI OR 169317-00-4/BI OR 169317-01-5/BI OR 169527-96
                -2/BI OR 169527-97-3/BI OR 169527-98-4/BI OR 169527-99-5/BI OR
                169528-00-1/BI OR 169528-01-2/BI OR 609-06-3/BI OR 66-22-8/BI
                OR 77180-89-3/BI)

     FILE 'HCAPLUS' ENTERED AT 12:52:34 ON 12 JAN 2006
L14            1 SEA ABB=ON  PLU=ON  L12 AND L13
                D IALL HITSTR

     FILE 'LREGISTRY' ENTERED AT 12:53:31 ON 12 JAN 2006
L15                STR
L16                STR L15

     FILE 'CASREACT' ENTERED AT 12:58:30 ON 12 JAN 2006
L17           10 SEA SSS SAM L15 (    58 REACTIONS)
L18          204 SEA SSS FUL L15 (   821 REACTIONS)
```

```
L19             STR L15
L20          23 SEA SSS SAM L19 (   176 REACTIONS)
L21         462 SEA SSS FUL L19 (  4466 REACTIONS)
L22         122 SEA ABB=ON  PLU=ON  L18 AND L21
L23             STR
L24          41 SEA SSS SAM L23 (   410 REACTIONS)
L*** DEL    462 S L21 FUL
L*** DEL    122 S L25 AND L22
                D CRD
                D QUE L25
                DIS
L25         964 SEA SSS FUL L23 (  6936 REACTIONS)
L26          23 SEA ABB=ON  PLU=ON  L25 AND L22
                D CRD
                D HIT
                D FHIT
L27         204 SEA ABB=ON  PLU=ON  L18(L)1/NS
                D FHIT
                D COST

     FILE 'REGISTRY' ENTERED AT 13:30:58 ON 12 JAN 2006
                D L23
L28             STR L23
L29          50 SEA SSS SAM L28
L30        8640 SEA SSS FUL L28
L31             STR L15
L32          50 SEA SSS SAM L31
L33        2005 SEA SSS FUL L31
L34             STR L15
L35          50 SEA SSS SAM L34
L36        8412 SEA SSS FUL L34

     FILE 'HCAPLUS' ENTERED AT 13:33:40 ON 12 JAN 2006
L37        4128 SEA ABB=ON  PLU=ON  L30(L)PREP+ALL/RL
L38        2094 SEA ABB=ON  PLU=ON  L33(L)RACT+ALL/RL
L39        2288 SEA ABB=ON  PLU=ON  L36(L)RACT+ALL/RL
L40        2760 SEA ABB=ON  PLU=ON  L36(L)PREP+ALL/RL
L41         113 SEA ABB=ON  PLU=ON  L37 AND L38 AND L39 AND L40
L42           1 SEA ABB=ON  PLU=ON  L41 AND L12
                D IBIB HITSTR
L43          83 SEA ABB=ON  PLU=ON  L41 AND PY<2000
L44           1 SEA ABB=ON  PLU=ON  L42 AND L43
                D HITSTR L43

     FILE 'CASREACT' ENTERED AT 13:39:35 ON 12 JAN 2006
                D HIT L18
                D HIT L21
L45             STR L23

     FILE 'HCAPLUS' ENTERED AT 13:43:14 ON 12 JAN 2006
L46          95 SEA ABB=ON  PLU=ON  L26 OR L43

     FILE 'CASREACT' ENTERED AT 13:43:38 ON 12 JAN 2006
L47          13 SEA ABB=ON  PLU=ON  L26 AND PY<2000

     FILE 'HCAPLUS' ENTERED AT 13:44:34 ON 12 JAN 2006
L48          72 SEA ABB=ON  PLU=ON  L43 NOT L47

     FILE 'CASREACT' ENTERED AT 13:53:22 ON 12 JAN 2006
L49             STR
```

```
L50             1 SEA SSS SAM L49 (     5 REACTIONS)
L51            38 SEA SSS FUL L49 (   146 REACTIONS)
L52            18 SEA ABB=ON  PLU=ON  L51 AND PY<2000

     FILE 'REGISTRY' ENTERED AT 13:57:02 ON 12 JAN 2006
L53               STR L49
L54            50 SEA SSS SAM L53
L55          1313 SEA SSS FUL L53
                  D QUE L33

     FILE 'HCAPLUS' ENTERED AT 13:58:53 ON 12 JAN 2006
L56           925 SEA ABB=ON  PLU=ON  L33(L)PREP+ALL/RL
L57           877 SEA ABB=ON  PLU=ON  L55(L)RACT+ALL/RL
L58           176 SEA ABB=ON  PLU=ON  L56 AND L57
                  D QUE
L59            14 SEA ABB=ON  PLU=ON  L58 AND L48
L60             0 SEA ABB=ON  PLU=ON  L12 AND L59
L61             0 SEA ABB=ON  PLU=ON  L12 AND L58
                  D L31
                  D L28
                  DIS

     FILE 'REGISTRY' ENTERED AT 14:04:08 ON 12 JAN 2006
L62               STR L28
L63            50 SEA SSS SAM L62
L64          7651 SEA SSS FUL L62
L65         23422 SEA ABB=ON  PLU=ON  "B-L"
L66           702 SEA ABB=ON  PLU=ON  L65 AND "PENTOFURANOSYL"
L67            84 SEA ABB=ON  PLU=ON  L64 AND L66
L68             2 SEA ABB=ON  PLU=ON  L67 AND L13

     FILE 'HCAPLUS' ENTERED AT 14:08:47 ON 12 JAN 2006
L69           158 SEA ABB=ON  PLU=ON  L67
L70            72 SEA ABB=ON  PLU=ON  L69 AND PY<2000


     FILE HOME

     FILE CASREACT
     Copyright of the articles to which records in this database refer is
     held by the publishers listed in the PUBLISHER (PB) field (available
     for records published or updated in Chemical Abstracts after December
     26, 1996), unless otherwise indicated in the original publications.

     FILE CONTENT:1840 - 8 Jan 2006 VOL 144 ISS 2

     New CAS Information Use Policies, enter HELP USAGETERMS for details.

     ************************************************************
     *                                                          *
     *     CASREACT now has more than 10 million reactions      *
     *                                                          *
     ************************************************************

     Some CASREACT records are derived from the ZIC/VINITI database (1974-1991)
     provided by InfoChem, INPI data prior to 1986, and Biotransformations
     database compiled under the direction of Professor Dr. Klaus Kieslich.

     This file contains CAS Registry Numbers for easy and accurate substance
     identification.
```

FILE HCAPLUS

FILE COVERS 1907 - 12 Jan 2006 VOL 144 ISS 3
FILE LAST UPDATED: 11 Jan 2006 (20060111/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

FILE REGISTRY
Property values tagged with IC are from the ZIC/VINITI data file provided by InfoChem.

STRUCTURE FILE UPDATES: 11 JAN 2006 HIGHEST RN 871792-80-2
DICTIONARY FILE UPDATES: 11 JAN 2006 HIGHEST RN 871792-80-2

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2005

Please note that search-term pricing does apply when conducting SmartSELECT searches.

```
**********************************************************************
*                                                                    *
* The CA roles and document type information have been removed from *
* the IDE default display format and the ED field has been added,    *
* effective March 20, 2005.  A new display format, IDERL, is now     *
* available and contains the CA role and document type information.  *
*                                                                    *
**********************************************************************
```

Structure search iteration limits have been increased. See HELP SLIMITS for details.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

FILE LREGISTRY
LREGISTRY IS A STATIC LEARNING FILE

NEW CAS INFORMATION USE POLICIES, ENTER HELP USAGETERMS FOR DETAILS.

=> fil casreact

FILE 'CASREACT' ENTERED AT 14:09:33 ON 12 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR CUSTOMER AGREEMENT

FILE CONTENT:1840 - 8 Jan 2006 VOL 144 ISS 2

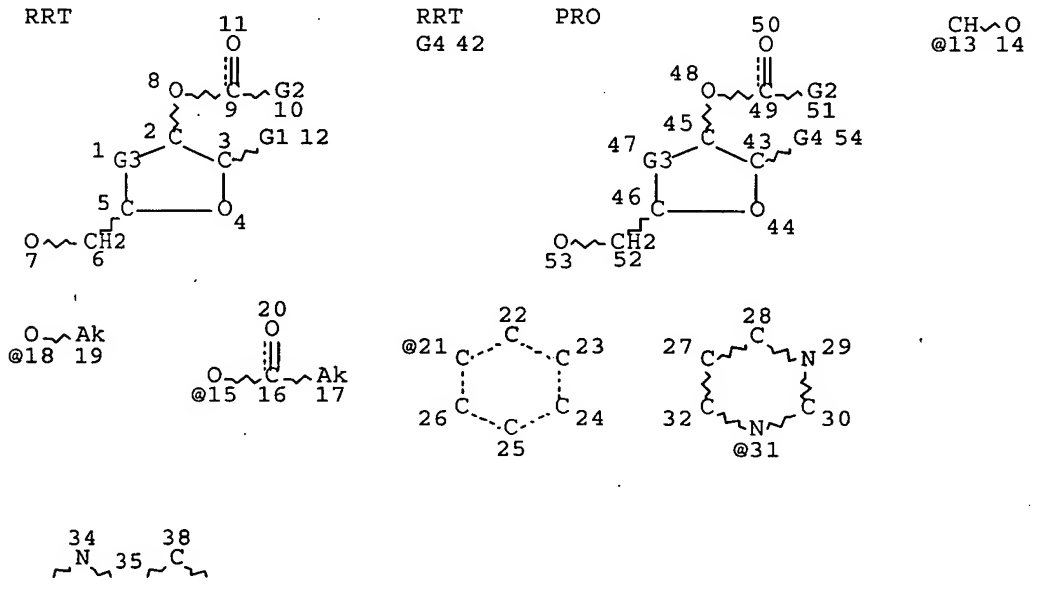
New CAS Information Use Policies, enter HELP USAGETERMS for details.

****
*
* CASREACT now has more than 10 million reactions
*
****

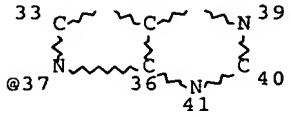
Some CASREACT records are derived from the ZIC/VINITI database (1974-1991) provided by InfoChem, INPI data prior to 1986, and Biotransformations database compiled under the direction of Professor Dr. Klaus Kieslich.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que stat l47
L15 STR



Page 1-A

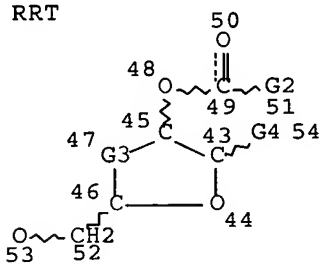


Page 2-A
VAR G1=X/18/15
VAR G2=AK/21
VAR G3=CH2/13
VAR G4=31/37
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 54

STEREO ATTRIBUTES: NONE
L18 204 SEA FILE=CASREACT SSS FUL L15 (821 REACTIONS)
L19 STR

RRT



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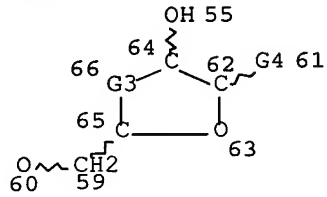

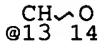

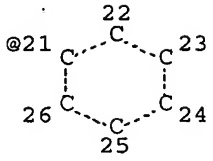

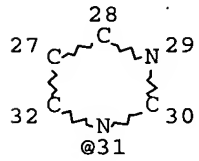

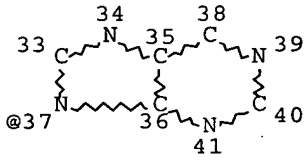

VAR G2=AK/21
VAR G3=CH2/13
VAR G4=31/37
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

STEREO ATTRIBUTES: NONE
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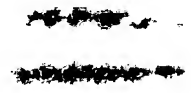
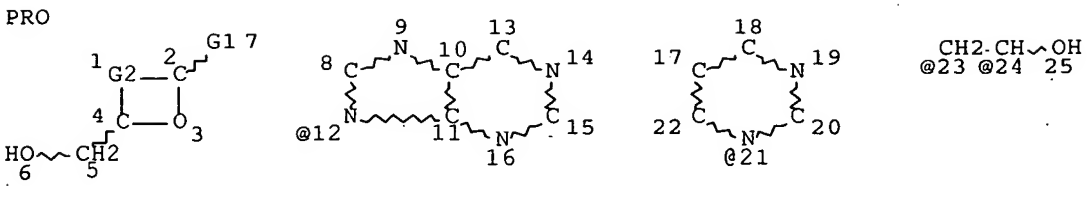
L22 122 SEA FILE=CASREACT ABB=ON PLU=ON L18 AND L21
L23 STR





VAR G1=12/21
VAR G2=26-4 27-2/23-4 24-2/24-4 23-2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

STEREO ATTRIBUTES: NONE
L25 964 SEA FILE=CASREACT SSS FUL L23 (6936 REACTIONS)
L26 23 SEA FILE=CASREACT ABB=ON PLU=ON L25 AND L22
L47 13 SEA FILE=CASREACT ABB=ON PLU=ON L26 AND PY<2000

=> d l47 ibib abs crd 1-13

L47 ANSWER 1 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 132:322075 CASREACT Full-text
TITLE: Preparation of thymidine
INVENTOR(S): Li, Ke; Liu, Chaomei; Li, Qisheng
PATENT ASSIGNEE(S): No.2 Military Medical Univ., PLA, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 11 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

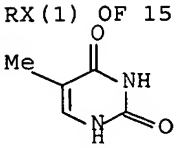
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1216766	A	19990519	CN 1998-121933	19981006
CN 1055293	B	20000809		

PRIORITY APPLN. INFO.: CN 1998-121933 19981006

AB The process comprises condensation of protected or free thymine with D-ribofuranose tetraacetate in the presence of catalyst and in solvent to obtain 2',3',5'-O-triacetyl-5-methyluridine, deacetylating with base in C1-4 alc. and/or water at -10 to 100° for 10-30 min, substituting with acylating-halogenating agent at 100-150° for 0.5-5 h to obtain 2'-halo-2'-deoxy-3',5'-O-acyl-5-methyluridine, hydrogenating in the presence of catalyst at pH 5.5-8.5 for 15-50 h, saponifying with base at -10 to 100° for 10-30 h, and refining with C1-4 alc. and/or water in the presence of B-compound at -30 to 100°. The protected thymine is prepared by the reaction of thymine with hexamethyldisilylamine or halotrimethylsilane in the presence of inorg. salt

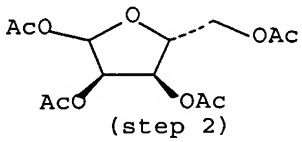
at 80-150° for 0.5-5 h. The condensation catalyst is selected from Sn halide, Ti halide, and Al halide; the base from inorg. base, Na C1-4 alkoxide, C1-4 amino-alc., and NH4OH; the acylating-halogenating agent from C2-6 fatty acyl halide; and the hydrogenation catalyst from Raney Ni, Pd/C, Pd/BaSO4, Pd/CaCO3, and PdCl2, etc.

RX(1) OF 15



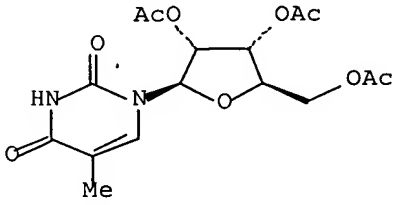
(step 1)

+

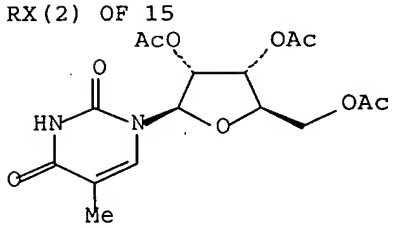


(step 2)

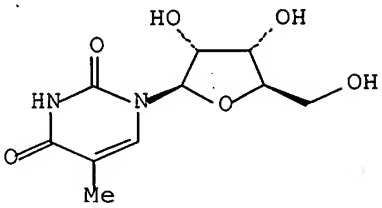
1. (NH4)2SO4, (Me3Si)2NH
2. SnCl4, CH2Cl2



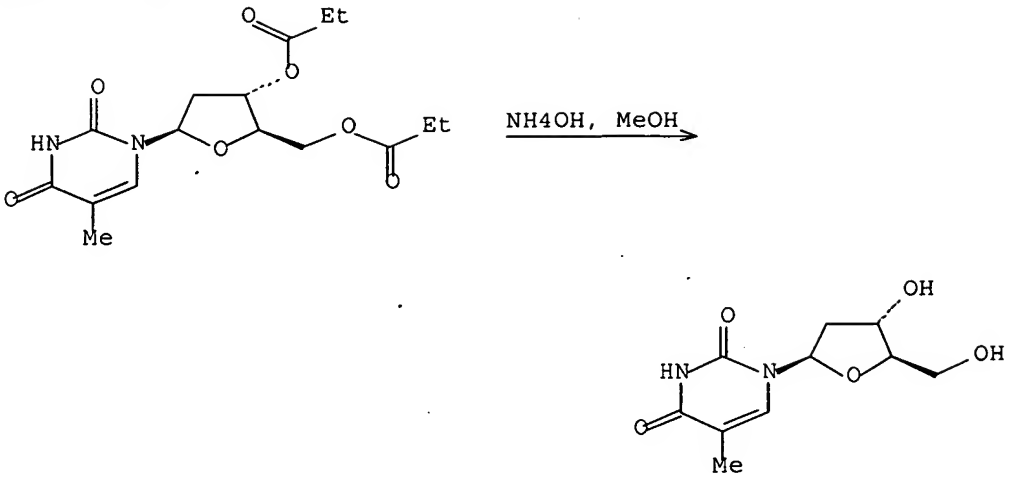
RX(2) OF 15



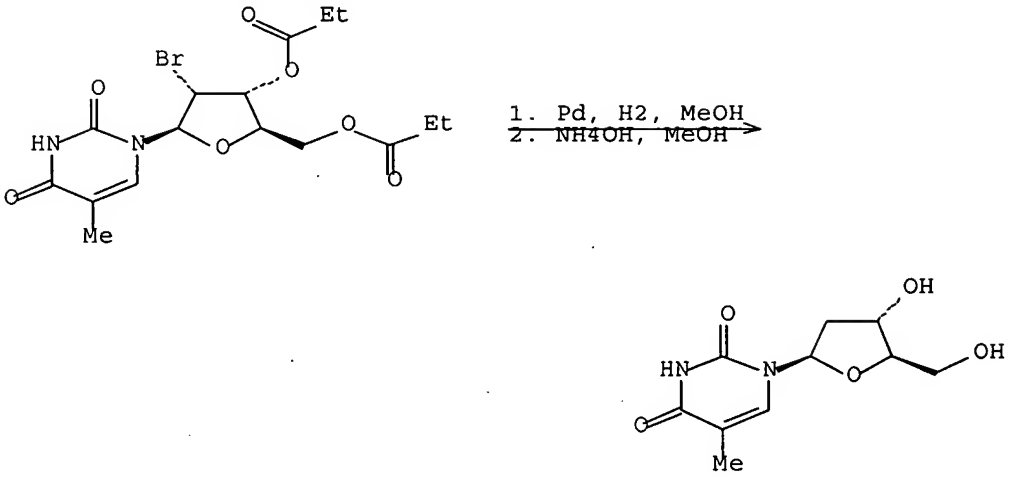
NH4OH, MeOH



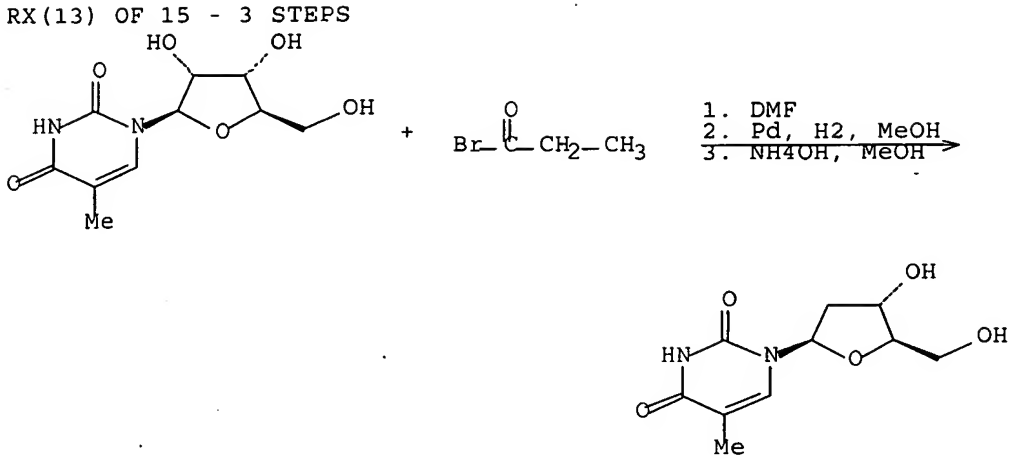
RX(5) OF 15



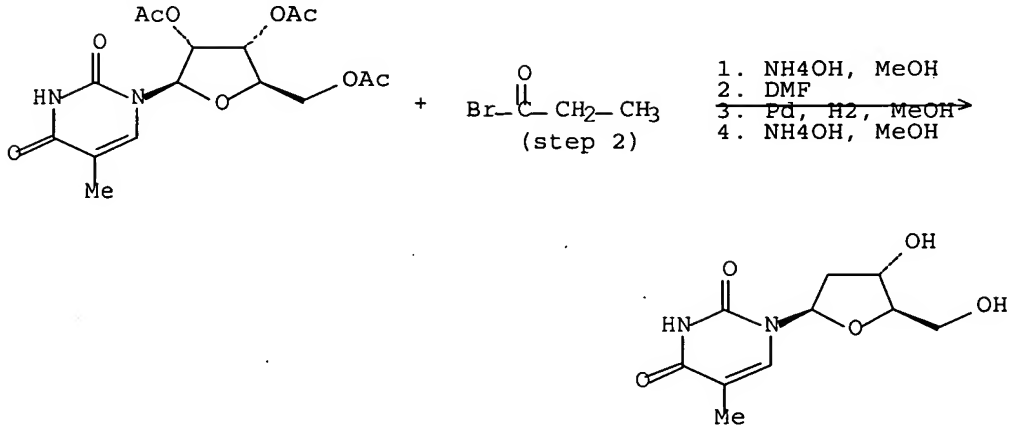
RX(9) OF 15 - 2 STEPS



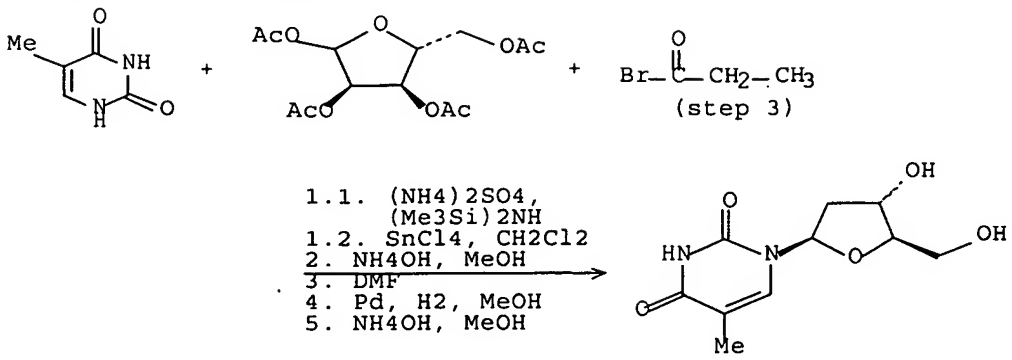
RX(13) OF 15 - 3 STEPS



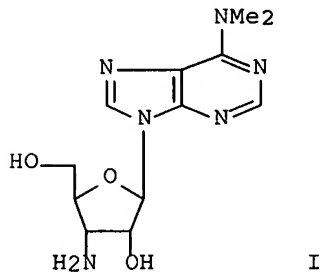
RX(14) OF 15 - 4 STEPS



RX(15) OF 15 - 5 STEPS



L47 ANSWER 2 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 125:115042 CASREACT Full-text
TITLE: Asymmetric Synthesis of Nucleosides via Molybdenum-Catalyzed Alkynol Cycloisomerization Coupled with Stereoselective Glycosylations of Deoxyfuranose Glycals and 3-Amidofuranose Glycals
AUTHOR(S): McDonald, Frank E.; Gleason, Mark M.
CORPORATE SOURCE: Department of Chemistry, Northwestern University, Evanston, IL, 60208-3113, USA
SOURCE: Journal of the American Chemical Society (*1996*), 118(28), 6648-6659
CODEN: JACSAT; ISSN: 0002-7863
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

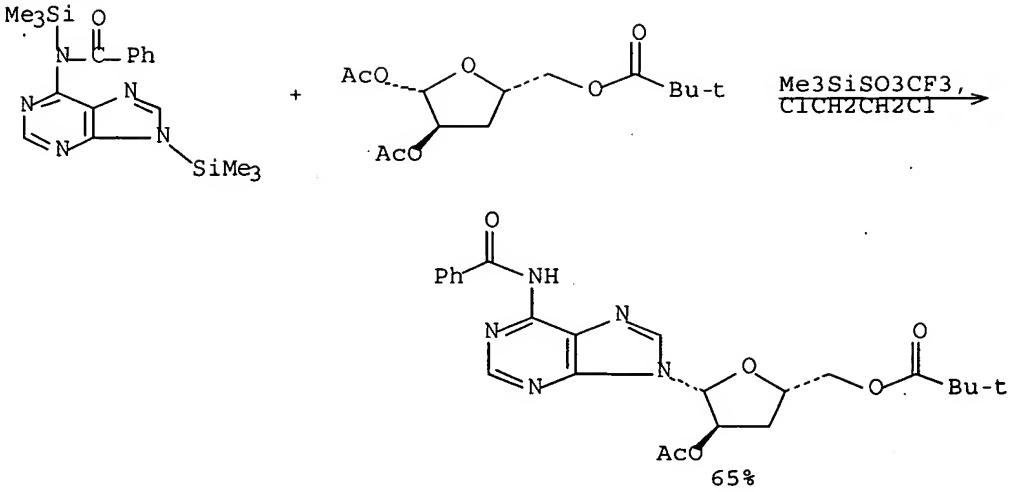


I

AB Deoxygenated furanose glycals were efficiently prepared by molybdenum pentacarbonyl-catalyzed cycloisomerization of alkynyl alcs., which were easily prepared in chiral nonracemic form by short synthetic sequences featuring asym. epoxidns. of com. available allylic alcs. The cycloisomerization reaction was demonstrated to be compatible with ester and amide functional groups. A 2,3-dideoxyfuranose glycal was stereoselectively converted into the anti-AIDS β-nucleoside stavudine (2',3'-didehydro-2',3'-dideoxythymidine, d4T) and the antiviral 3'-deoxy-β-nucleoside cordycepin. The anchimeric and hydrogen-bond-directing effects of 3-amido-2,3-dideoxyfuranose glycals were exploited in a novel and highly stereoselective synthesis strategy for a variety of biol. active 3'-amino-2',3'-dideoxy- and 3'-amino-3'-deoxy-β-nucleosides, including puromycin aminonucleoside I. In addition, the mechanism of the molybdenum-catalyzed alkynol cycloisomerization reaction has been studied. Evidence is presented which indicates that cyclic molybdenum carbene anions are catalytic intermediates in these cyclizations.

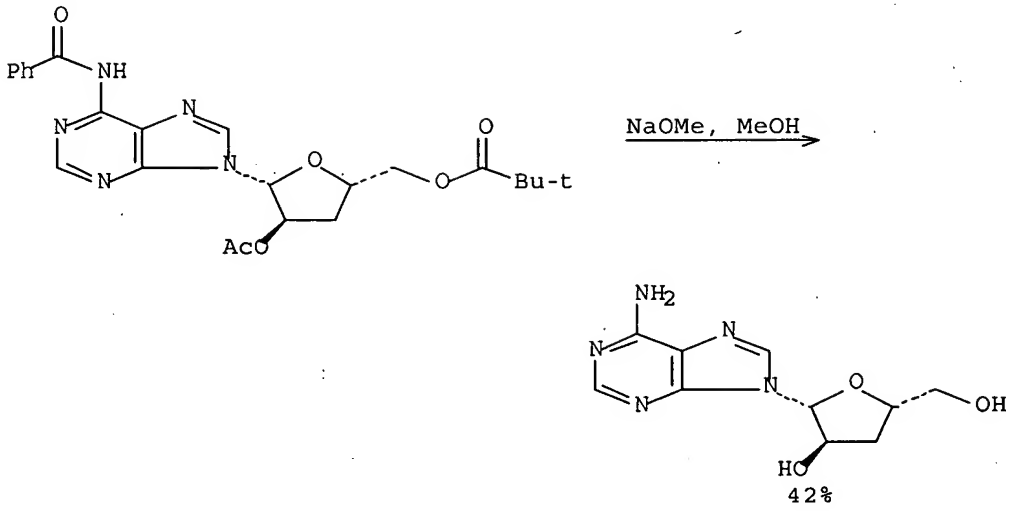
[redacted]

RX(3) OF 10

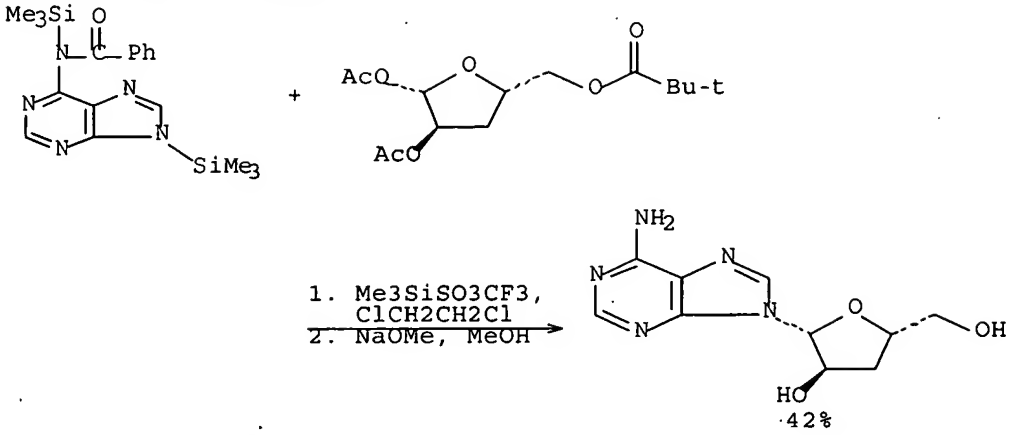


NOTE: stereoselective

RX(4) OF 10

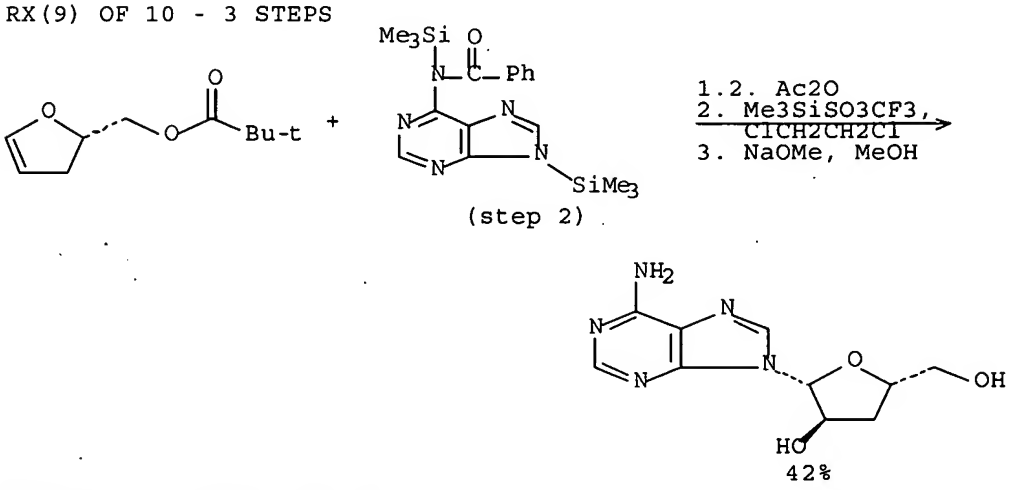


RX(7) OF 10 - 2 STEPS



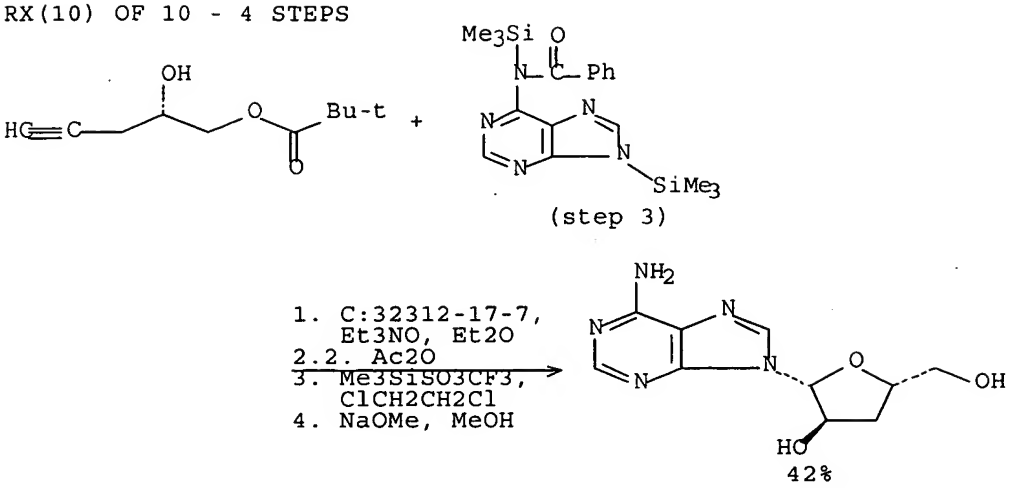
NOTE: 1) stereoselective

RX(9) OF 10 - 3 STEPS



NOTE: 2) stereoselective

RX(10) OF 10 - 4 STEPS

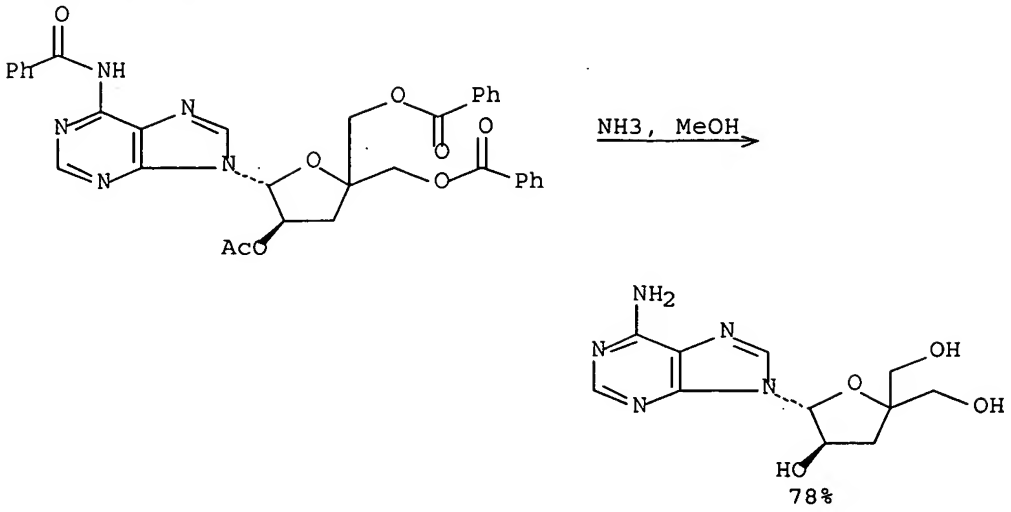


NOTE: 1) key step, 3) stereoselective

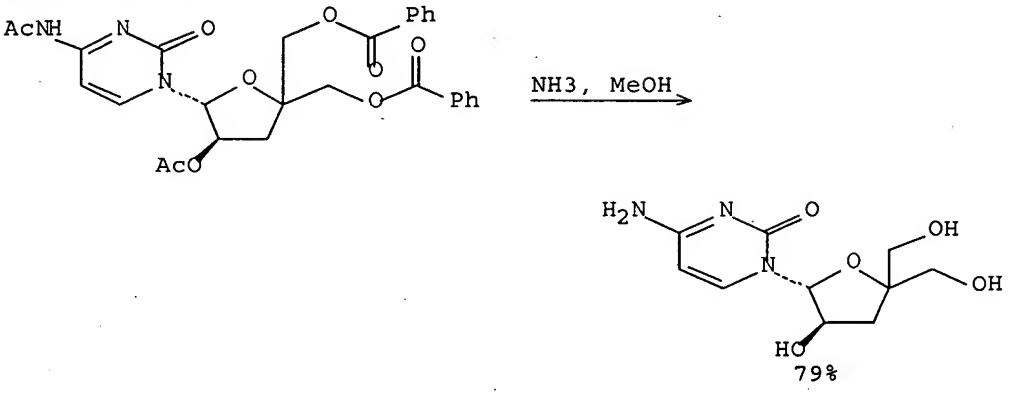
L47 ANSWER 3 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:315018 CASREACT Full-text
TITLE: Novel 4'-branched nucleosides
AUTHOR(S): Surzhykov, Sergey A.; Krayevsky, Alexander A.
CORPORATE SOURCE: Engelhardt Inst. of Molecular Biology, Russian Academy of Sciences, Moscow, 117984, Russia
SOURCE: Nucleosides & Nucleotides (*1994*), 13(10), 2283-305
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Total chemical synthesis of 4'-hydroxymethylnucleosides with an addnl. modification in a sugar residue was developed. The synthesis was made by condensation of corresponding protected sugars and nucleic bases with subsequent deprotection. In such a way 3'-azido- and 3'-amino-3'-deoxy-4'-hydroxymethylribonucleosides, 2',3'-anhydroribo- and 2',3'-anhydrolyxo-4'-hydroxymethylribonucleosides as well as 3'-deoxy-4'-hydroxymethylribonucleosides were prepared At concns. up to 100 μM none of them inhibited reproduction of human immunodeficiency virus type 1 in H9 and PBL cells as well as human herpes simplex virus type 2 and human cytomegalovirus in vero cells.

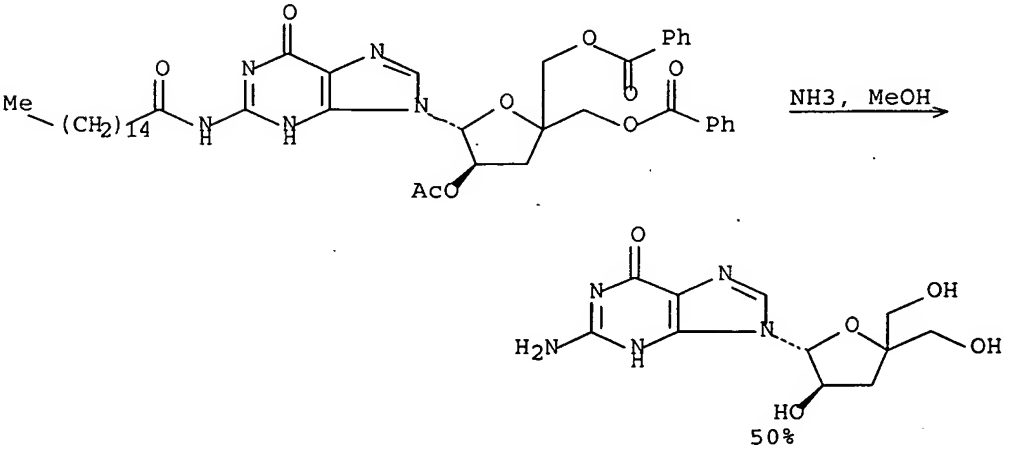
RX(11) OF 188



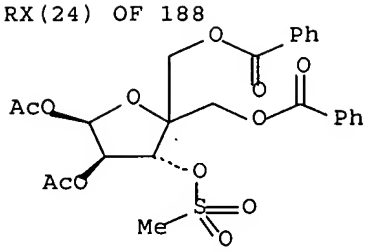
RX(12) OF 188



RX(13) OF 188

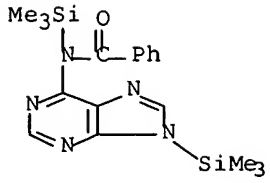


RX(24) OF 188



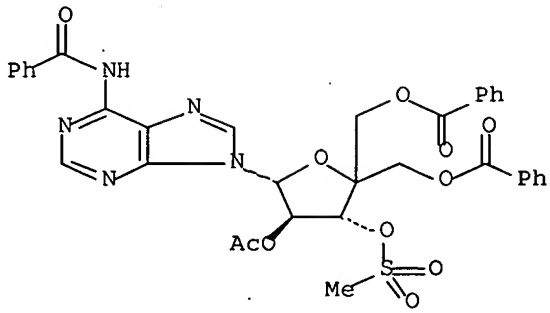
stereoisomers

+



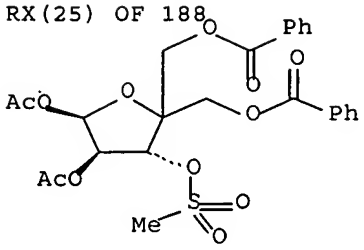
SnCl4, MeCHCl2 →

RX(24) OF 188



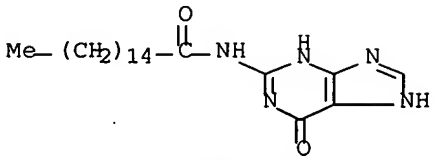
71%

RX(25) OF 188



(step 2)
stereoisomers

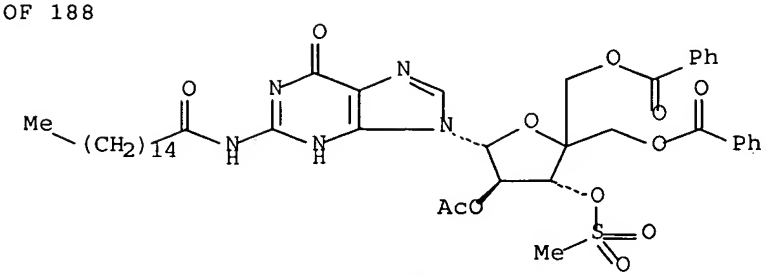
+



(step 1)

1. Me3SiCl, (Me3Si)2NH
2. Me3SiSO3CF3, MeCHCl2 →

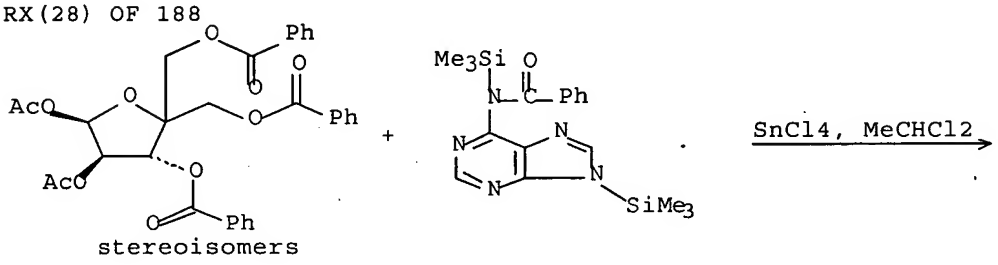
RX(25) OF 188



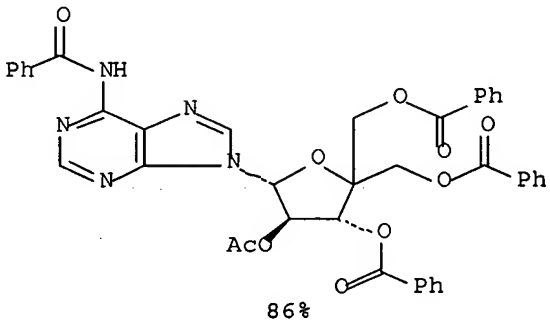
58%

NOTE: other product(s) also detected, N7-isomer is also formed

RX(28) OF 188

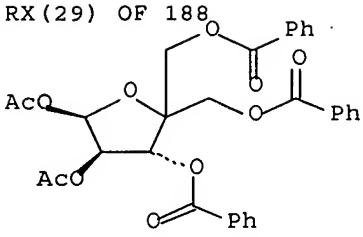


RX(28) OF 188



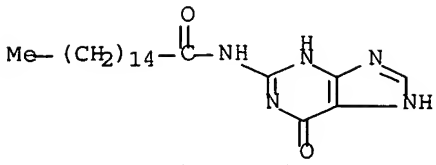
86%

RX(29) OF 188



(step 2)
stereoisomers

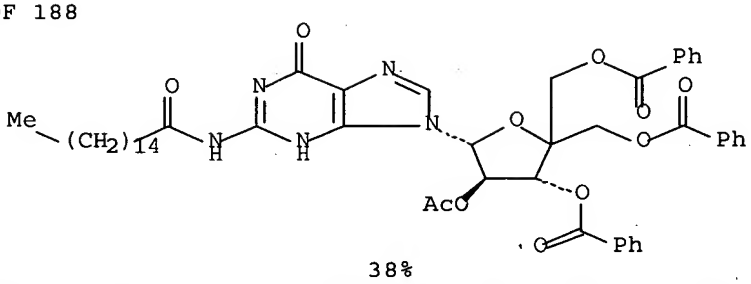
+



(step 1)

1. Me3SiCl, (Me3Si)2NH
2. Me3SiSO3CF3, MeCN

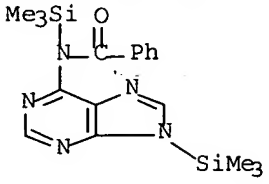
RX(29) OF 188



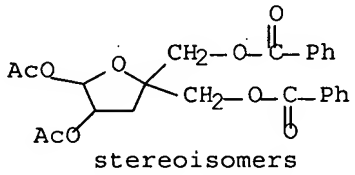
38%

NOTE: other product(s) also detected, N7-isomer is also formed

RX(38) OF 188

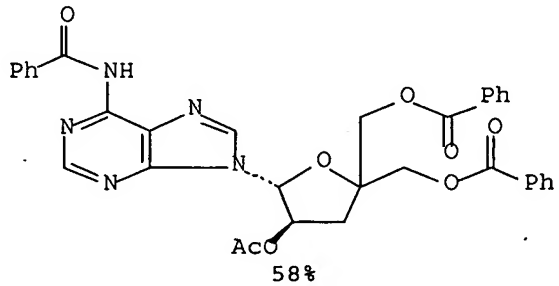


+



stereoisomers

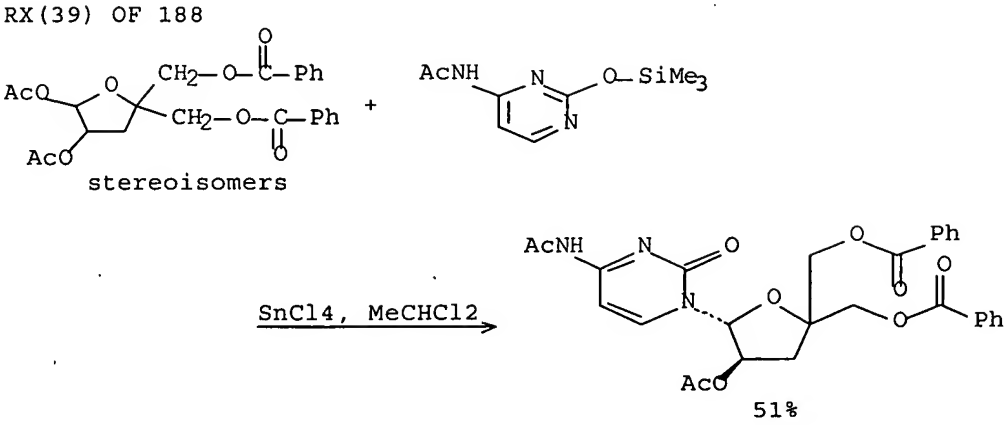
SnCl4, MeCHCl2



58%

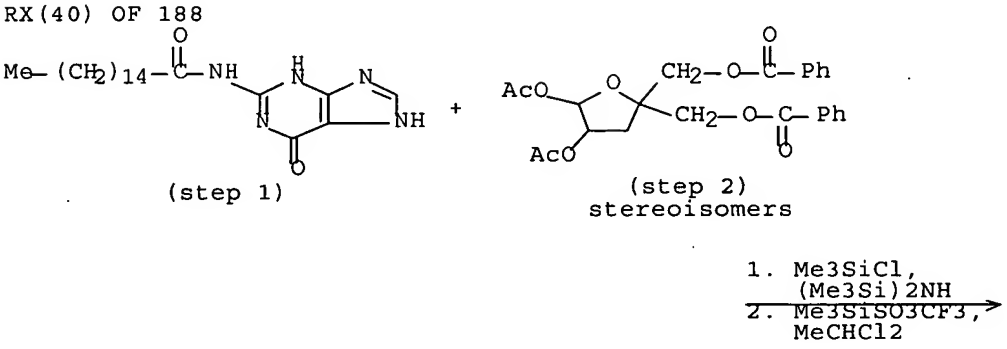
NOTE: stereoselective

RX(39) OF 188

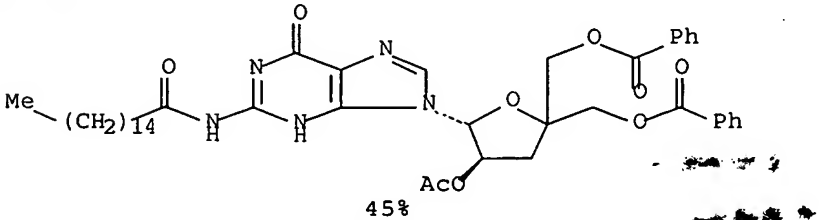


NOTE: stereoselective

RX(40) OF 188

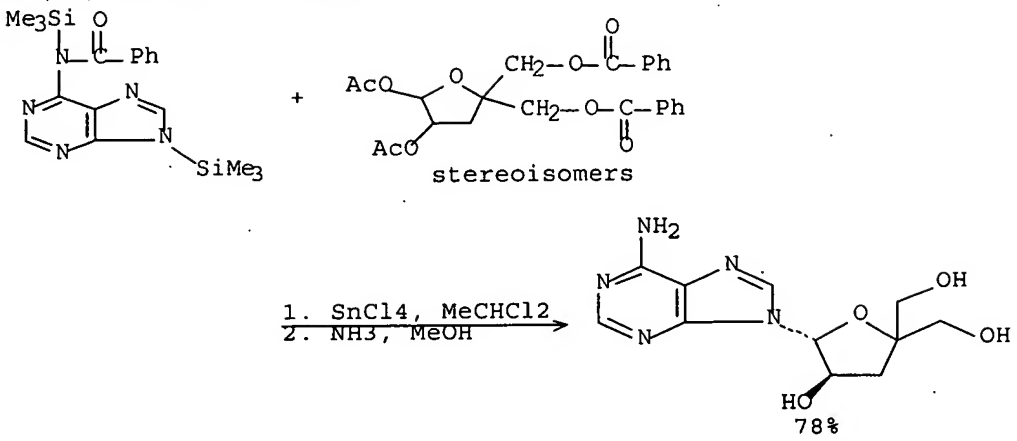


RX(40) OF 188



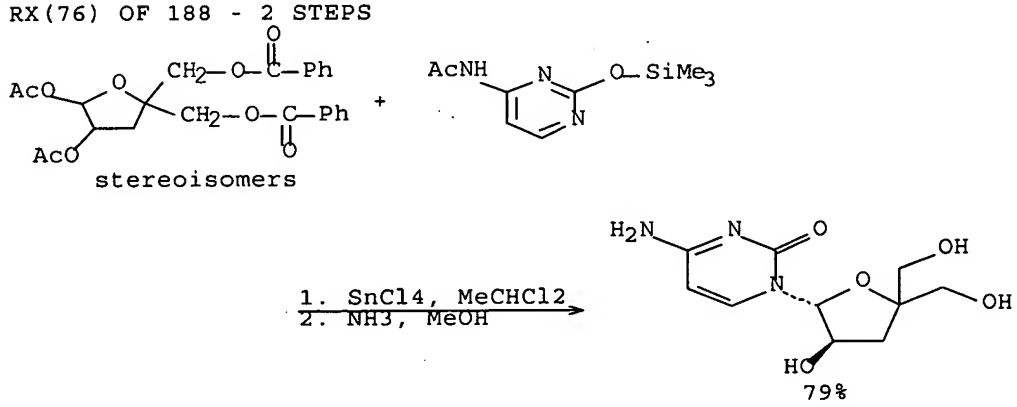
NOTE: other product(s) also detected, N7-isomer is also formed

RX(75) OF 188 - 2 STEPS



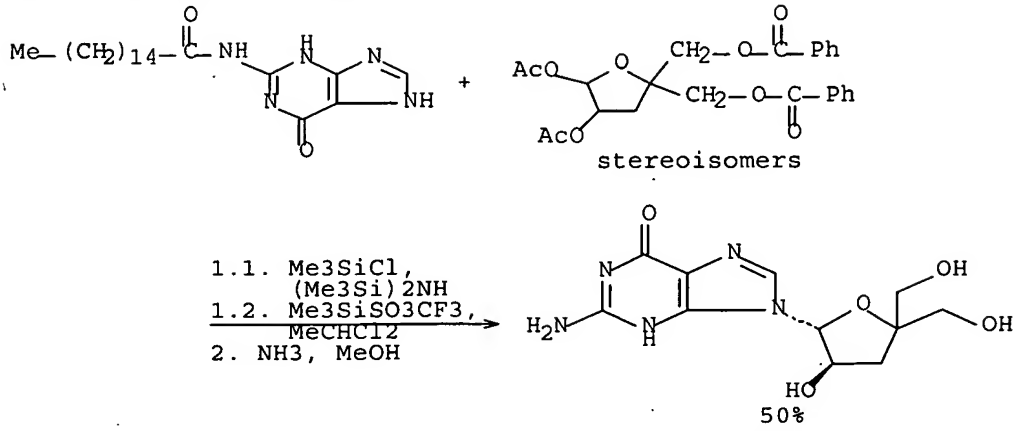
NOTE: 1) stereoselective

RX(76) OF 188 - 2 STEPS



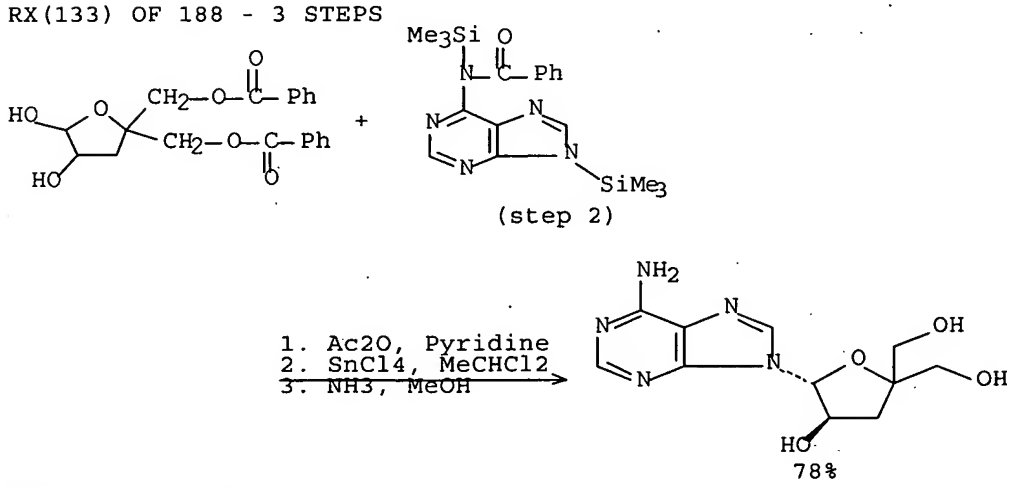
NOTE: 1) stereoselective

RX(77) OF 188 - 2 STEPS



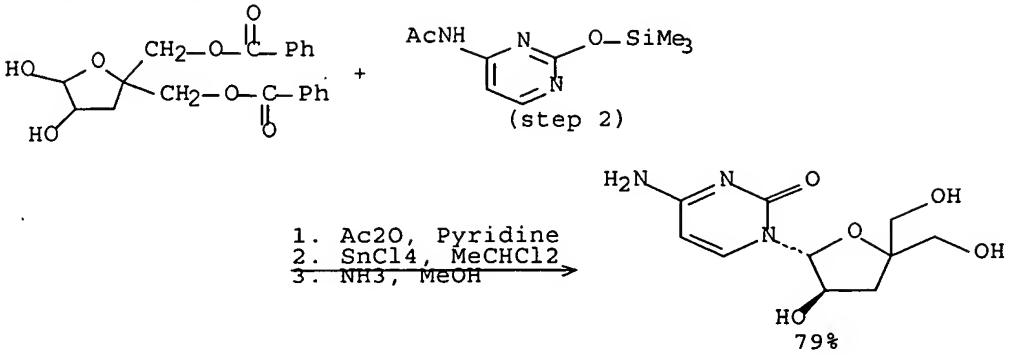
NOTE: 1) other product(s) also detected, N7-isomer is also formed

RX(133) OF 188 - 3 STEPS



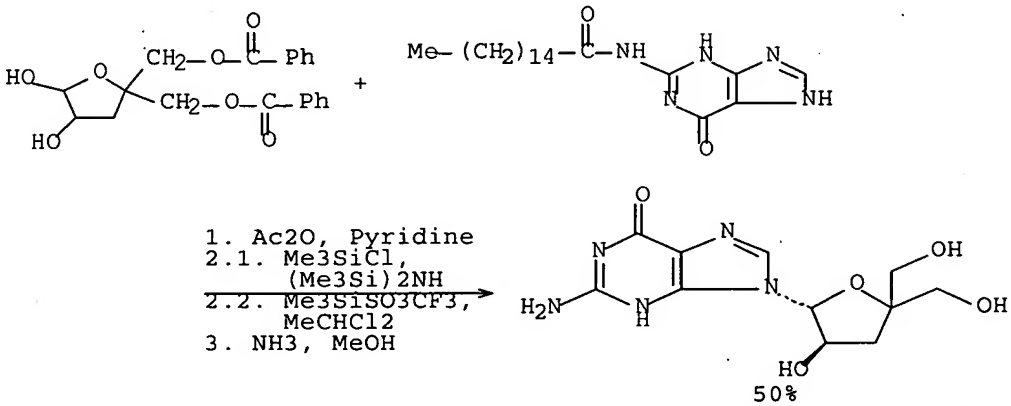
NOTE: 2) stereoselective

RX(134) OF 188 - 3 STEPS



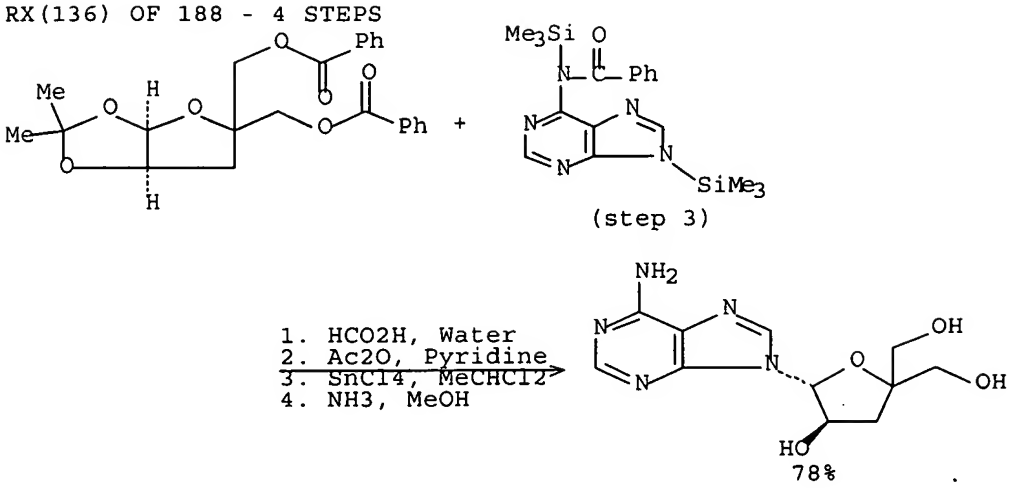
NOTE: 2) stereoselective

RX(135) OF 188 - 3 STEPS



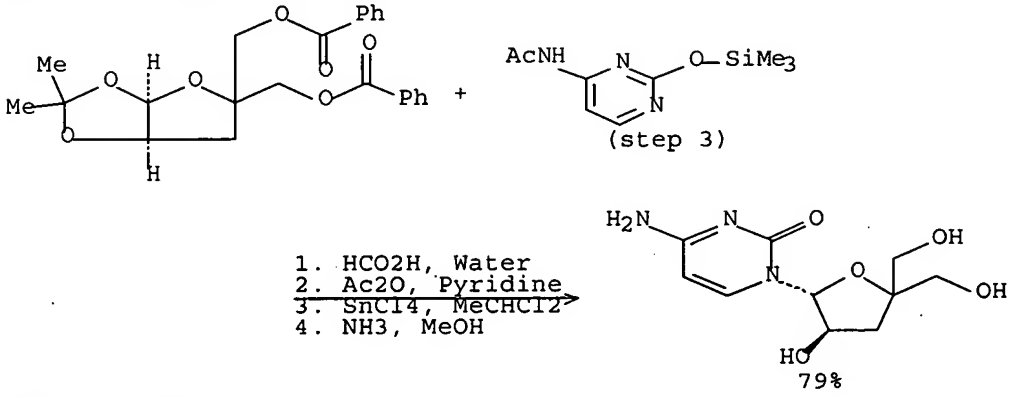
NOTE: 2) other product(s) also detected, N7-isomer is also formed

RX(136) OF 188 - 4 STEPS



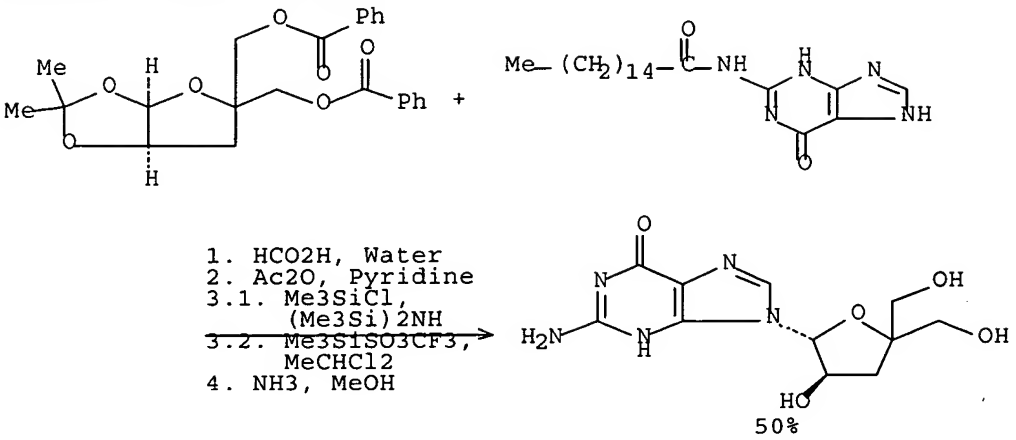
NOTE: 3) stereoselective

RX(137) OF 188 - 4 STEPS



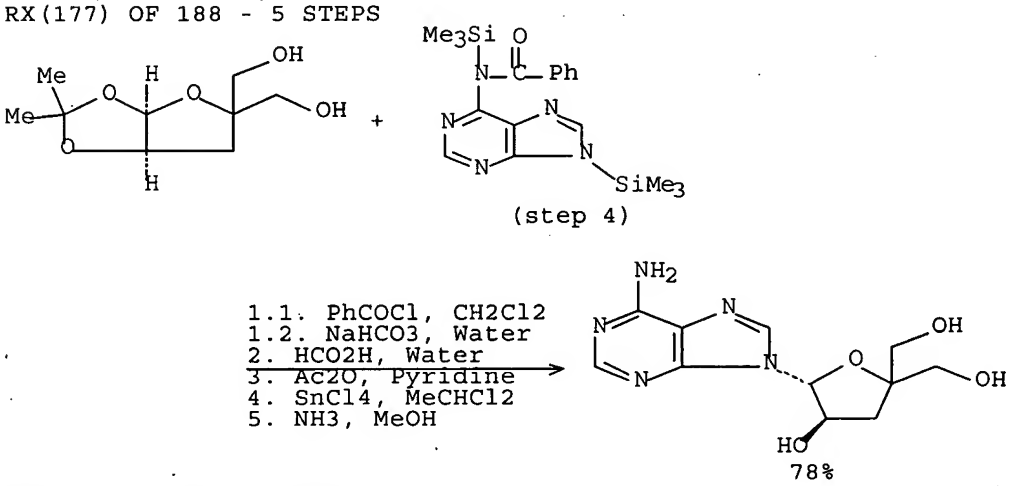
NOTE: 3) stereoselective

RX(138) OF 188 - 4 STEPS



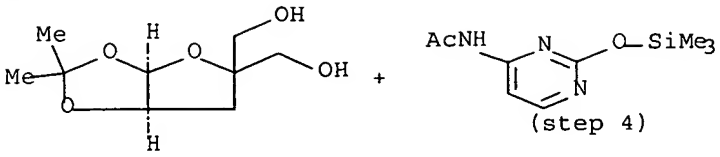
NOTE: 3) other product(s) also detected, N7-isomer is also formed

RX(177) OF 188 - 5 STEPS

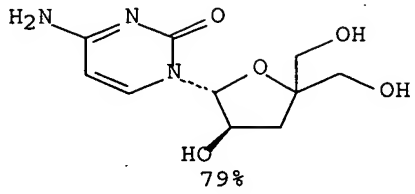


NOTE: 4) stereoselective

RX(178) OF 188 - 5 STEPS



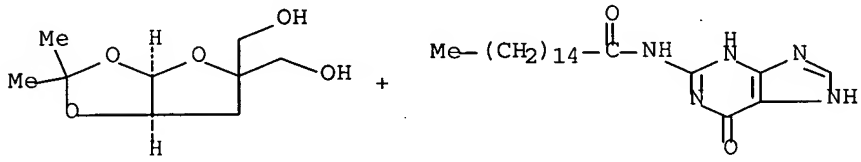
1.1. PhCOCl, CH2Cl2
1.2. NaHCO3, Water
2. HCO2H, Water
3. Ac2O, Pyridine
4. SnCl4, MeCHCl2
5. NH3, MeOH



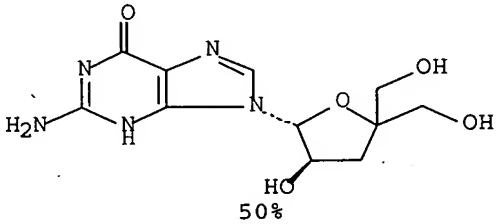
79%

NOTE: 4) stereoselective

RX(179) OF 188 - 5 STEPS



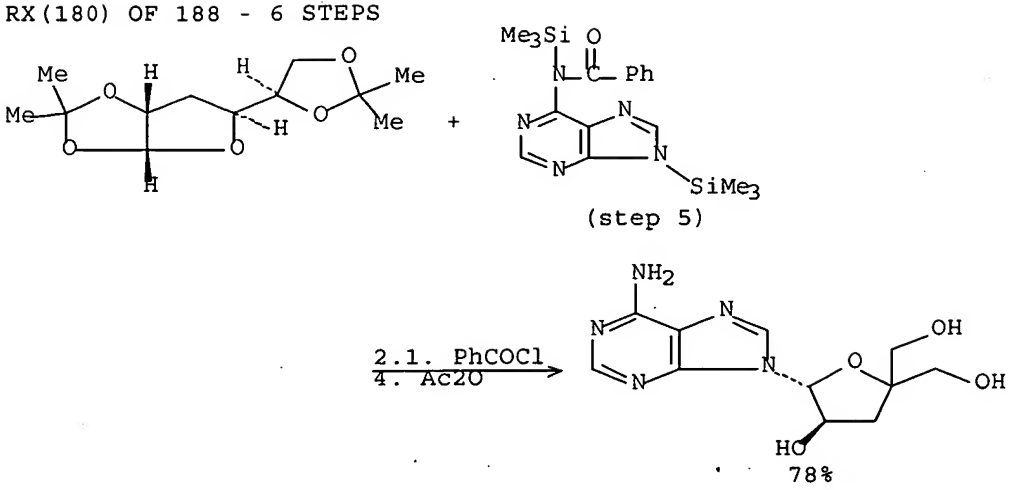
1.1. PhCOCl, CH2Cl2
1.2. NaHCO3, Water
2. HCO2H, Water
3. Ac2O, Pyridine
4.1. Me3SiCl, (Me3Si)2NH
4.2. Me3SiSO3CF3, MeCHCl2
5. NH3, MeOH



50%

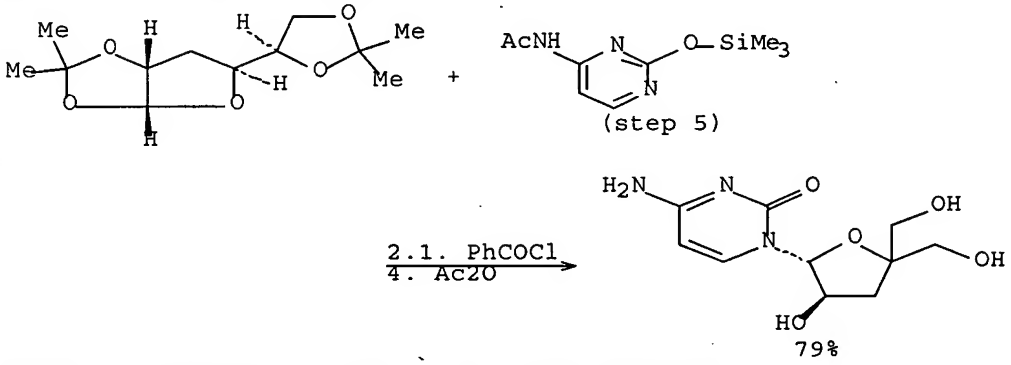
NOTE: 4) other product(s) also detected, N7-isomer is also formed

RX(180) OF 188 - 6 STEPS



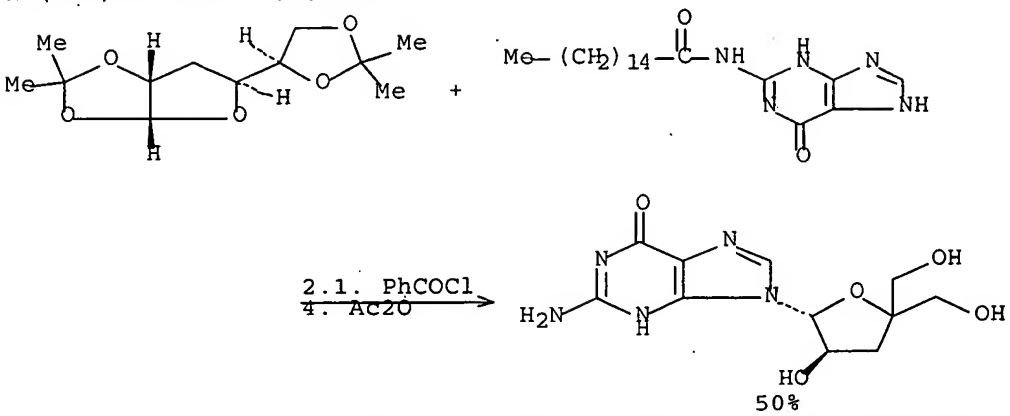
NOTE: 1) literature prepn., 5) stereoselective

RX(181) OF 188 - 6 STEPS



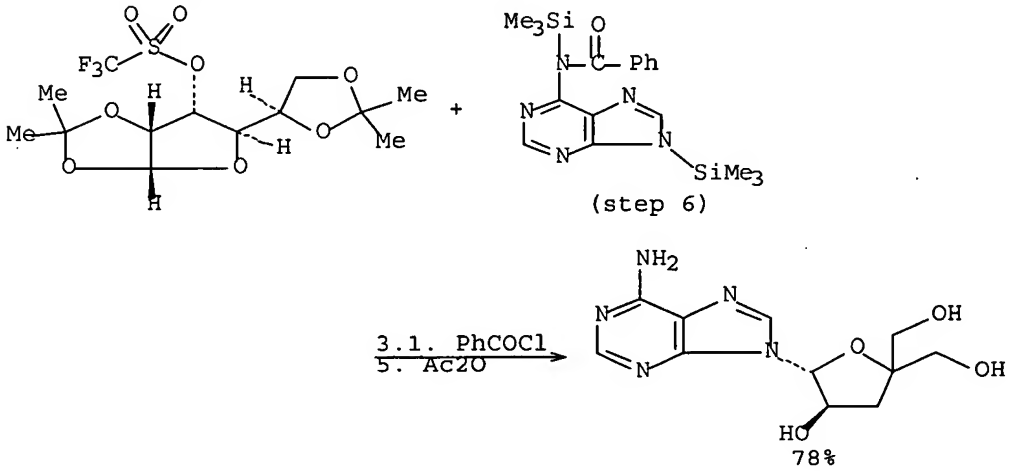
NOTE: 1) literature prepn., 5) stereoselective

RX(182) OF 188 - 6 STEPS



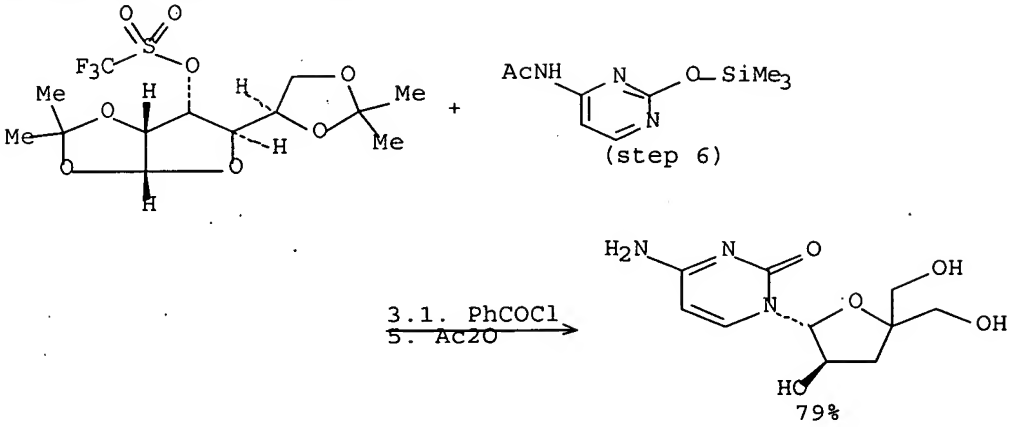
NOTE: 1) literature prepn., 5) other product(s) also detected, N7-isomer is also formed

RX(183) OF 188 - 7 STEPS



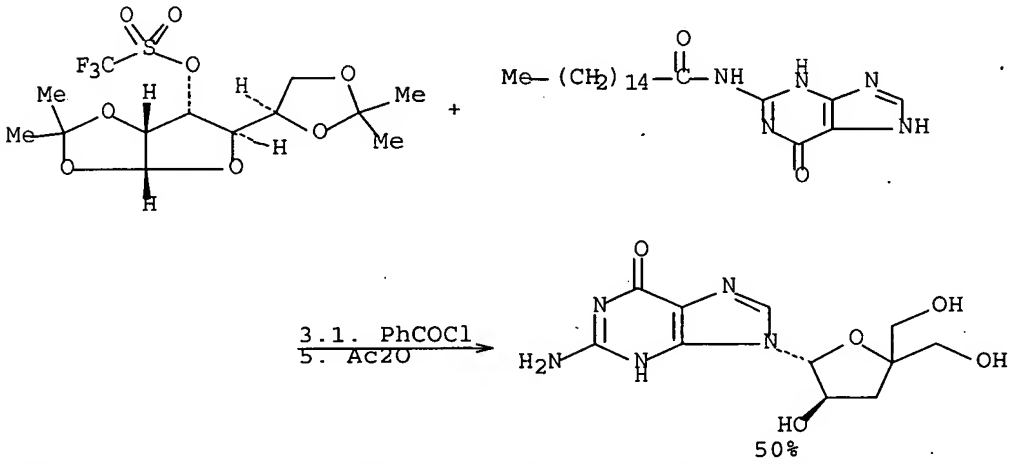
NOTE: 2) literature prepn., 6) stereoselective

RX(184) OF 188 - 7 STEPS



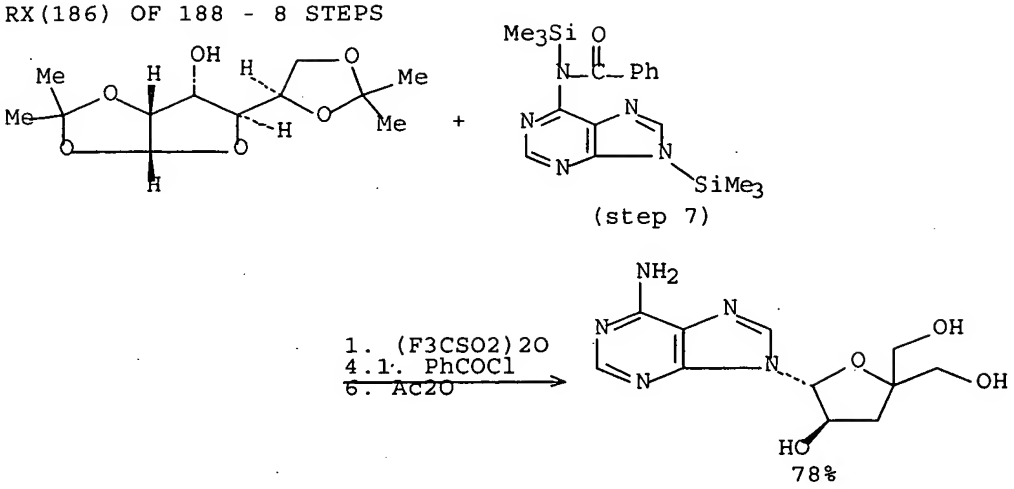
NOTE: 2) literature prepn., 6) stereoselective

RX(185) OF 188 - 7 STEPS



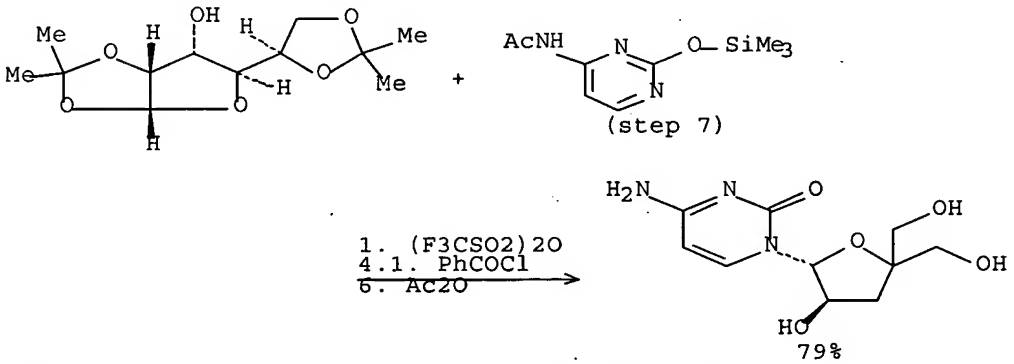
NOTE: 2) literature prepn., 6) other product(s) also detected, N7-isomer is also formed

RX(186) OF 188 - 8 STEPS



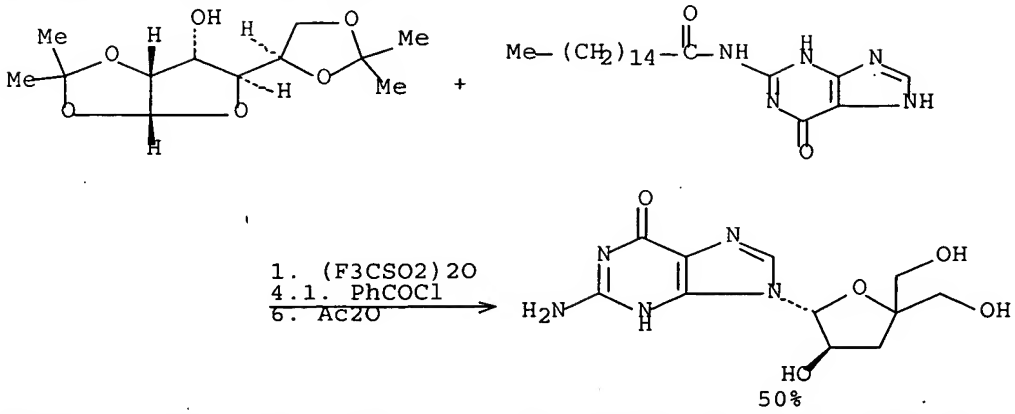
NOTE: 3) literature prepn., 7) stereoselective

RX(187) OF 188 - 8 STEPS



NOTE: 3) literature prepn., 7) stereoselective

RX(188) OF 188 - 8 STEPS

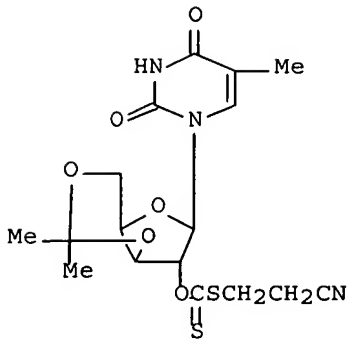


NOTE: 3) literature prepn., 7) other product(s) also detected, N7-isomer is also formed

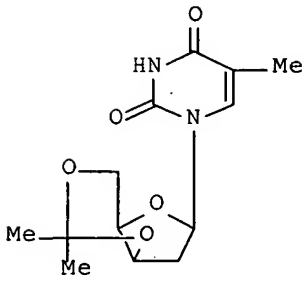
L47 ANSWER 4 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 122:265937 CASREACT Full-text
TITLE: Process for the deoxygenation of nucleosides via reductive elimination of nucleoside xanthates
INVENTOR(S): Chu, Chung K.; Chen, Yaoquan
PATENT ASSIGNEE(S): The University of Georgia Research Foundation, Inc., USA
SOURCE: U.S., 14 pp. Cont.-in-part of U.S. Ser. No. 318,694, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 7
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5384396	A	19950124	US 1991-665751	19910307
WO 9215598	A1	19920917	WO 1992-US1935	19920306
W: AU, BR, CA, JP, KR, NO				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, MC, NL, SE				
AU 9216413	A1	19921006	AU 1992-16413	19920306
PRIORITY APPLN. INFO.:			US 1988-159246	19880223
			US 1989-318694	19890303
			US 1991-665751	19910307
			WO 1992-US1935	19920306

OTHER SOURCE(S): MARPAT 122:265937
GI



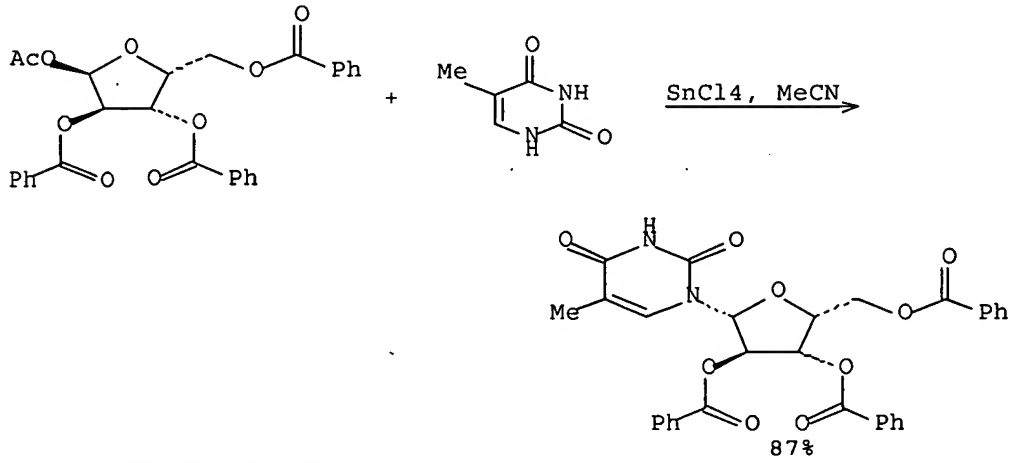
I



II

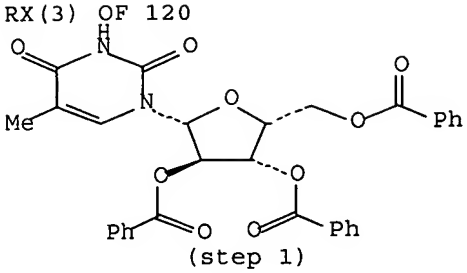
AB An efficient process for the deoxygenation of 2'- and or 3'-hydroxyl groups of a nucleoside that includes reacting the hydroxyl group with 3-halopropionitrile or 2-nitroethyl halide and carbon disulfide in base to form a 2'- or 3'-(cyanoethylthio or nitroethylthio)thiocarbonyl, that is reductively eliminated and replaced with hydrogen. The deoxygenation process can be used in a wide variety of nucleoside syntheses that require the elimination of the 2'- or 3'-hydroxyl groups, including the preparation of 3'-substituted-2',3'-dideoxynucleosides such as 3'-azido-3'-deoxythymidine and 3'-azido-2',3'-dideoxyuridine. Thus, e.g., the key step in the preparation of AZT involved reaction of β-D-xylofuranosylthymine with CS2/NaOH followed by 3-bromopropionitrile to obtain xanthate I which, upon reduction with tris(trimethylsilyl)silane, afforded deoxyxylofuranosylthymine II in 93.3% yield.

RX(2) OF 120



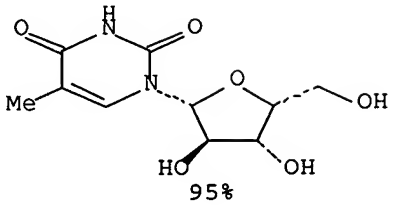
NOTE: STEREOSELECTIVE

RX(3) OF 120



(step 1)

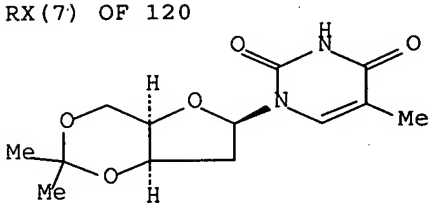
1. NaOMe, MeOH
2. Water
3. DOWEX 50W



95%

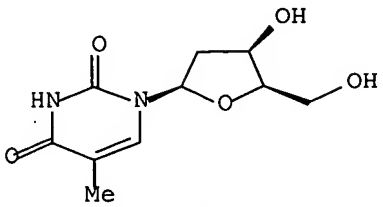
NOTE: H+ FORM RESIN;

RX(7) OF 120



(step 1)

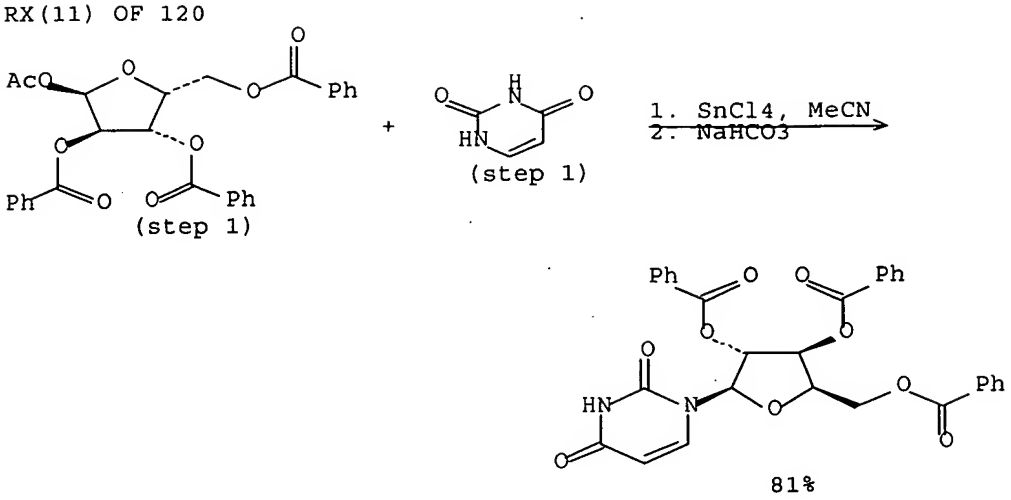
1. F3CCO2H, MeOH
2. Amberlite IR 45



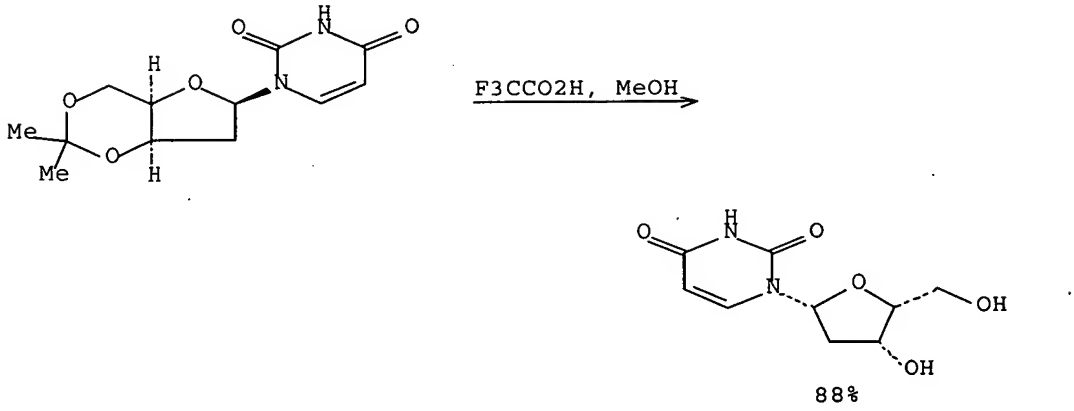
82%

NOTE: BASIC RESIN

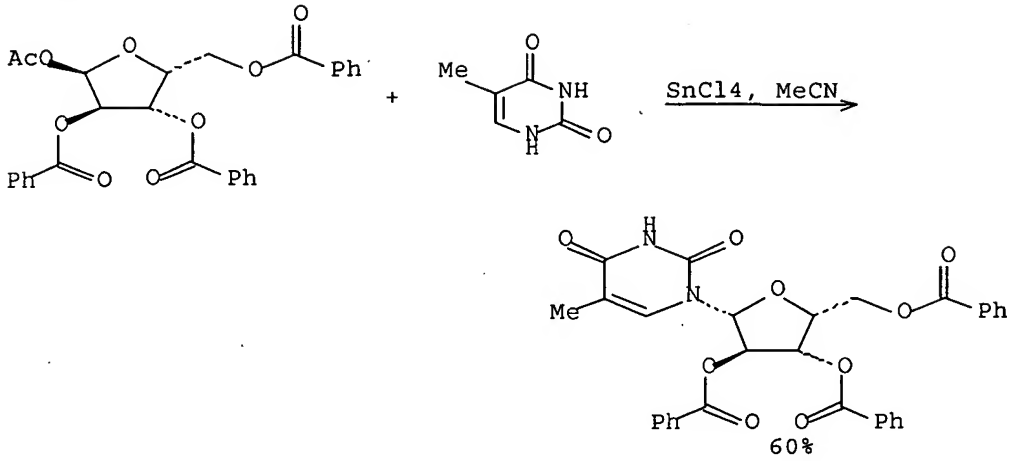
RX(11) OF 120



RX(15) OF 120

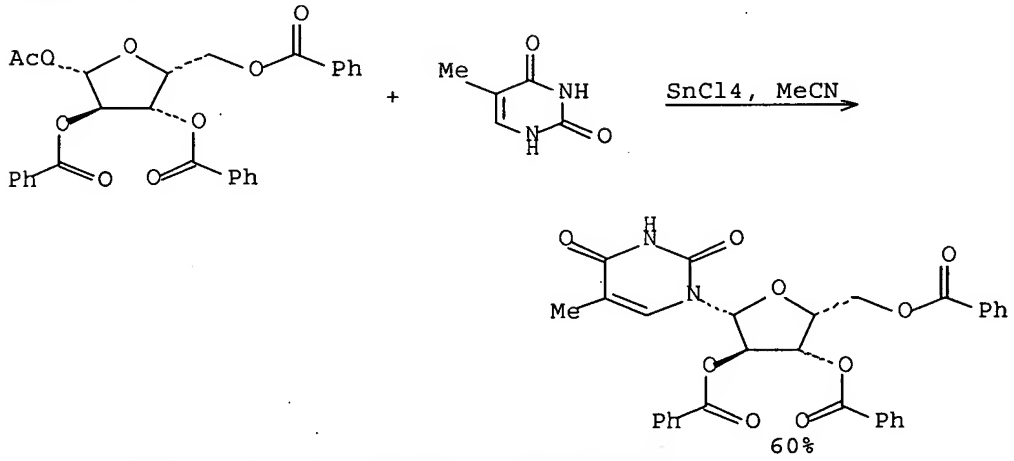


RX(19) OF 120



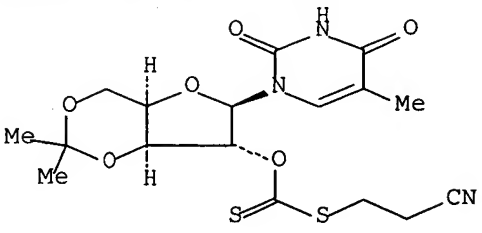
NOTE: STEREOSELECTIVE; ANOMERIC REACTANT MIXT.

RX(20) OF 120

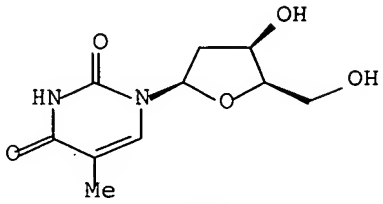


NOTE: STEREOSELECTIVE; ANOMERIC REACTANT MIXT.

RX(31) OF 120 - 2 STEPS



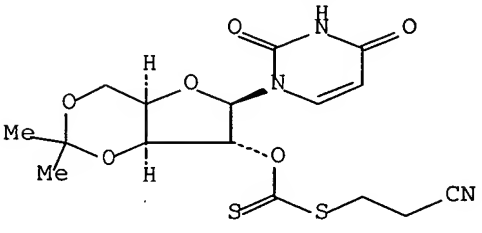
1. Et3B, (Me3Si)3SiH, PhMe, Hexane
2.1. F3CCO2H, MeOH
2.2. Amberlite IR 45



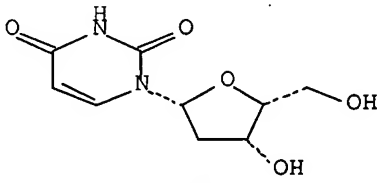
82%

NOTE: 1) KEY STEP, 2) BASIC RESIN

RX(38) OF 120 - 2 STEPS



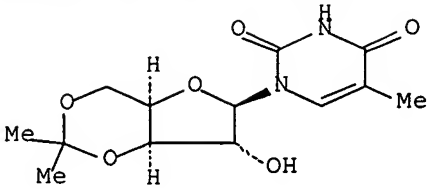
1. Et3B, (Me3Si)3SiH, PhMe, Hexane
2. F3CCO2H, MeOH



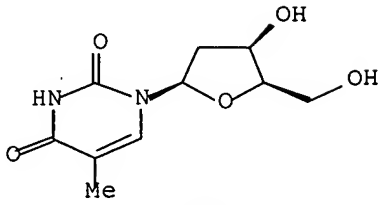
88%

NOTE: 1) KEY STEP

RX(54) OF 120 - 3 STEPS



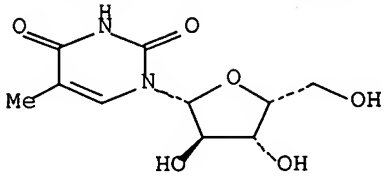
1.1. CS2, NaOH, DMSO
1.2. BrCH2CH2CN
2. Et3B, (Me3Si)3SiH, PhMe, Hexane
3.1. F3CCO2H, MeOH
3.2. Amberlite IR 45



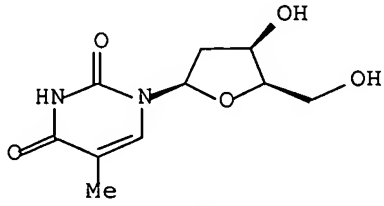
82%

NOTE: 2) KEY STEP, 3) BASIC RESIN

RX(55) OF 120 - 4 STEPS



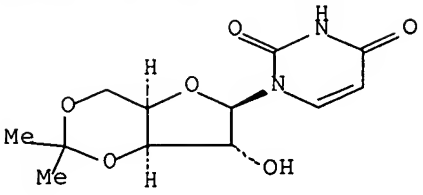
1.1. Me2CO, HCl, Et2O
1.2. Amberlite IR 45
2.1. CS2, NaOH, DMSO
2.2. BrCH2CH2CN
3. Et3B, (Me3Si)3SiH, PhMe, Hexane
4.1. F3CCO2H, MeOH
4.2. Amberlite IR 45



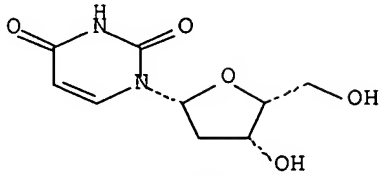
82%

NOTE: 1) 4A MOL. SIEVES; BASIC RESIN, 3) KEY STEP, 4) BASIC RESIN

RX(66) OF 120 - 3 STEPS



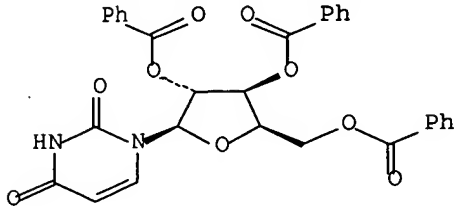
1.1. CS2, NaOH, DMSO
1.2. BrCH2CH2CN
2. Et3B, (Me3Si)3SiH, PhMe, Hexane
3. F3CCO2H, MeOH



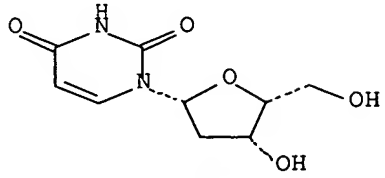
88%

NOTE: 2) KEY STEP

RX(67) OF 120 - 4 STEPS



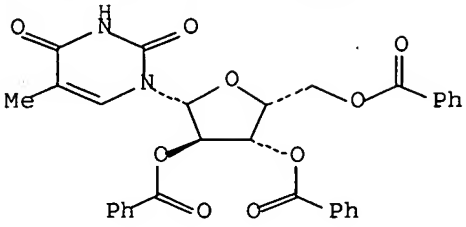
1.1. NH3, MeOH
1.2. Me2CO, HCl, Et2O
2.1. CS2, NaOH, DMSO
2.2. BrCH2CH2CN
3. Et3B, (Me3Si)3SiH, PhMe, Hexane
4. F3CCO2H, MeOH



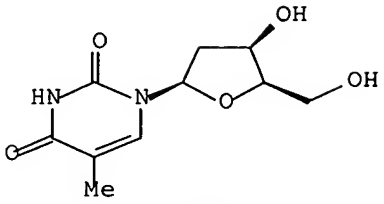
88%

NOTE: 3) KEY STEP

RX(81) OF 120 - 5 STEPS



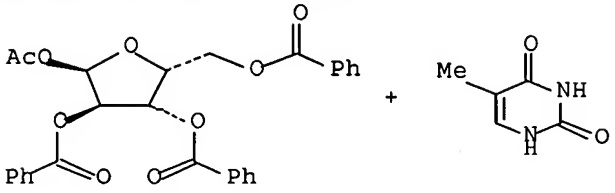
2.1. Me2CO
3.1. CS2
3.2. BrCH2CH2CN



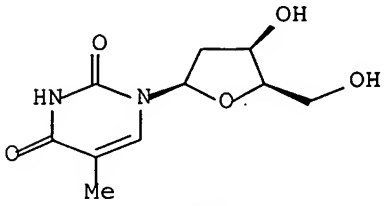
82%

NOTE: 1) H+ FORM RESIN;, 2) 4A MOL. SIEVES; BASIC RESIN, 4) KEY STEP, 5) BASIC RESIN

RX(82) OF 120 - 6 STEPS



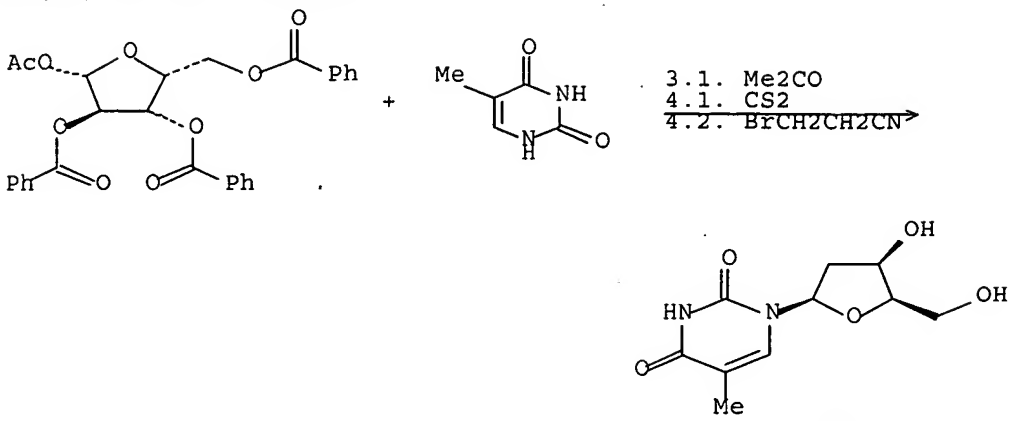
3.1. Me2CO
4.1. CS2
4.2. BrCH2CH2CN



82%

NOTE: 1) STEREOSELECTIVE, 2) H+ FORM RESIN;, 3) 4A MOL. SIEVES; BASIC RESIN, 5) KEY STEP, 6) BASIC RESIN

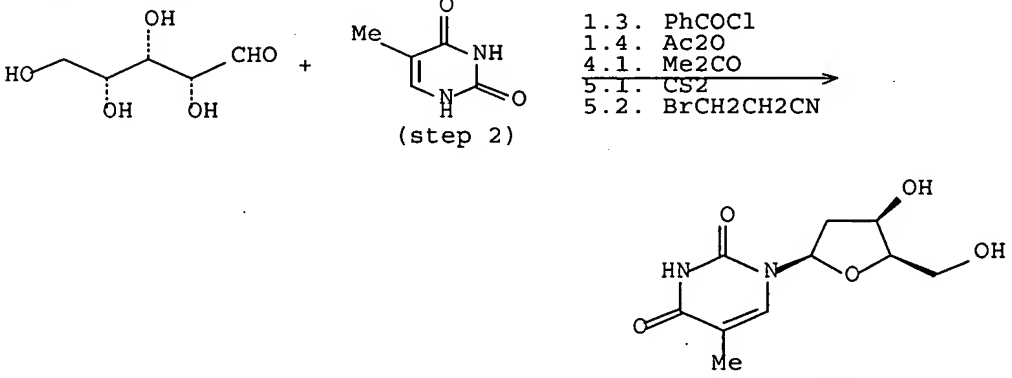
RX(83) OF 120 - 6 STEPS



82%

NOTE: 1) STEREOSELECTIVE; ANOMERIC REACTANT MIXT., 2) H+ FORM RESIN;, 3) 4A MOL. SIEVES; BASIC RESIN, 5) KEY STEP, 6) BASIC RESIN

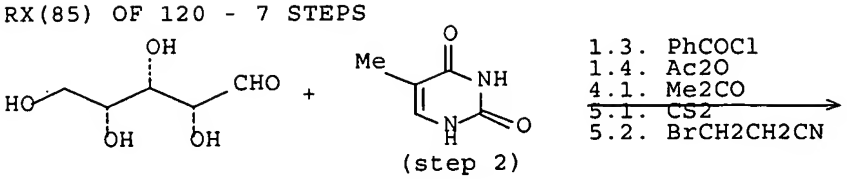
RX(84) OF 120 - 7 STEPS



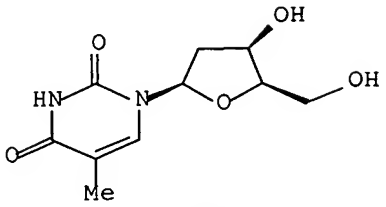
82%

NOTE: 1) 95% OVERALL, 2) STEREOSELECTIVE, 3) H+ FORM RESIN;, 4) 4A MOL. SIEVES; BASIC RESIN, 6) KEY STEP, 7) BASIC RESIN

RX(85) OF 120 - 7 STEPS



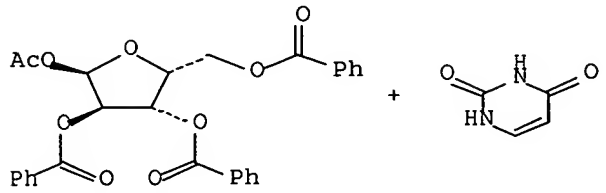
1.3. PhCOCl
1.4. Ac2O
4.1. Me2CO
5.1. CS2
5.2. BrCH2CH2CN



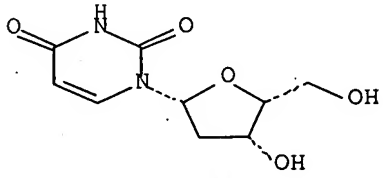
82%

NOTE: 1) 95% OVERALL, 2) STEREOSELECTIVE; ANOMERIC REACTANT MIXT., 3) H+ FORM RESIN;, 4) 4A MOL. SIEVES; BASIC RESIN, 6) KEY STEP, 7) BASIC RESIN

RX(101) OF 120 - 5 STEPS



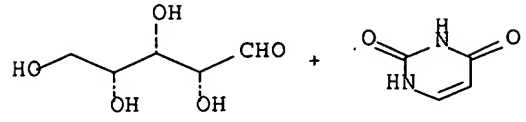
1.1. SnCl4, MeCN
1.2. NaHCO3
2.1. NH3, MeOH
2.2. Me2CO, HCl, Et2O
3.1. CS2, NaOH, DMSO
3.2. BrCH2CH2CN
4. Et3B, (Me3Si)3SiH, PhMe, Hexane
5. F3CCO2H, MeOH



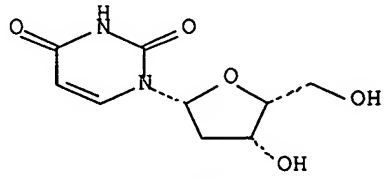
88%

NOTE: 4) KEY STEP

RX(102) OF 120 - 6 STEPS



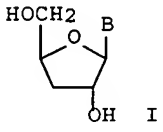
1.3. PhCOCl
1.4. Ac2O
3.2. Me2CO
4.1. CS2
4.2. BrCH2CH2CN



88%

NOTE: 1) 95% OVERALL, 5) KEY STEP

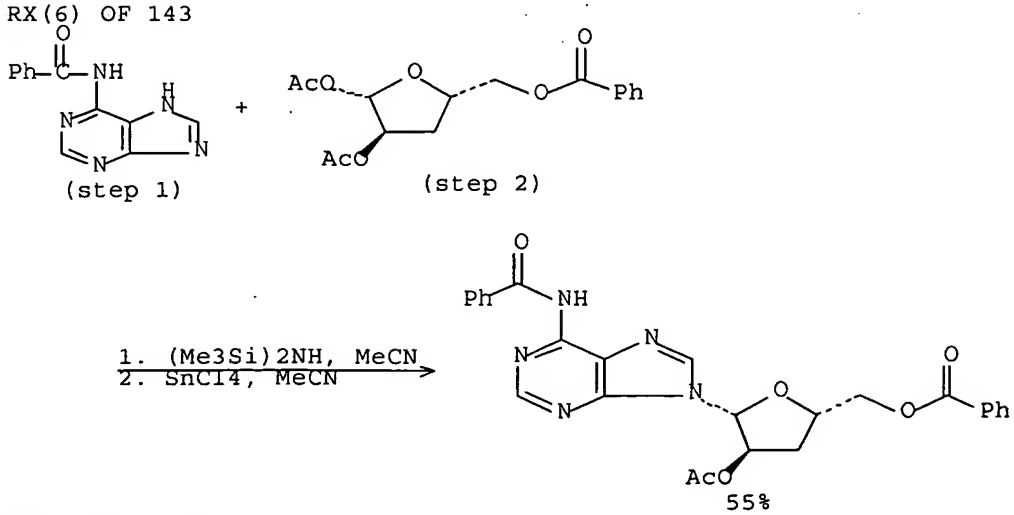
L47 ANSWER 5 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 121:134665 CASREACT Full-text
TITLE: Synthesis and antifungal activity of 3'-deoxyribonucleosides
AUTHOR(S): Kumar, Anil; Khan, Shoeb I.; Manglani, Anita; Khan, Z. K.; Katti, S. B.
CORPORATE SOURCE: Div. Biopolym. Med. Mycol., Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Nucleosides & Nucleotides (*1994*), 13(5), 1049-58
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

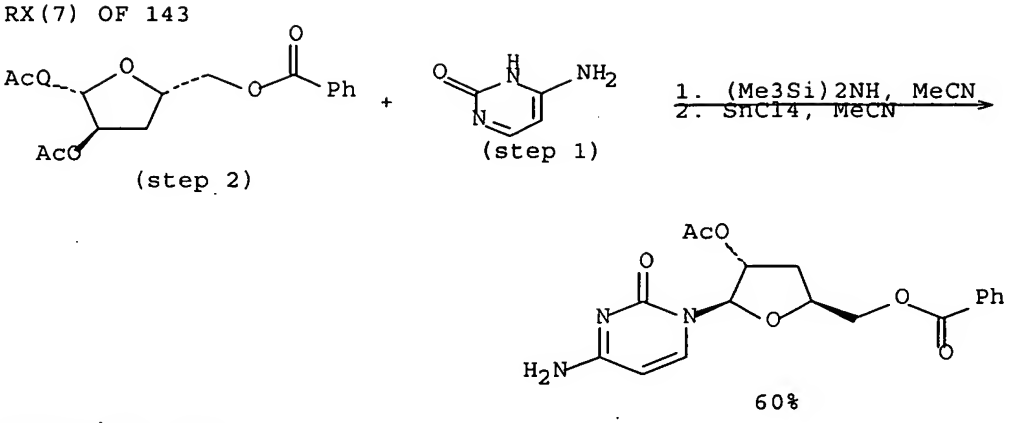
AB Synthesis and antifungal activity of 3'-deoxyribonucleosides I (B = adenine, cytosine, thymine, guanine) containing naturally occurring pyrimidine and purine bases are reported.

RX(6) OF 143



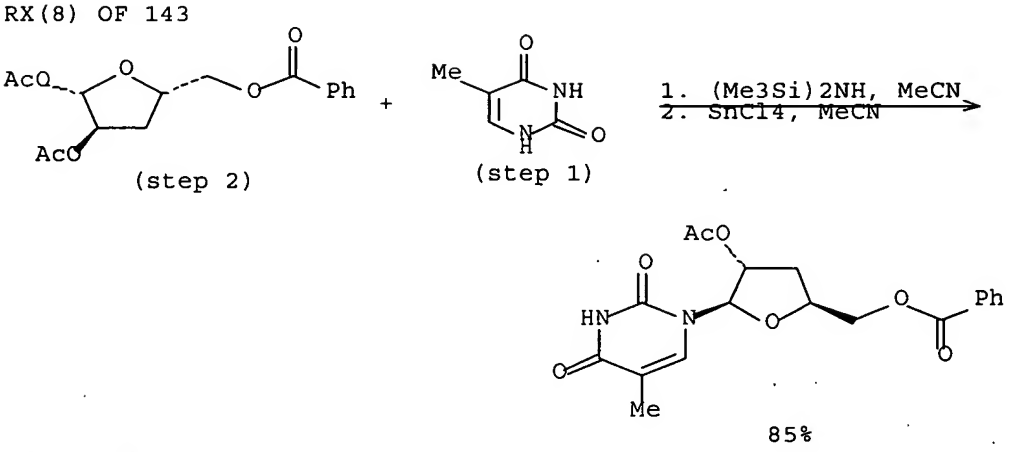
NOTE: key step

RX(7) OF 143



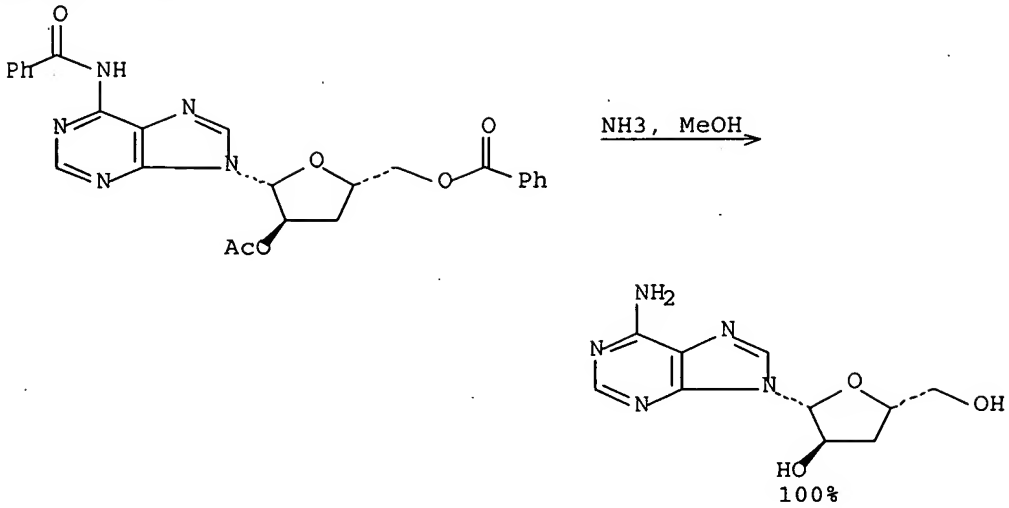
NOTE: key step

RX(8) OF 143



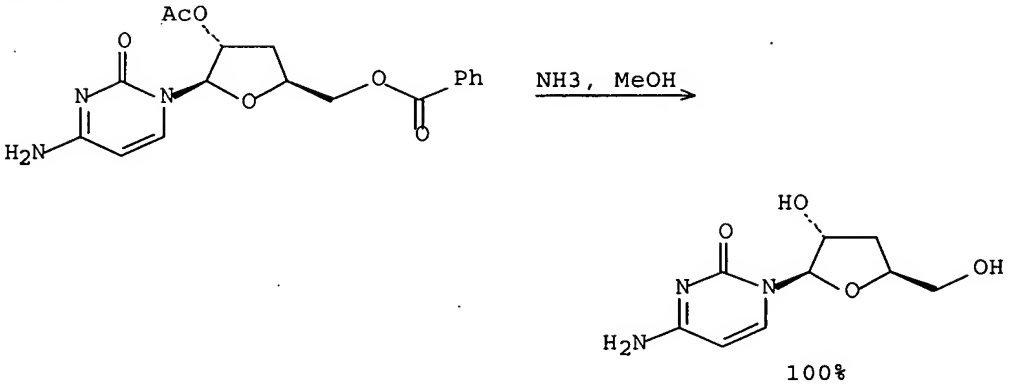
NOTE: key step

RX(9) OF 143



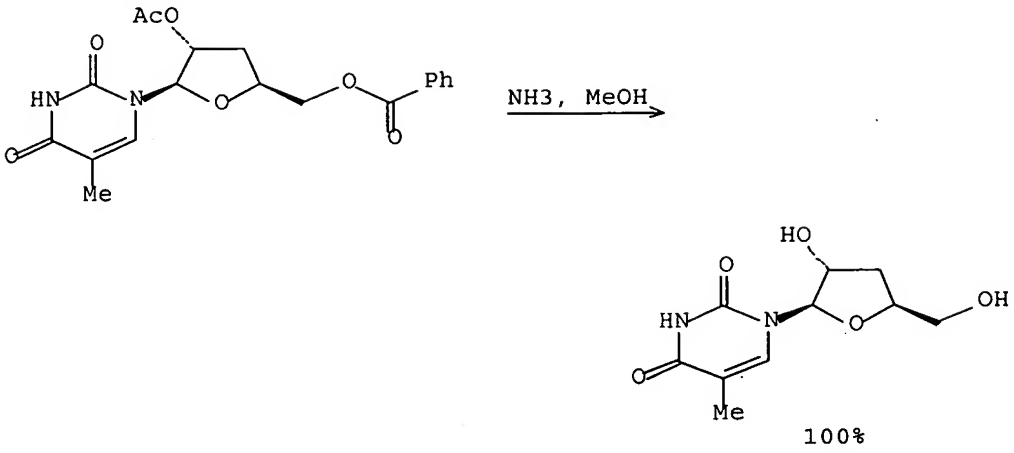
100%

RX(10) OF 143



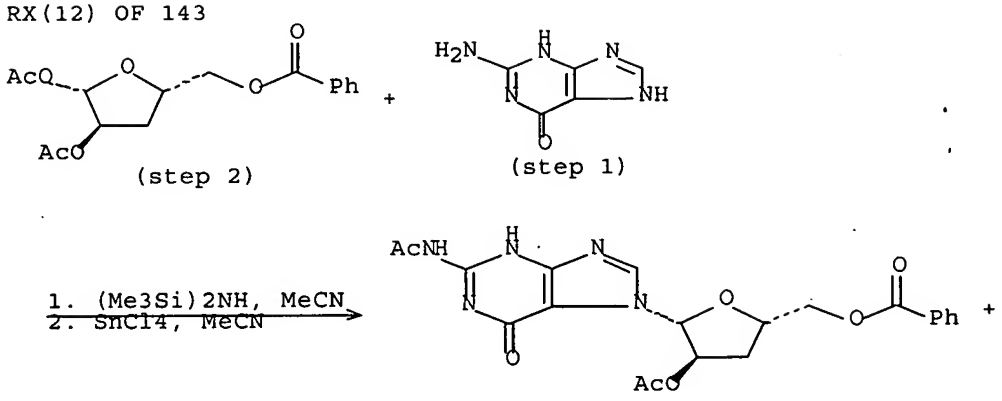
100%

RX(11) OF 143

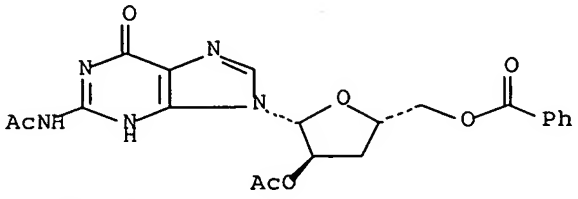


100%

RX(12) OF 143

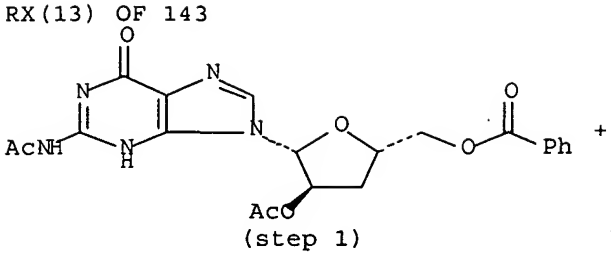


RX(12) OF 143

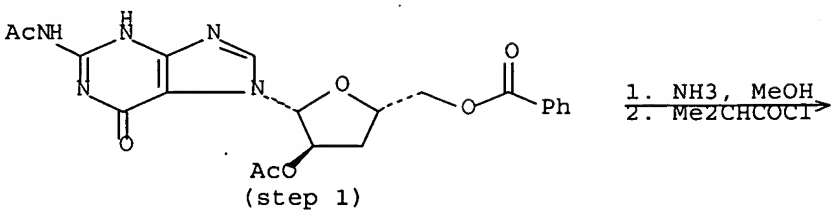


NOTE: key step; 57% overall

RX(13) OF 143

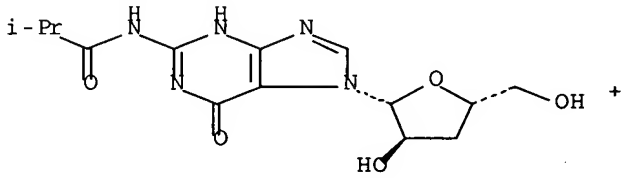


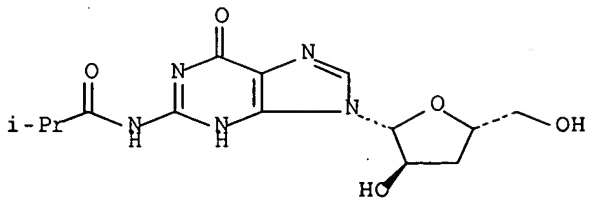
(step 1)



(step 1)

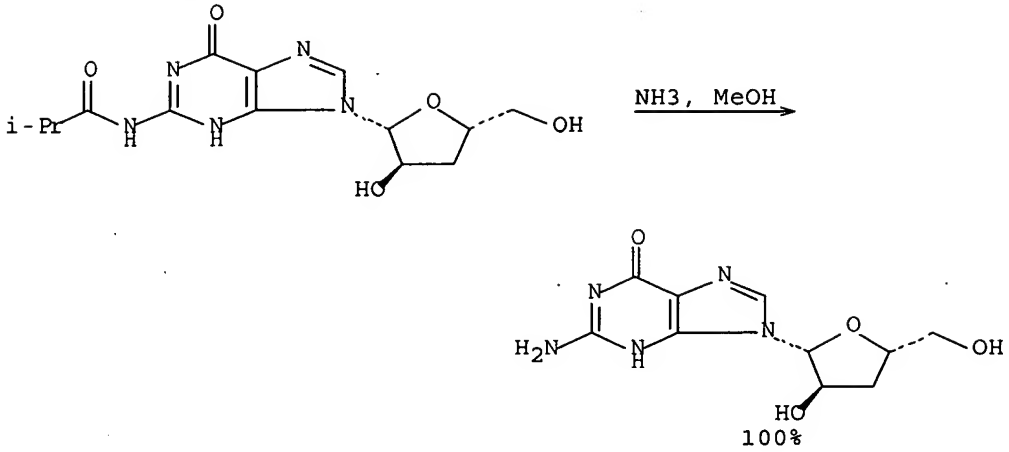
RX(13) OF 143



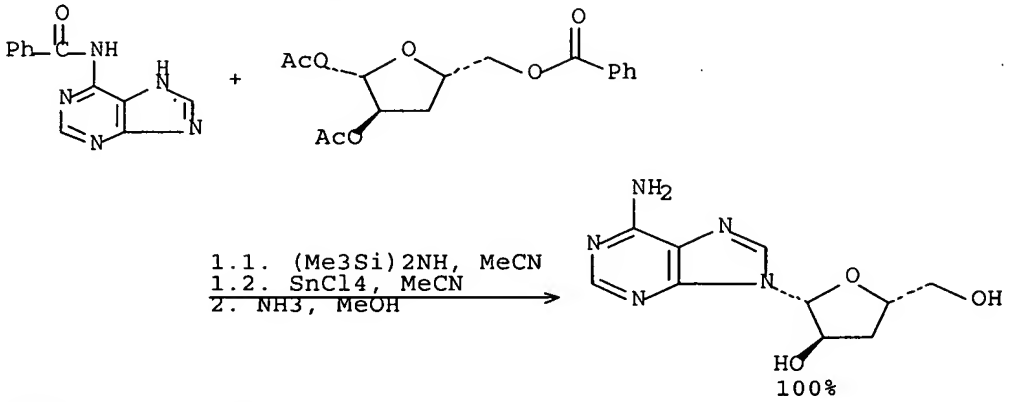


[redacted]

RX(14) OF 143

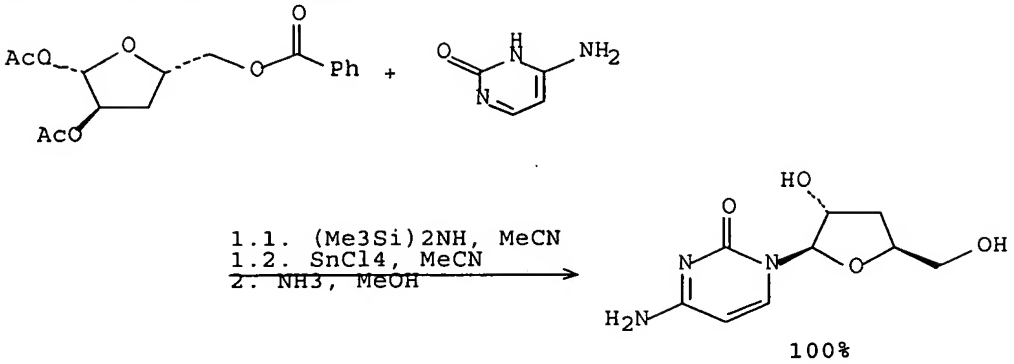


RX(29) OF 143 - 2 STEPS



NOTE: 1) key step

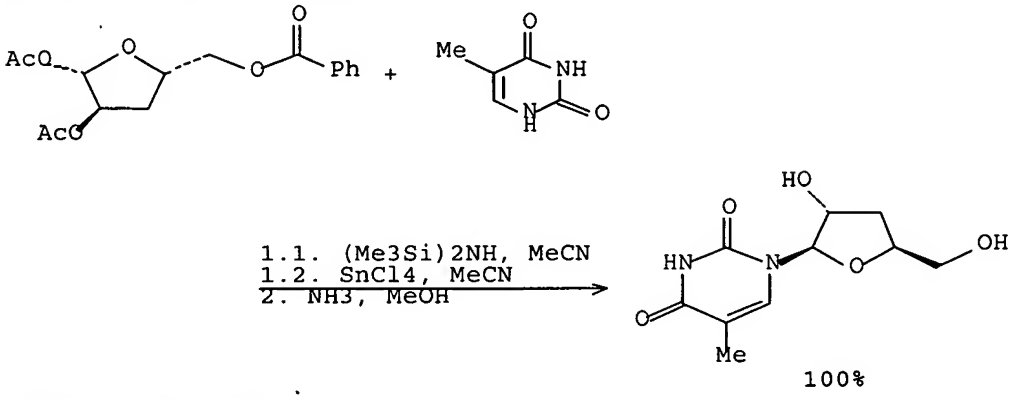
RX(30) OF 143 - 2 STEPS



100%

NOTE: 1) key step

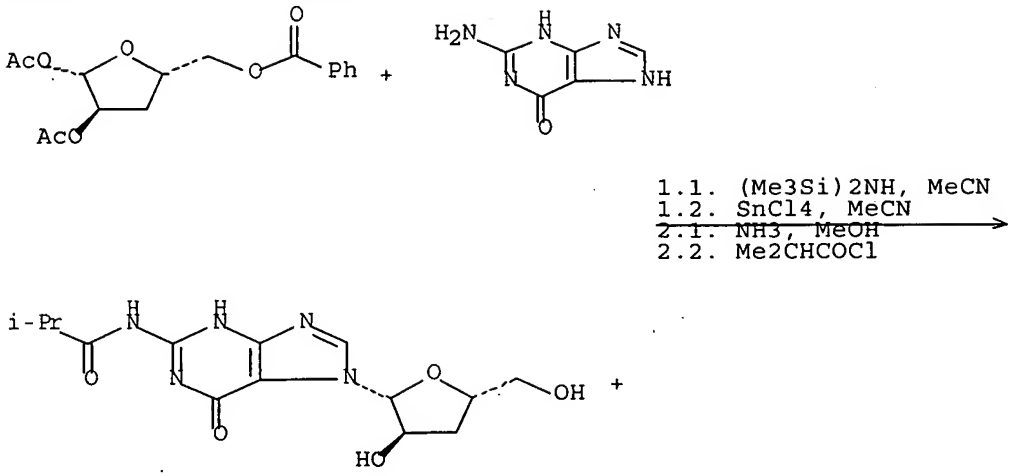
RX(31) OF 143 - 2 STEPS



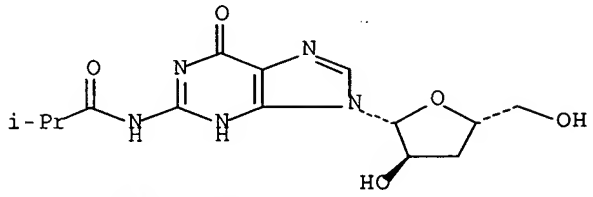
100%

NOTE: 1) key step

RX(32) OF 143 - 2 STEPS

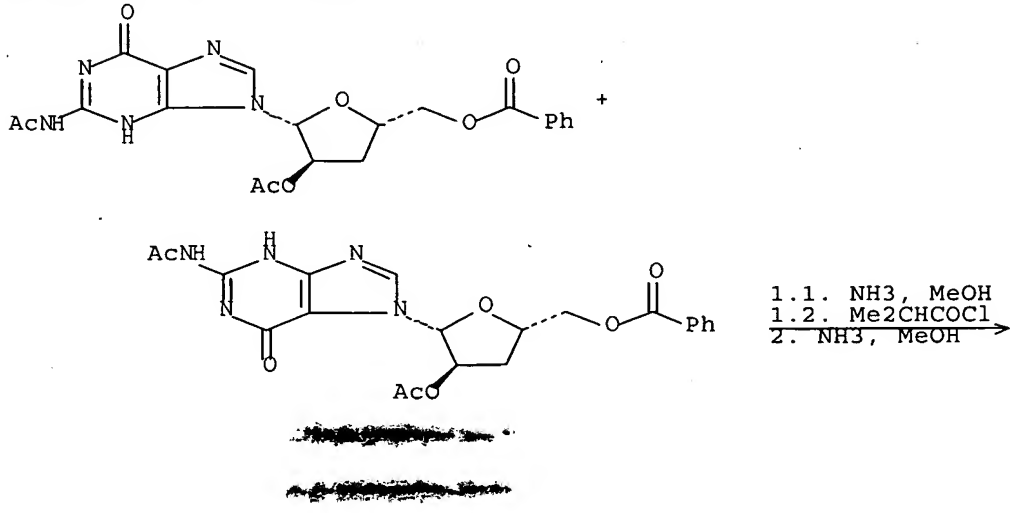


RX(32) OF 143 - 2 STEPS



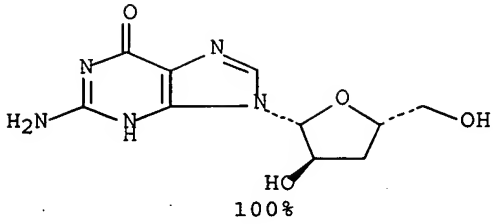
NOTE: 1) key step; 57% overall

RX(33) OF 143 - 2 STEPS



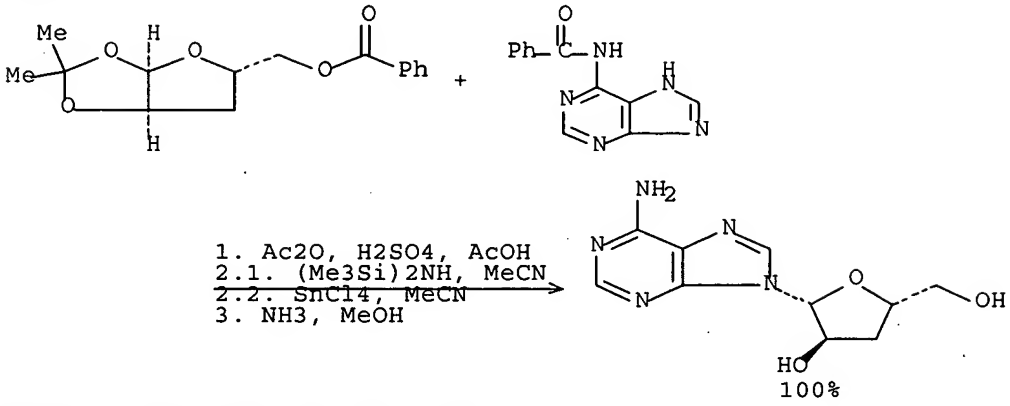
[redacted]

RX(33) OF 143 - 2 STEPS



100%

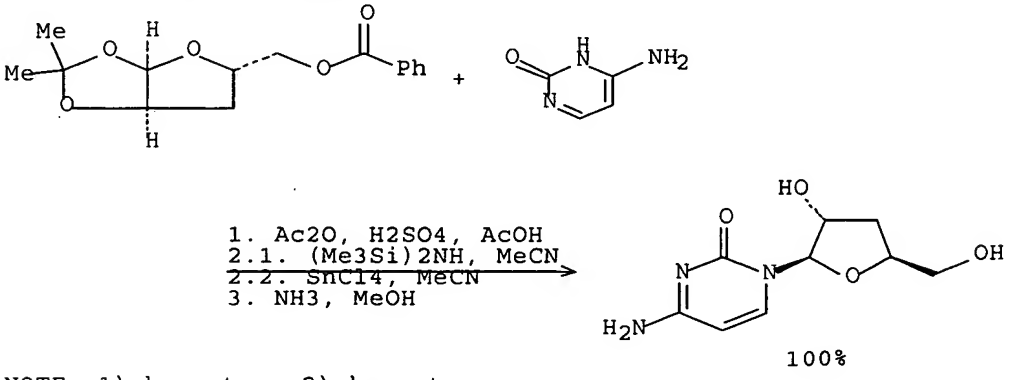
RX(44) OF 143 - 3 STEPS



100%

NOTE: 1) key step, 2) key step

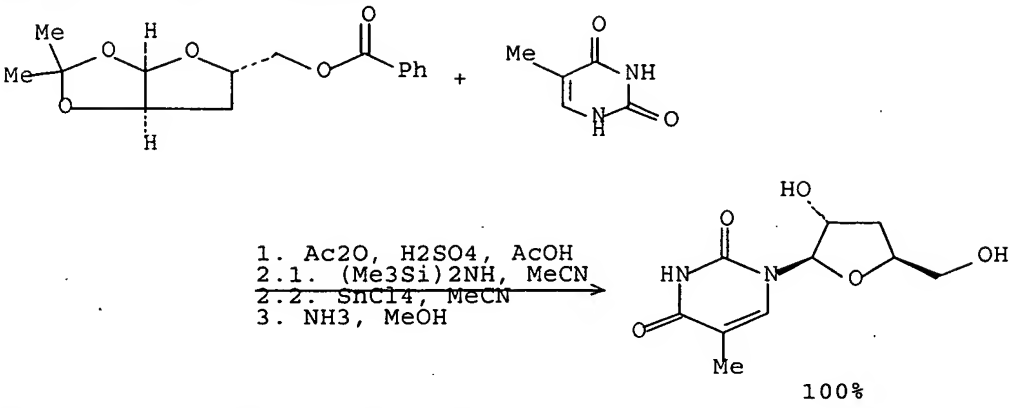
RX(45) OF 143 - 3 STEPS



100%

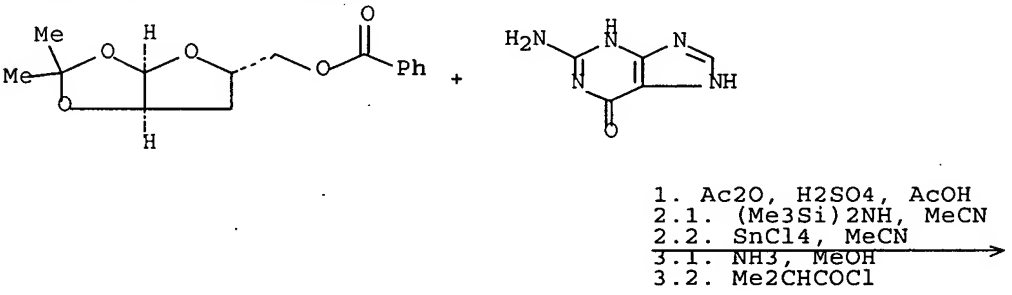
NOTE: 1) key step, 2) key step

RX(46) OF 143 - 3 STEPS

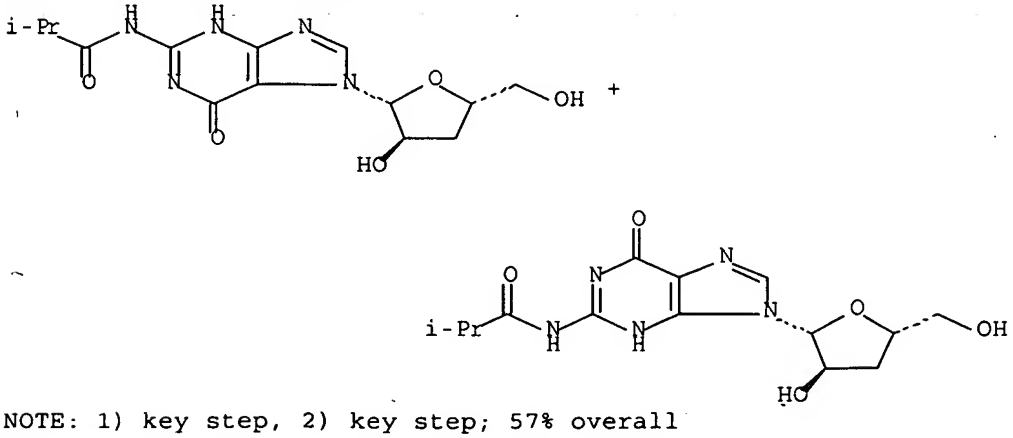


NOTE: 1) key step, 2) key step

RX(47) OF 143 - 3 STEPS

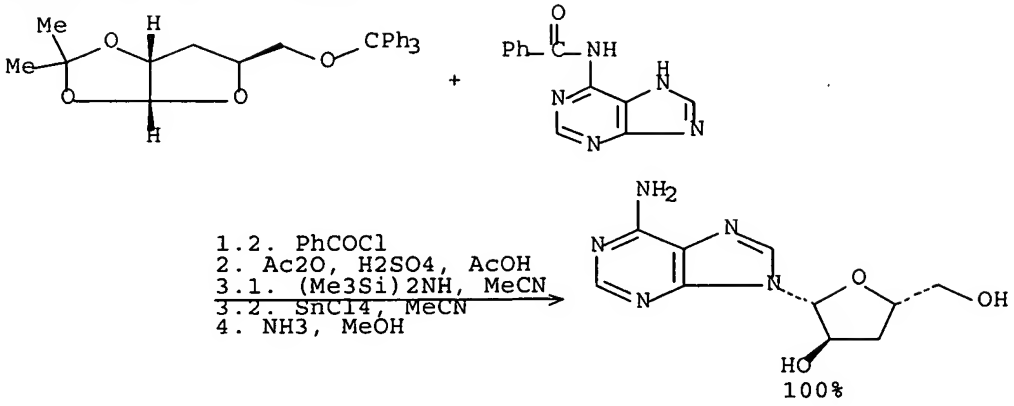


RX(47) OF 143 - 3 STEPS



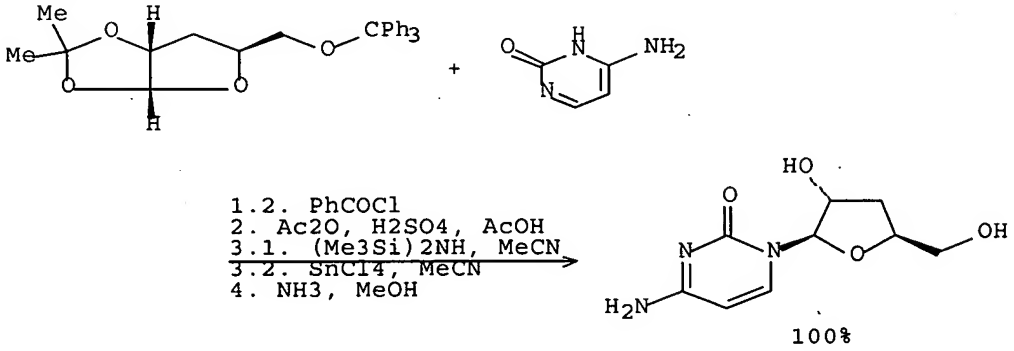
NOTE: 1) key step, 2) key step; 57% overall

RX(48) OF 143 - 4 STEPS



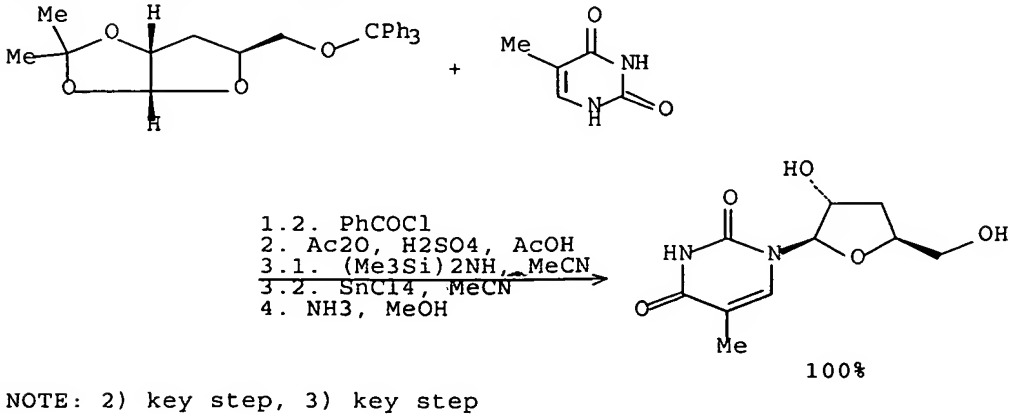
NOTE: 2) key step, 3) key step

RX(49) OF 143 - 4 STEPS



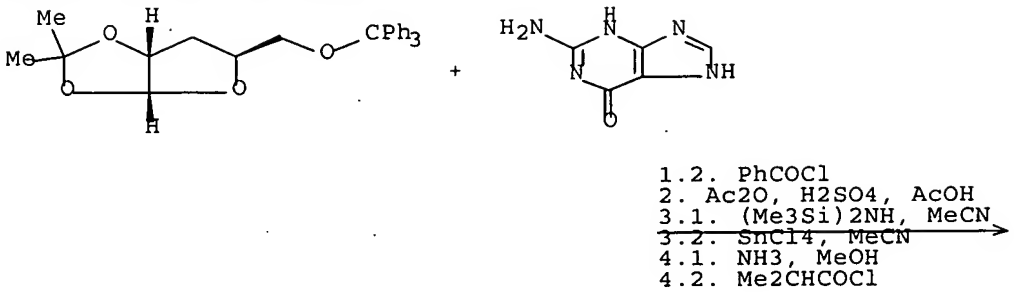
NOTE: 2) key step, 3) key step

RX(50) OF 143 - 4 STEPS

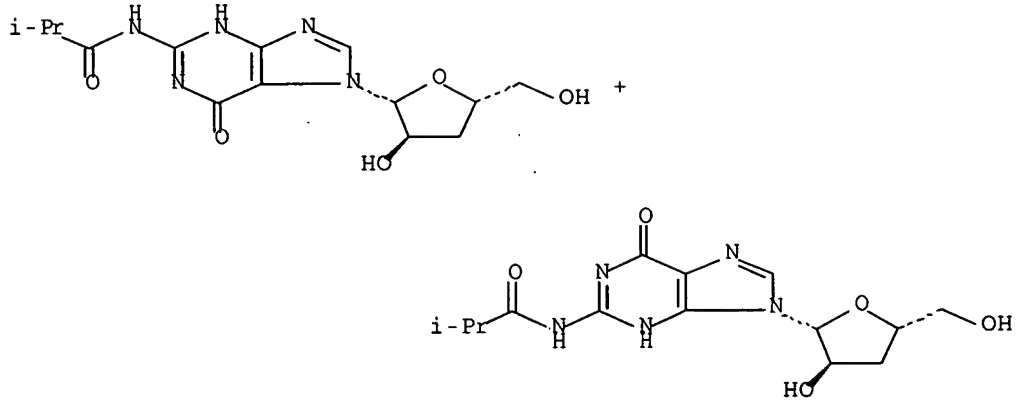


NOTE: 2) key step, 3) key step

RX(51) OF 143 - 4 STEPS

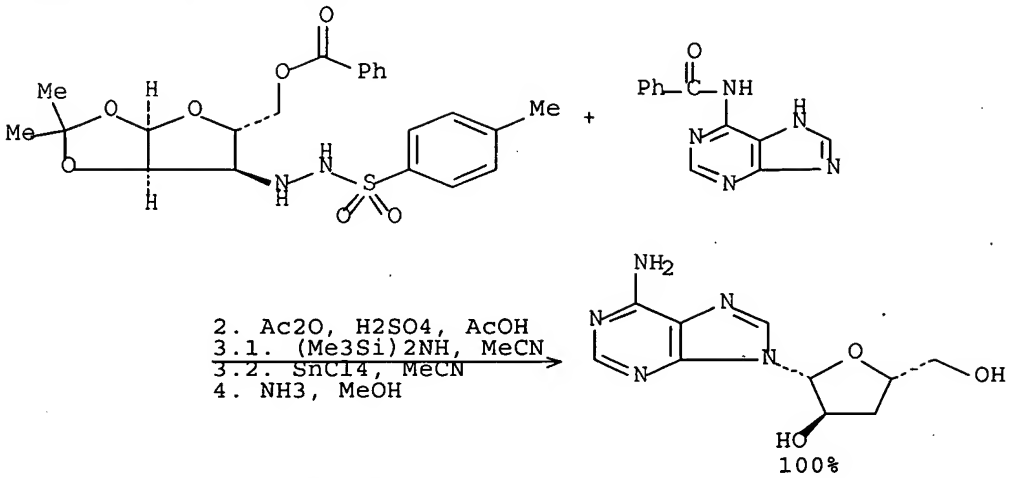


RX(51) OF 143 - 4 STEPS



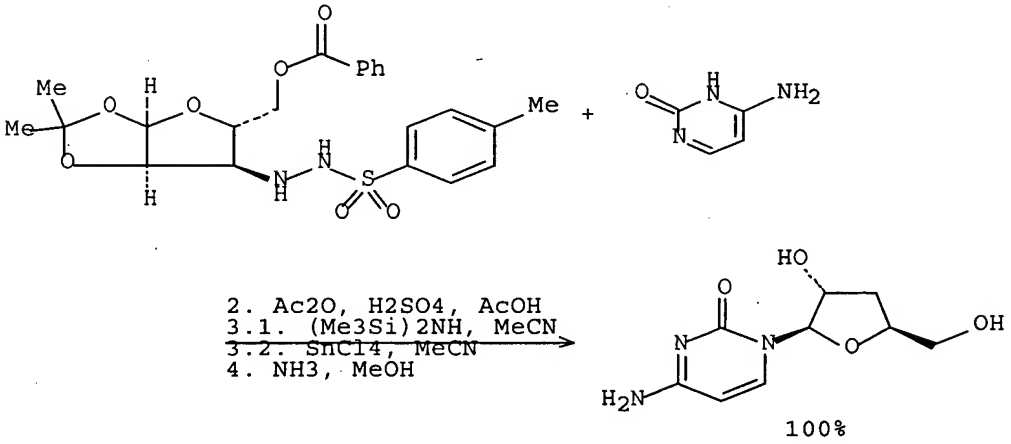
NOTE: 2) key step, 3) key step; 57% overall

RX(52) OF 143 - 4 STEPS



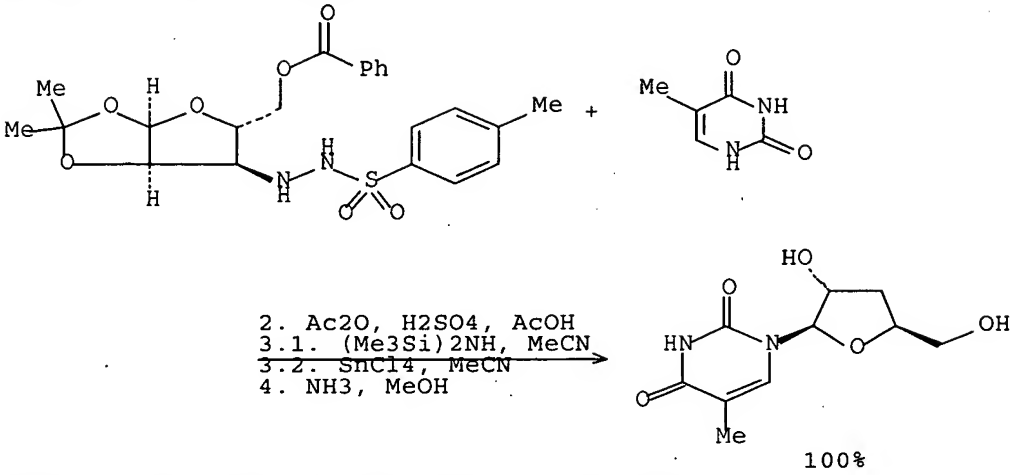
NOTE: 1) key step, 2) key step, 3) key step

RX(53) OF 143 - 4 STEPS



NOTE: 1) key step, 2) key step, 3) key step

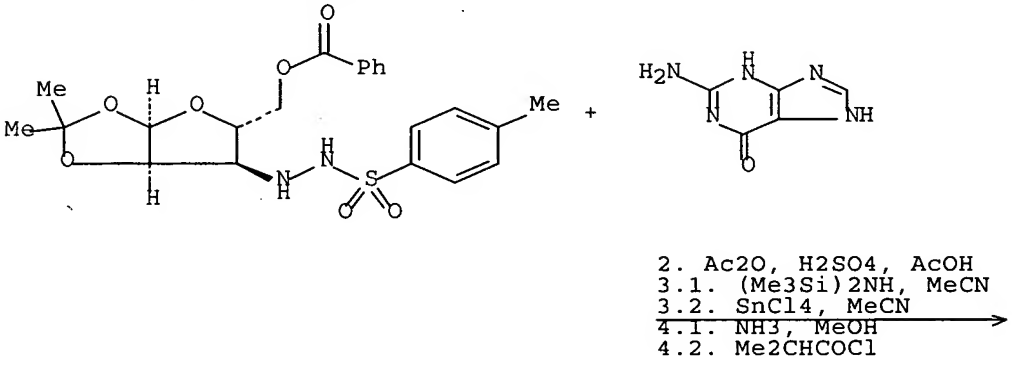
RX(54) OF 143 - 4 STEPS



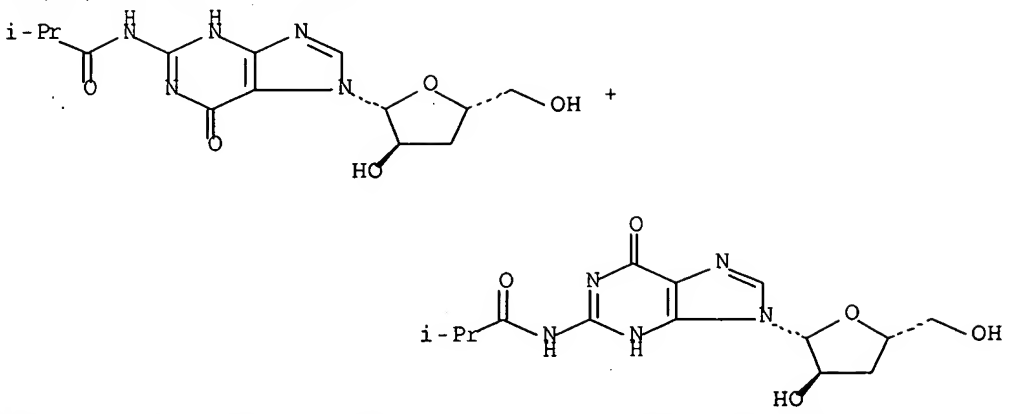
100%

NOTE: 1) key step, 2) key step, 3) key step

RX(55) OF 143 - 4 STEPS

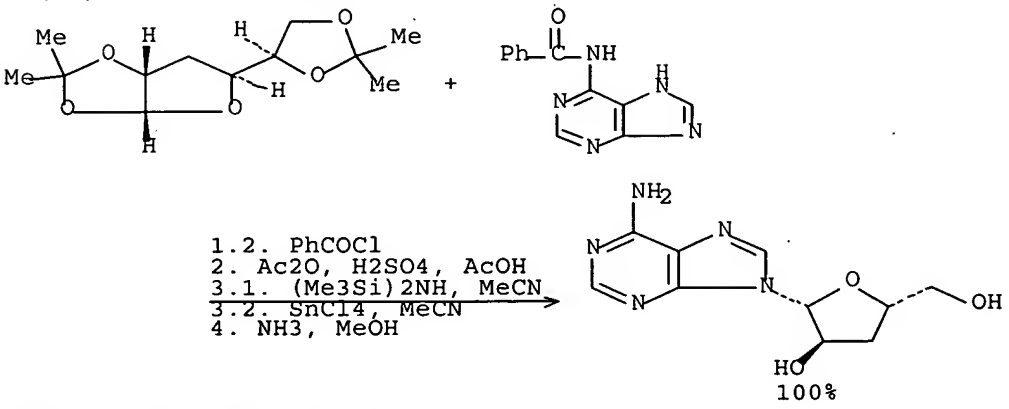


RX(55) OF 143 - 4 STEPS



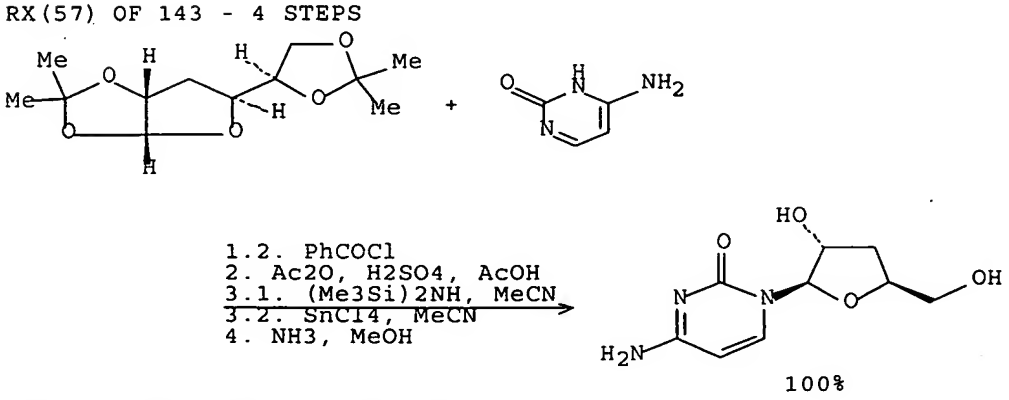
NOTE: 1) key step, 2) key step, 3) key step; 57% overall

RX(56) OF 143 - 4 STEPS



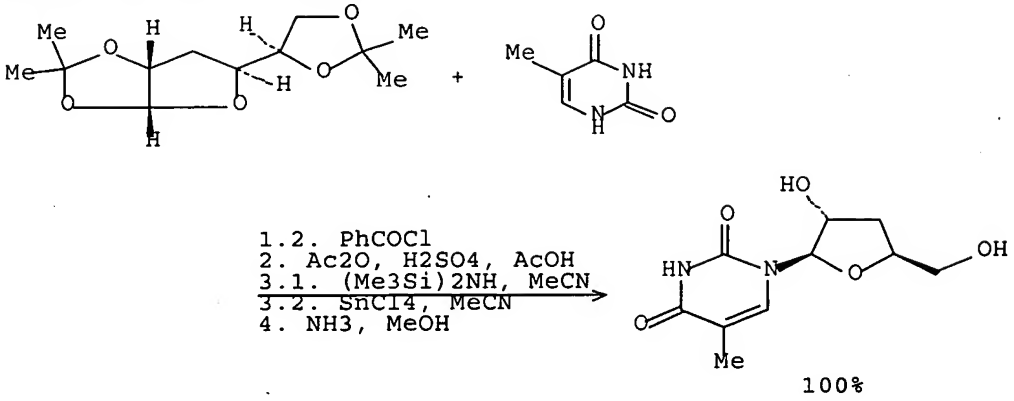
NOTE: 2) key step, 3) key step

RX(57) OF 143 - 4 STEPS



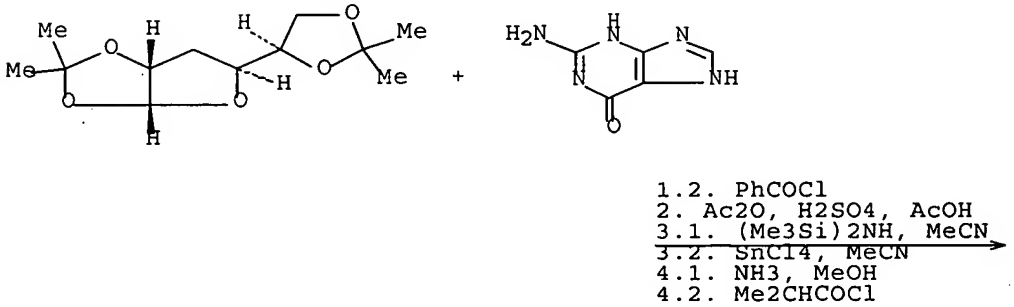
NOTE: 2) key step, 3) key step

RX(58) OF 143 - 4 STEPS



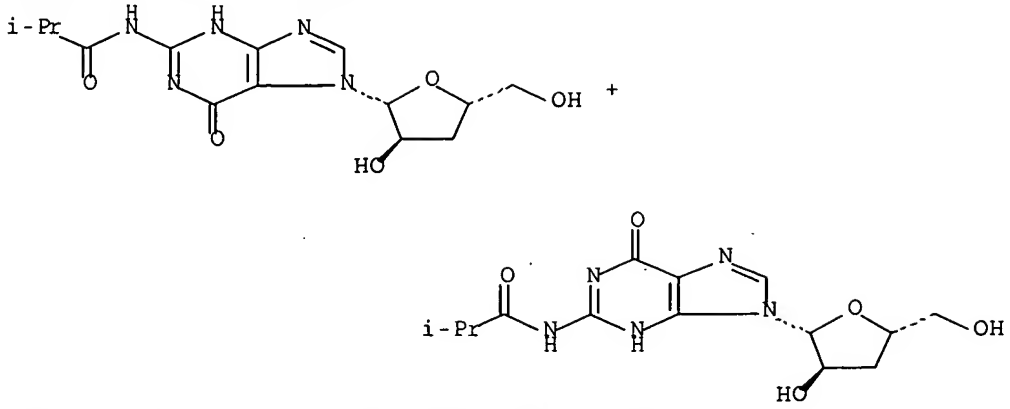
NOTE: 2) key step, 3) key step

RX(59) OF 143 - 4 STEPS



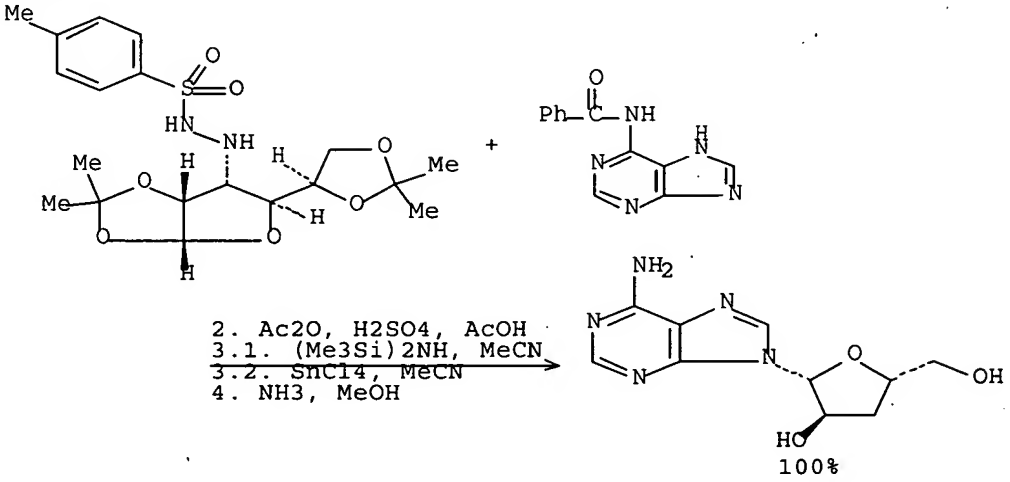
[redacted]

RX(59) OF 143 - 4 STEPS



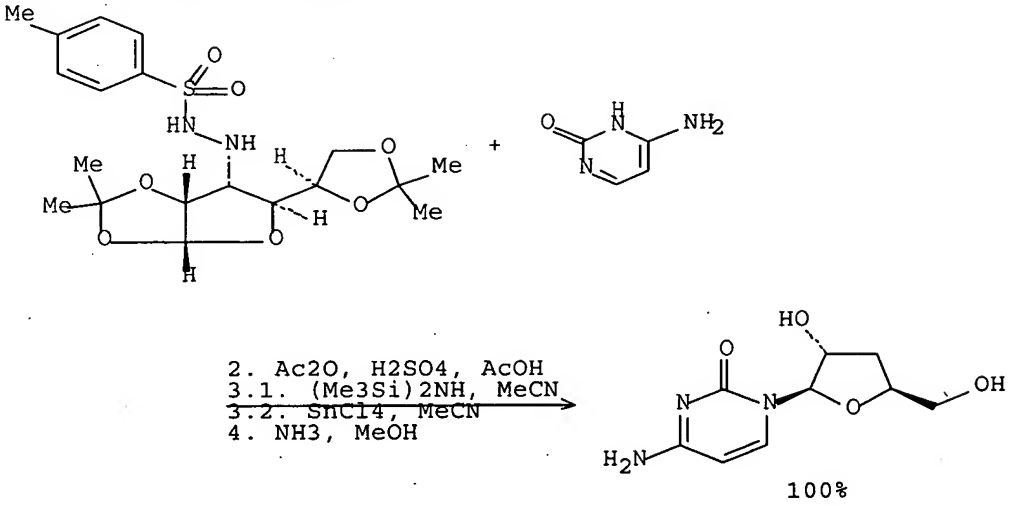
NOTE: 2) key step, 3) key step; 57% overall

RX(60) OF 143 - 4 STEPS



NOTE: 1) key step, 2) key step, 3) key step

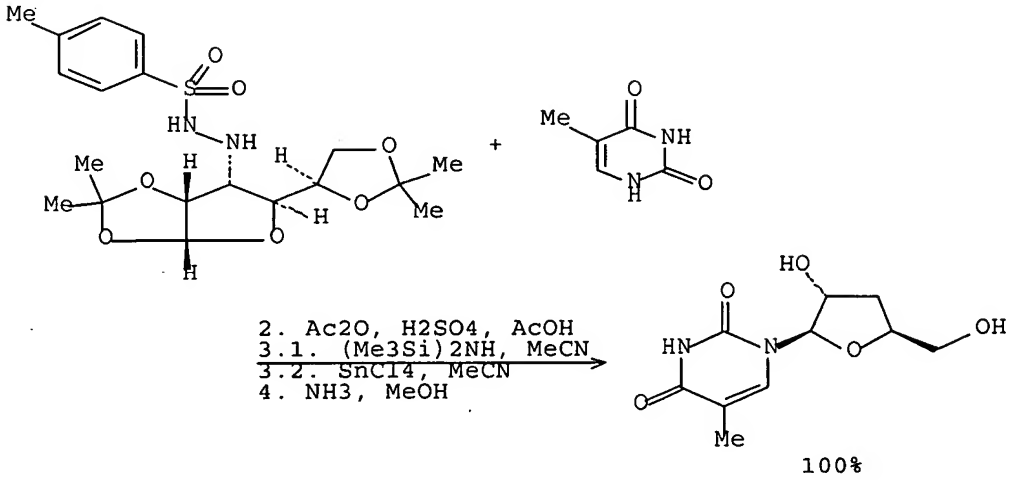
RX(61) OF 143 - 4 STEPS



100%

NOTE: 1) key step, 2) key step, 3) key step

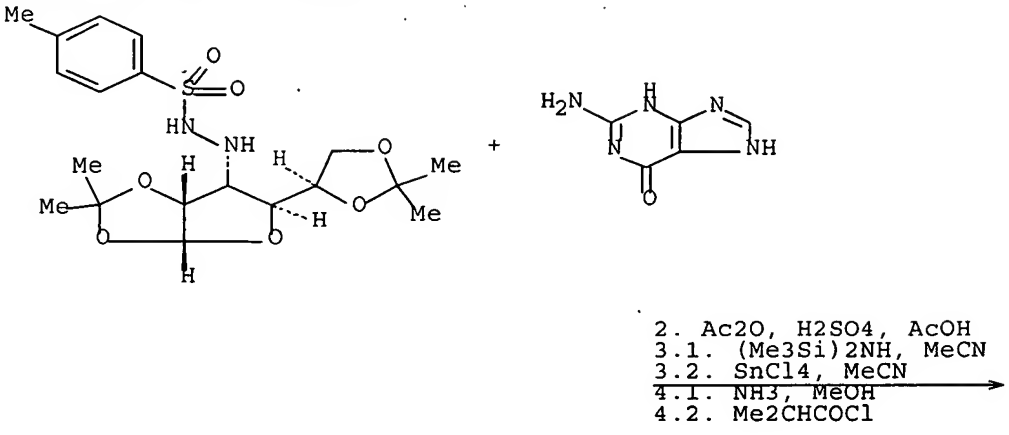
RX(62) OF 143 - 4 STEPS



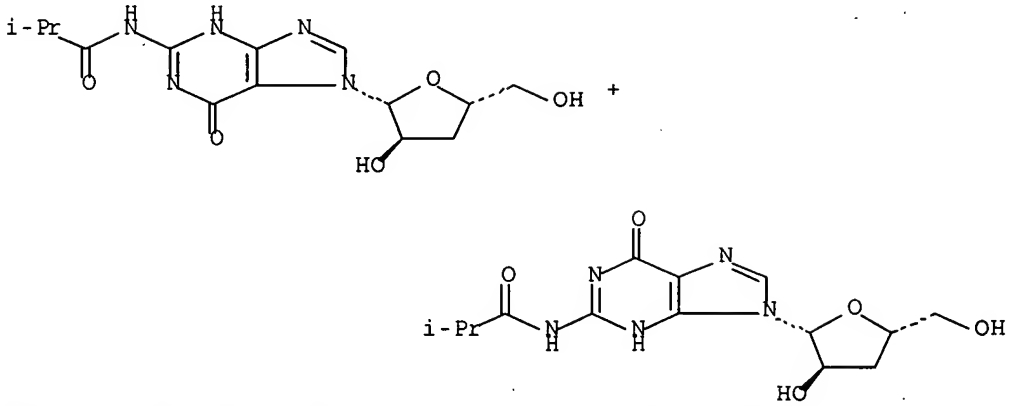
100%

NOTE: 1) key step, 2) key step, 3) key step

RX(63) OF 143 - 4 STEPS

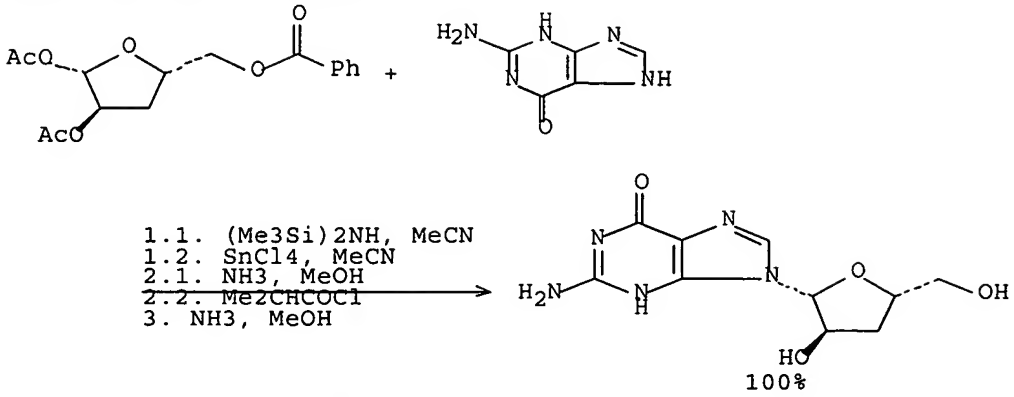


RX(63) OF 143 - 4 STEPS



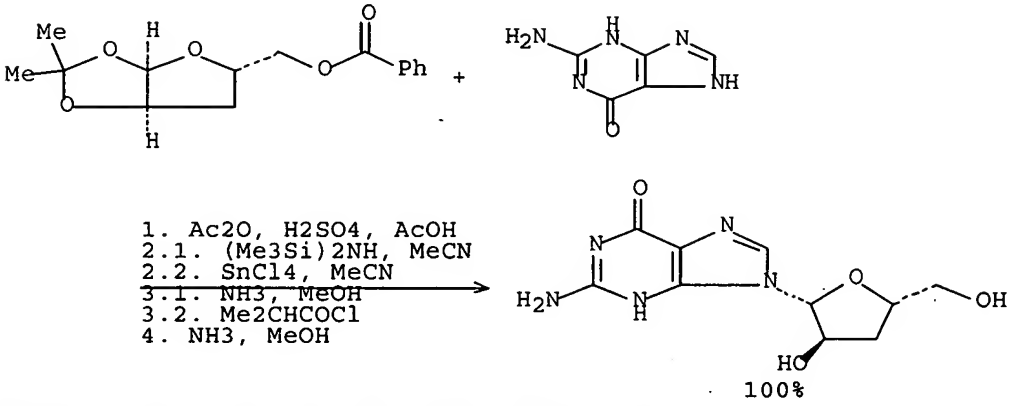
NOTE: 1) key step, 2) key step, 3) key step; 57% overall

RX(64) OF 143 - 3 STEPS



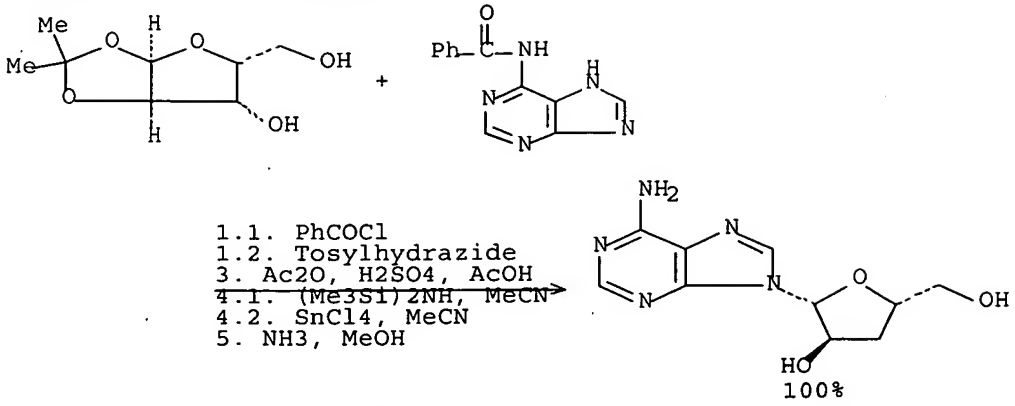
NOTE: 1) key step; 57% overall

RX(65) OF 143 - 4 STEPS



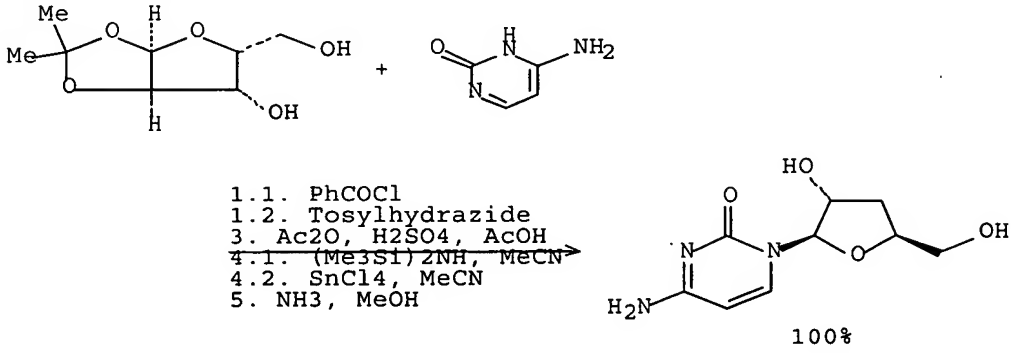
NOTE: 1) key step, 2) key step; 57% overall

RX(106) OF 143 - 5 STEPS



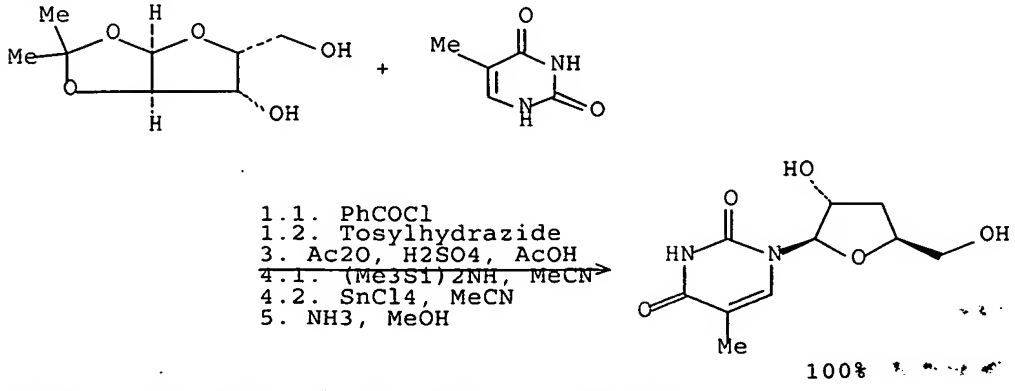
NOTE: 2) key step, 3) key step, 4) key step

RX(107) OF 143 - 5 STEPS



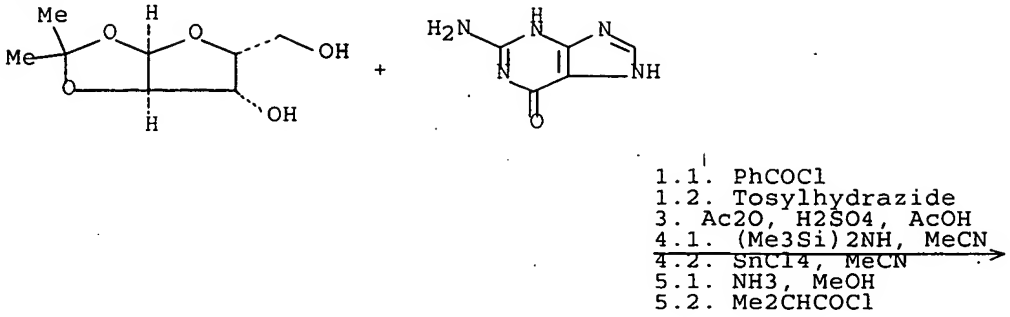
NOTE: 2) key step, 3) key step, 4) key step

RX(108) OF 143 - 5 STEPS

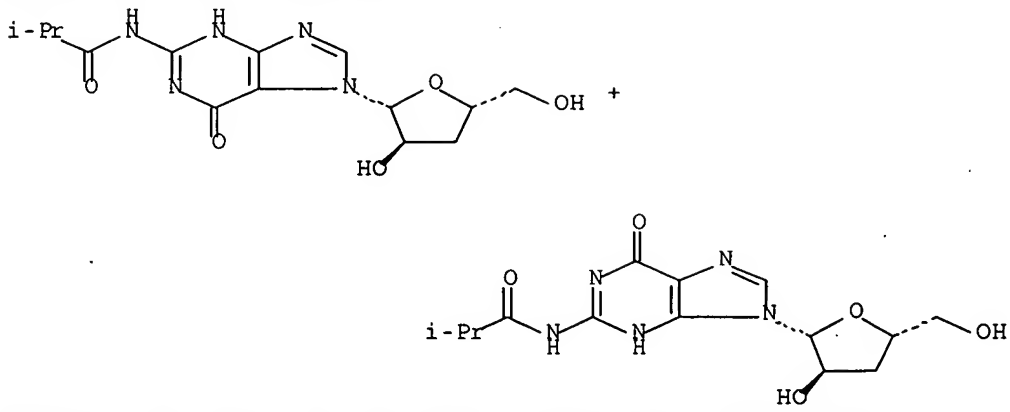


NOTE: 2) key step, 3) key step, 4) key step

RX(109) OF 143 - 5 STEPS

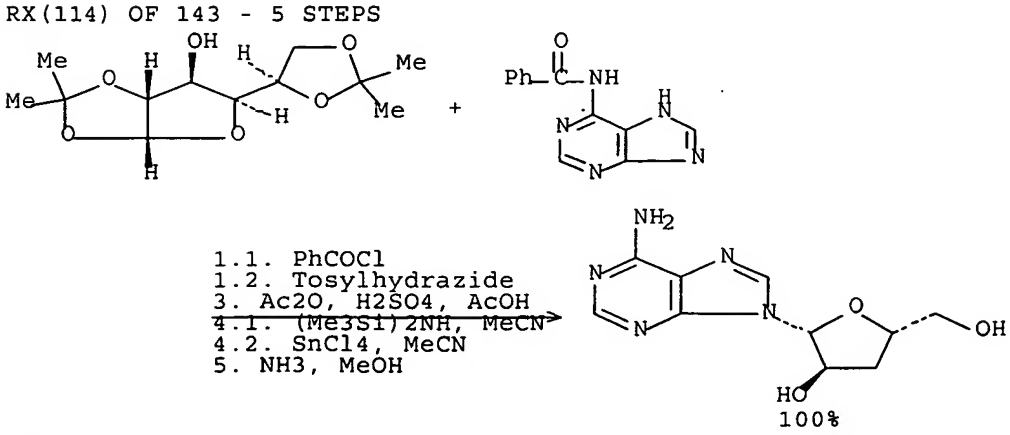


RX(109) OF 143 - 5 STEPS



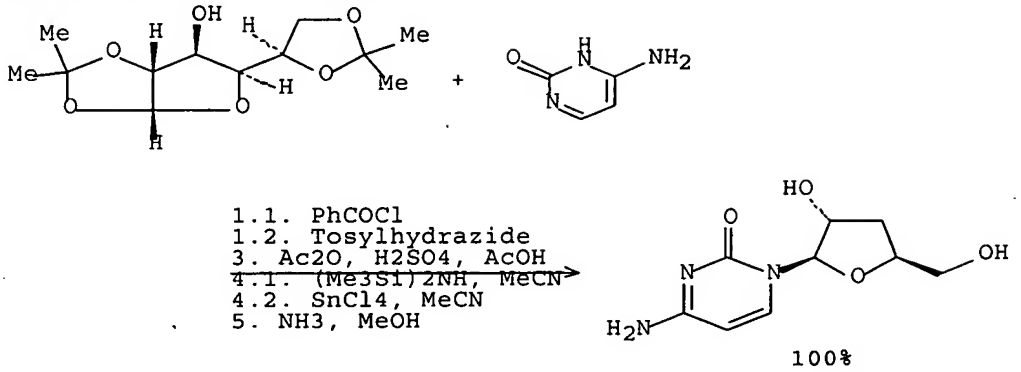
NOTE: 2) key step, 3) key step, 4) key step; 57% overall

RX(114) OF 143 - 5 STEPS



NOTE: 2) key step, 3) key step, 4) key step

RX(115) OF 143 - 5 STEPS

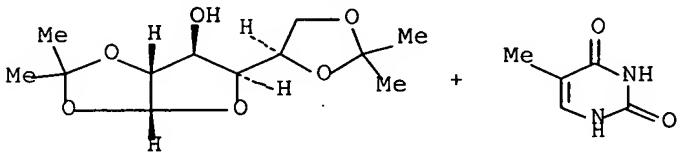


1.1. PhCOCl
1.2. Tosylhydrazide
3. Ac2O, H2SO4, AcOH
4.1. (Me3Si)2NH, MeCN
4.2. SnCl4, MeCN
5. NH3, MeOH

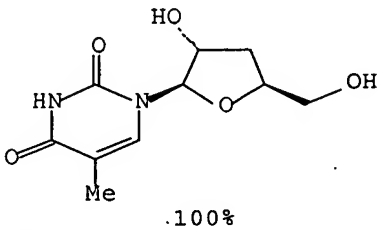
100%

NOTE: 2) key step, 3) key step, 4) key step

RX(116) OF 143 - 5 STEPS



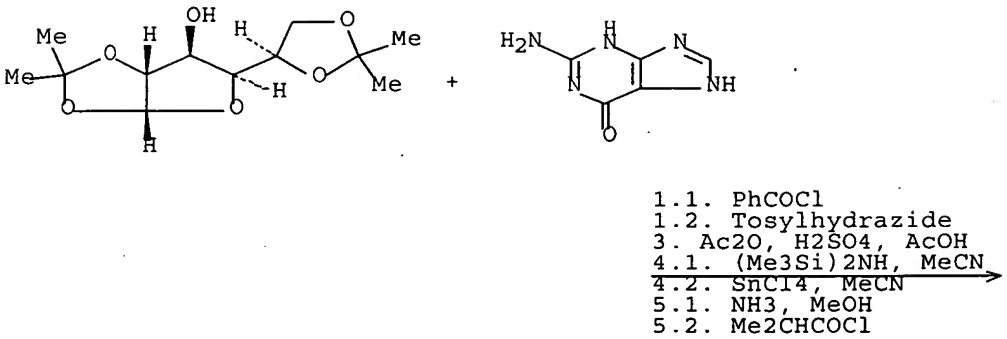
1.1. PhCOCl
1.2. Tosylhydrazide
3. Ac2O, H2SO4, AcOH
4.1. (Me3Si)2NH, MeCN
4.2. SnCl4, MeCN
5. NH3, MeOH



100%

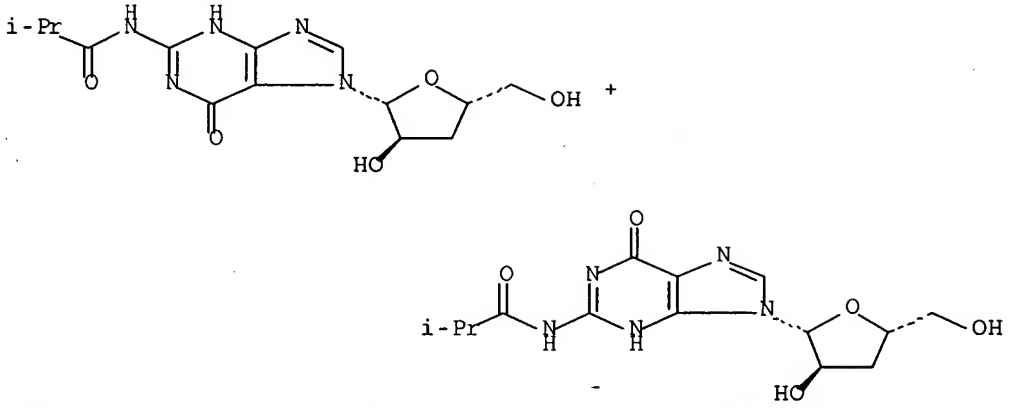
NOTE: 2) key step, 3) key step, 4) key step

RX(117) OF 143 - 5 STEPS



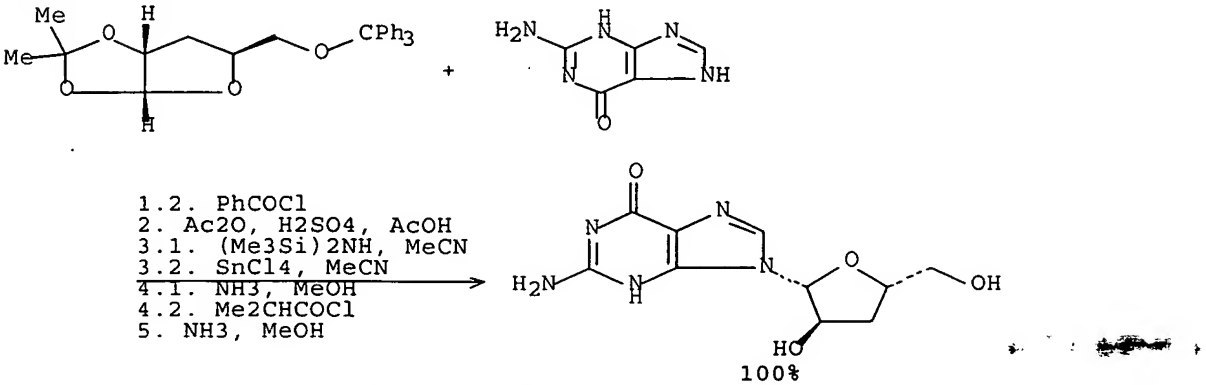
1.1. PhCOCl
1.2. Tosylhydrazide
3. Ac2O, H2SO4, AcOH
4.1. (Me3Si)2NH, MeCN
4.2. SnCl4, MeCN
5.1. NH3, MeOH
5.2. Me2CHCOCl

RX(117) OF 143 - 5 STEPS



NOTE: 2) key step, 3) key step, 4) key step; 57% overall

RX(118) OF 143 - 5 STEPS

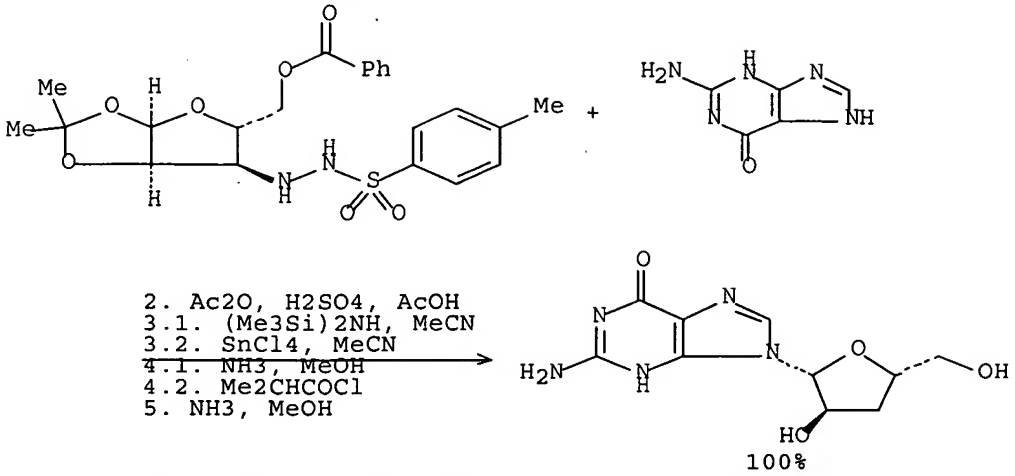


1.2. PhCOCl
2. Ac2O, H2SO4, AcOH
3.1. (Me3Si)2NH, MeCN
3.2. SnCl4, MeCN
4.1. NH3, MeOH
4.2. Me2CHCOCl
5. NH3, MeOH

100%

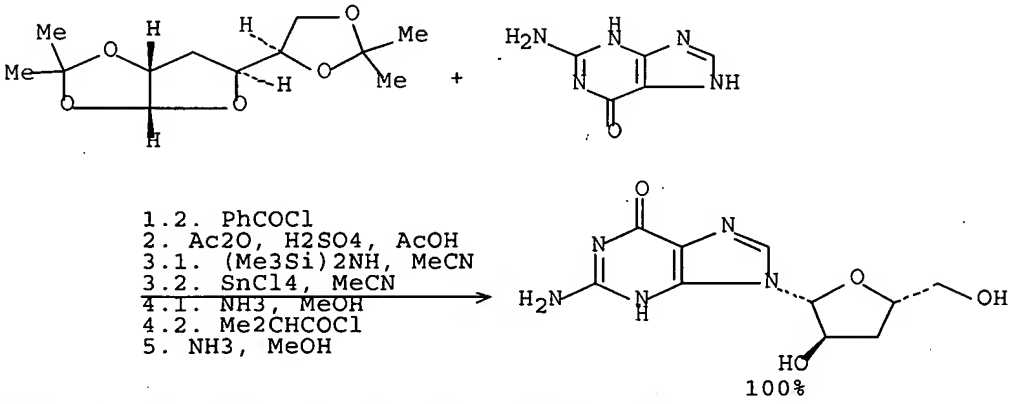
NOTE: 2) key step, 3) key step; 57% overall

RX(119) OF 143 - 5 STEPS



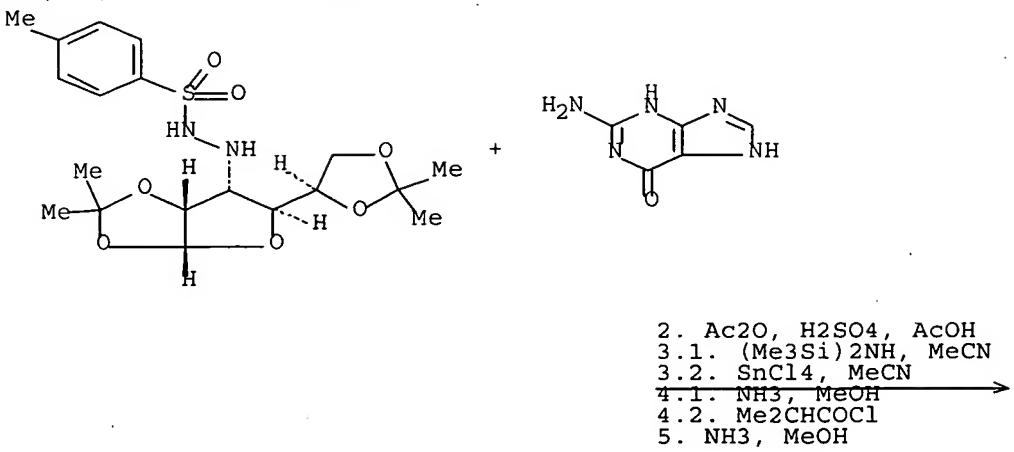
NOTE: 1) key step, 2) key step, 3) key step; 57% overall

RX(120) OF 143 - 5 STEPS

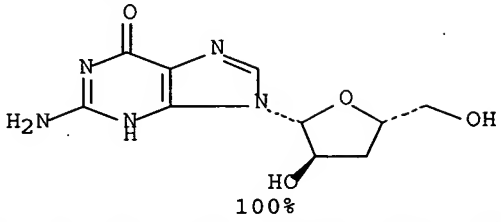


NOTE: 2) key step, 3) key step; 57% overall

RX(121) OF 143 - 5 STEPS



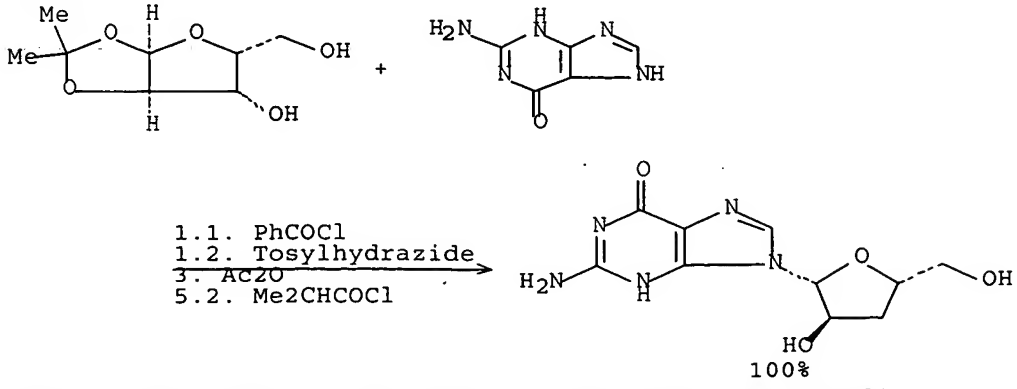
RX(121) OF 143 - 5 STEPS



100%

NOTE: 1) key step, 2) key step, 3) key step; 57% overall

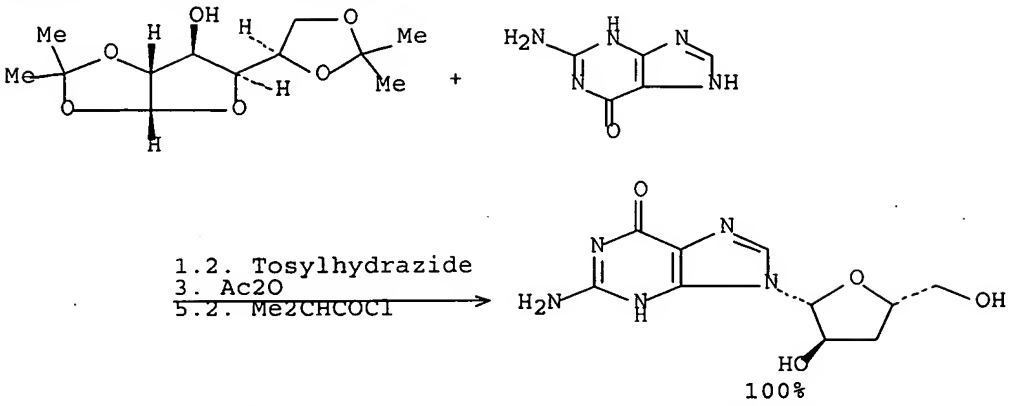
RX(122) OF 143 - 6 STEPS



100%

NOTE: 2) key step, 3) key step, 4) key step; 57% overall

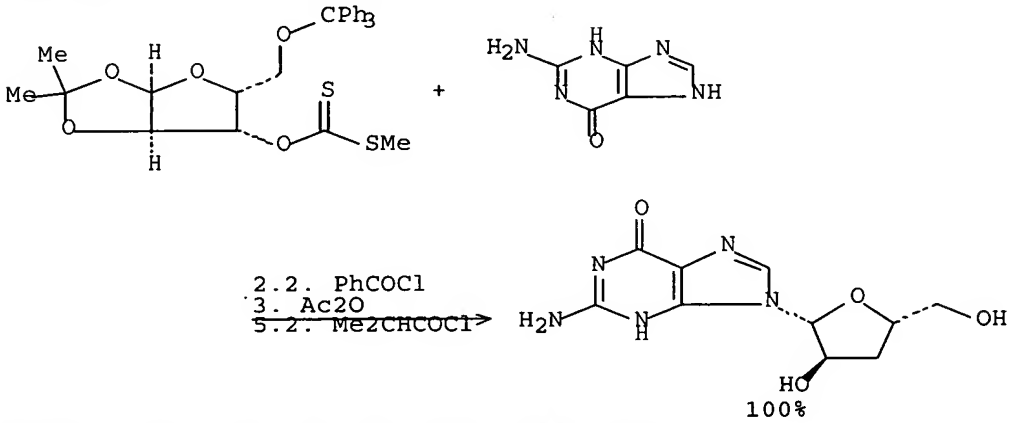
RX(123) OF 143 - 6 STEPS



100%

NOTE: 2) key step, 3) key step, 4) key step; 57% overall

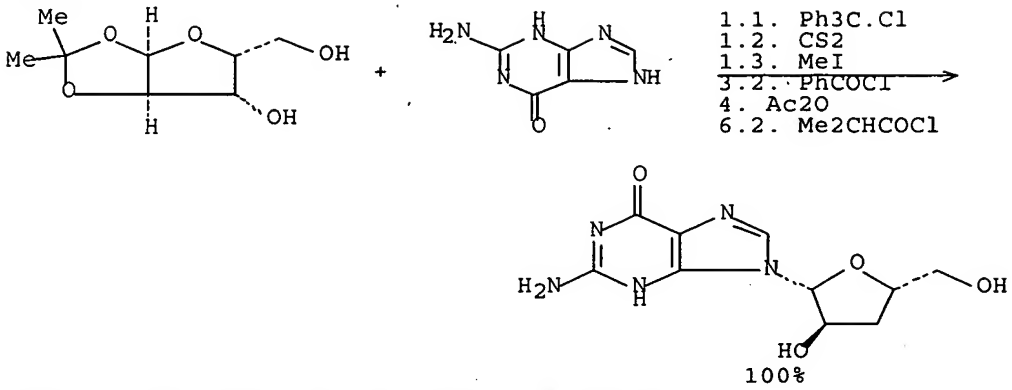
RX(124) OF 143 - 6 STEPS



100%

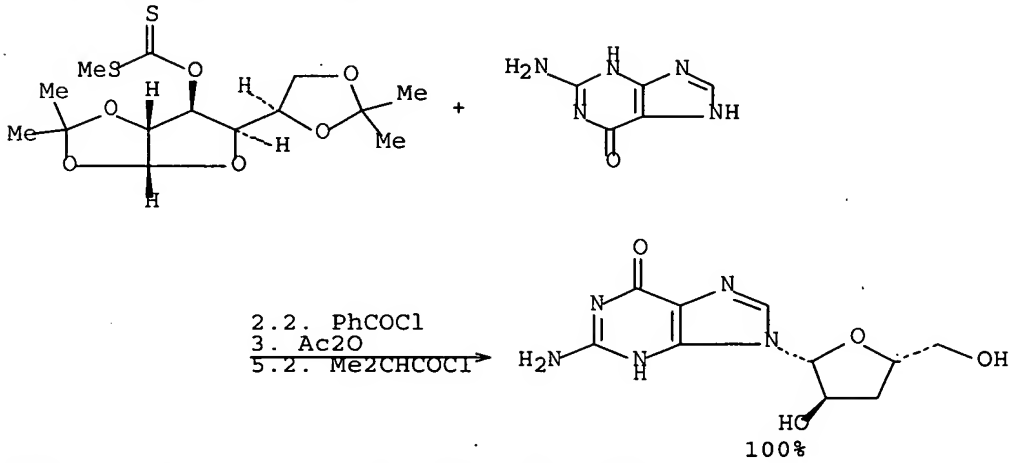
NOTE: 3) key step, 4) key step; 57% overall

RX(125) OF 143 - 7 STEPS



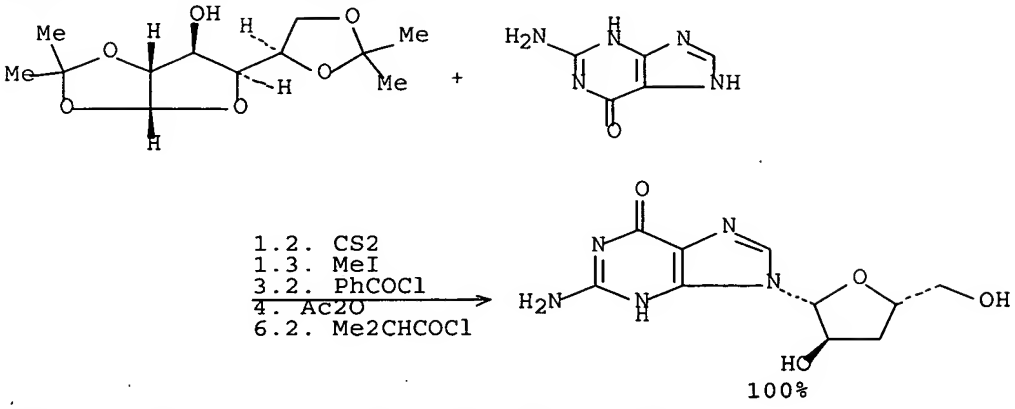
NOTE: 4) key step, 5) key step; 57% overall

RX(126) OF 143 - 6 STEPS



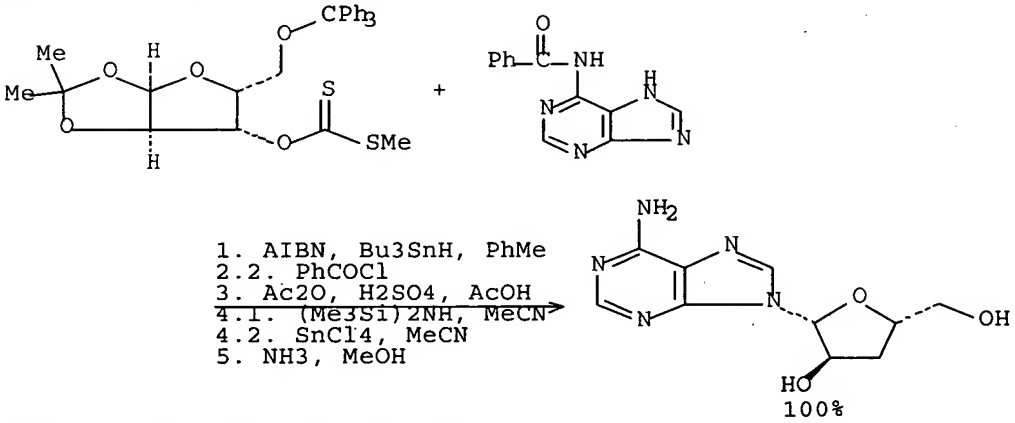
NOTE: 3) key step, 4) key step; 57% overall

RX(127) OF 143 - 7 STEPS



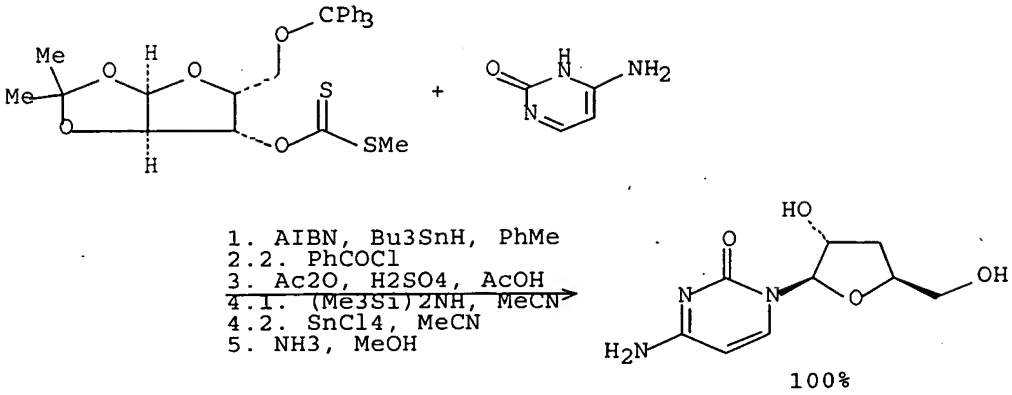
NOTE: 4) key step, 5) key step; 57% overall

RX(128) OF 143 - 5 STEPS



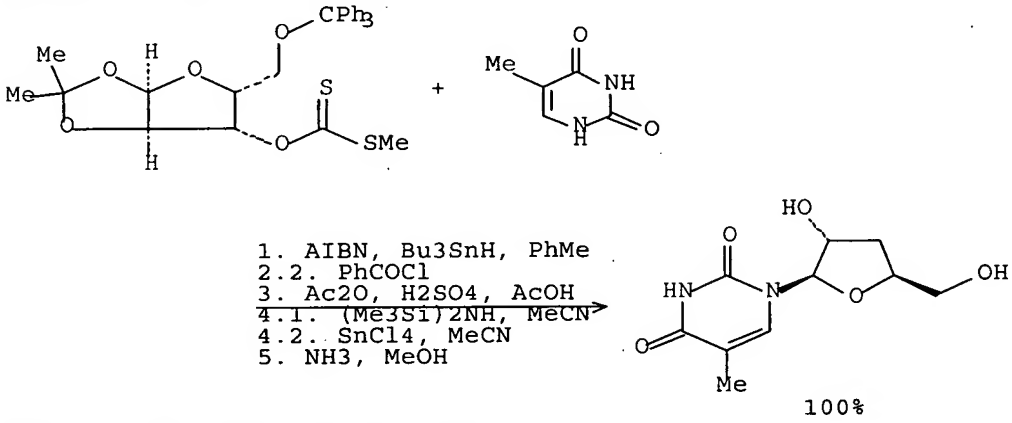
NOTE: 3) key step, 4) key step

RX(129) OF 143 - 5 STEPS



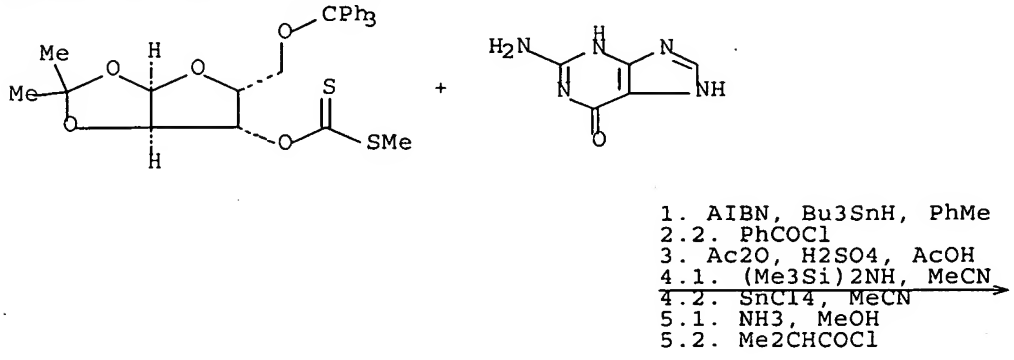
NOTE: 3) key step, 4) key step

RX(130) OF 143 - 5 STEPS

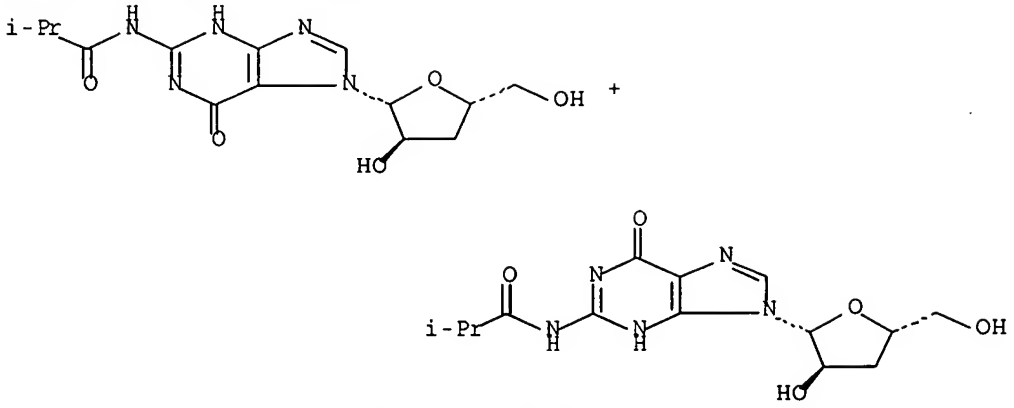


NOTE: 3) key step, 4) key step

RX(131) OF 143 - 5 STEPS

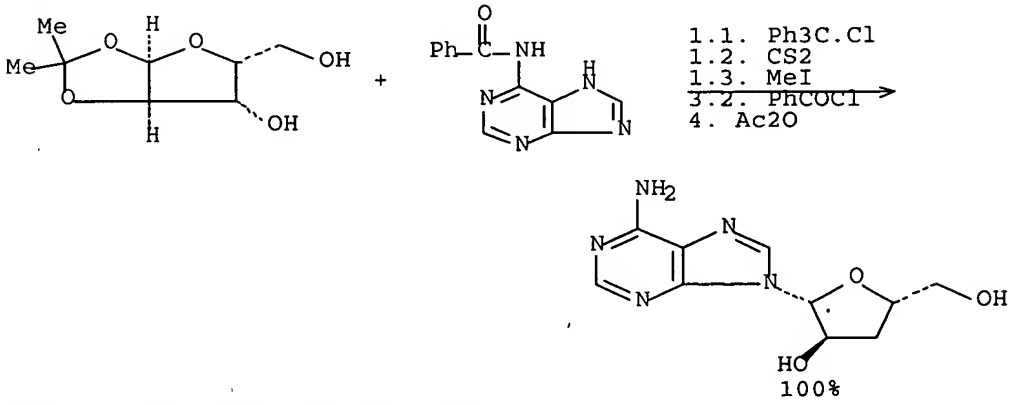


RX(131) OF 143 - 5 STEPS



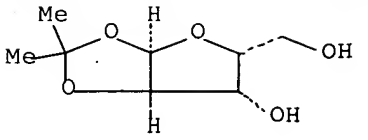
NOTE: 3) key step, 4) key step; 57% overall

RX(132) OF 143 - 6 STEPS

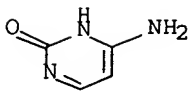


NOTE: 4) key step, 5) key step

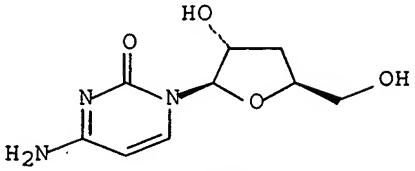
RX(133) OF 143 - 6 STEPS



+



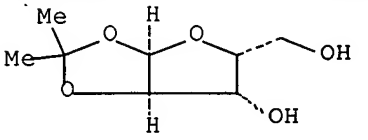
1.1. Ph3C.Cl
1.2. CS2
1.3. MeI
3.2. PhCOCl
4. Ac2O



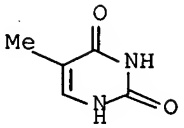
100%

NOTE: 4) key step, 5) key step

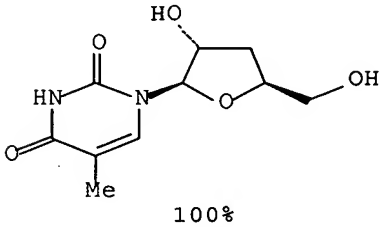
RX(134) OF 143 - 6 STEPS



+



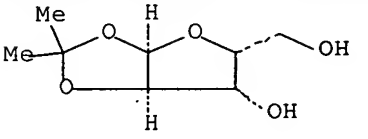
1.1. Ph3C.Cl
1.2. CS2
1.3. MeI
3.2. PhCOCl
4. Ac2O



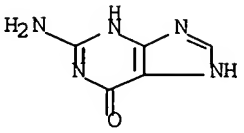
100%

NOTE: 4) key step, 5) key step

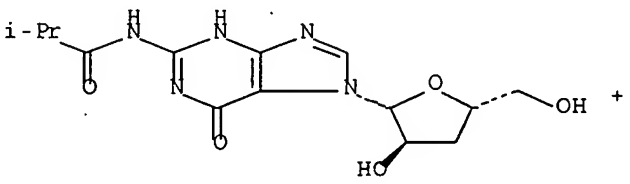
RX(135) OF 143 - 6 STEPS



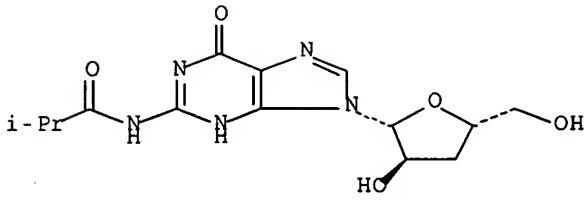
+



1.1. Ph3C.Cl
1.2. CS2
1.3. MeI
3.2. PhCOCl
4. Ac2O
6.2. Me2CHCOCl

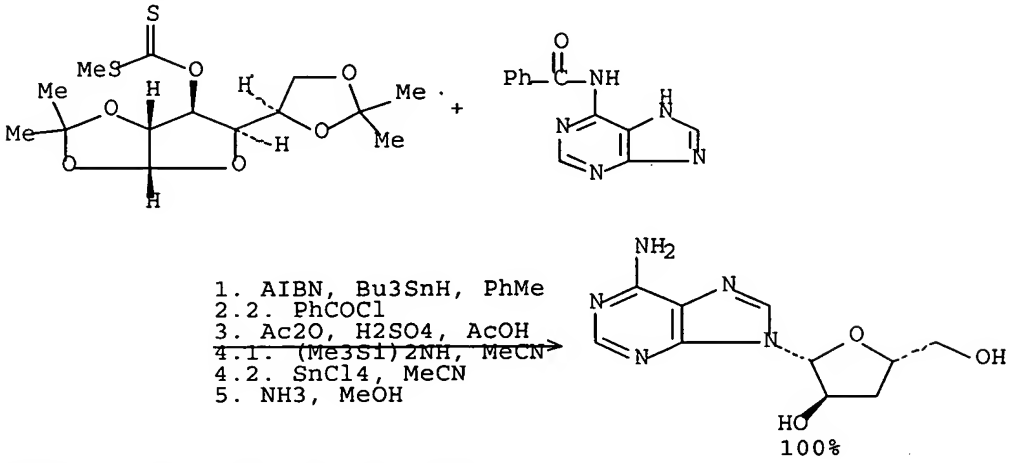


RX(135) OF 143 - 6 STEPS



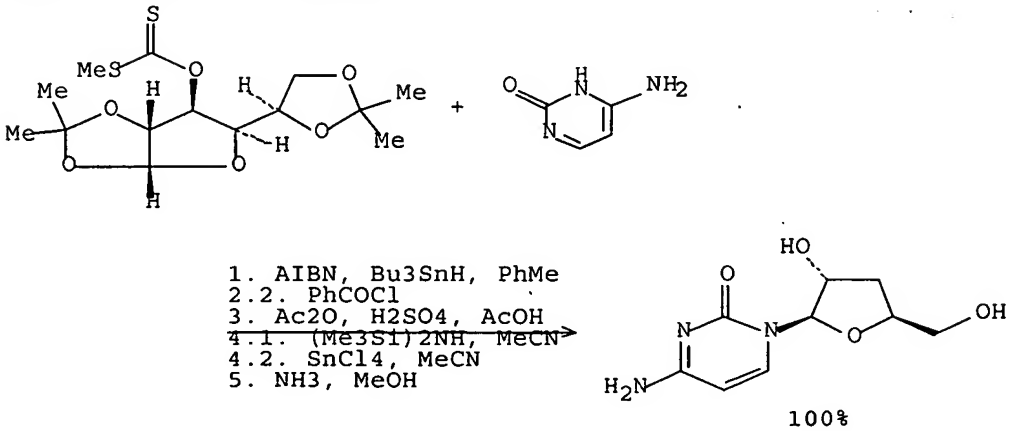
NOTE: 4) key step, 5) key step; 57% overall

RX(136) OF 143 - 5 STEPS



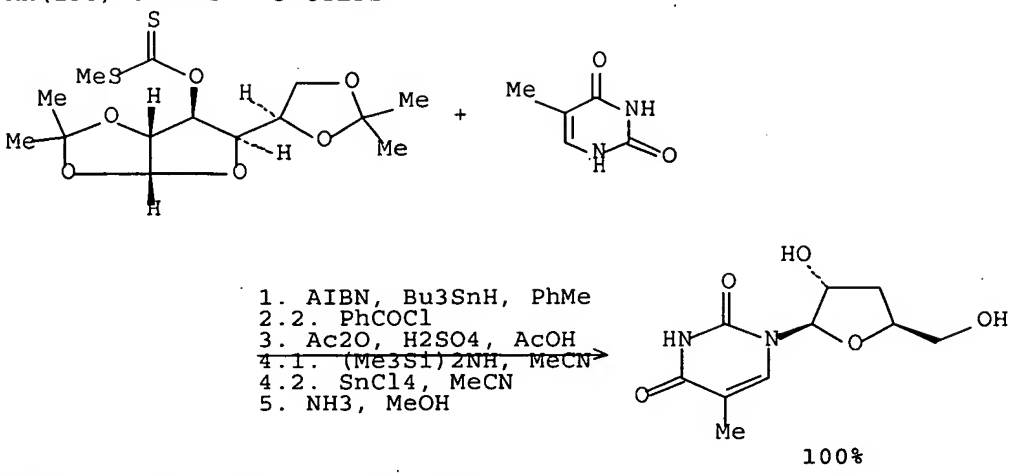
NOTE: 3) key step, 4) key step

RX(137) OF 143 - 5 STEPS



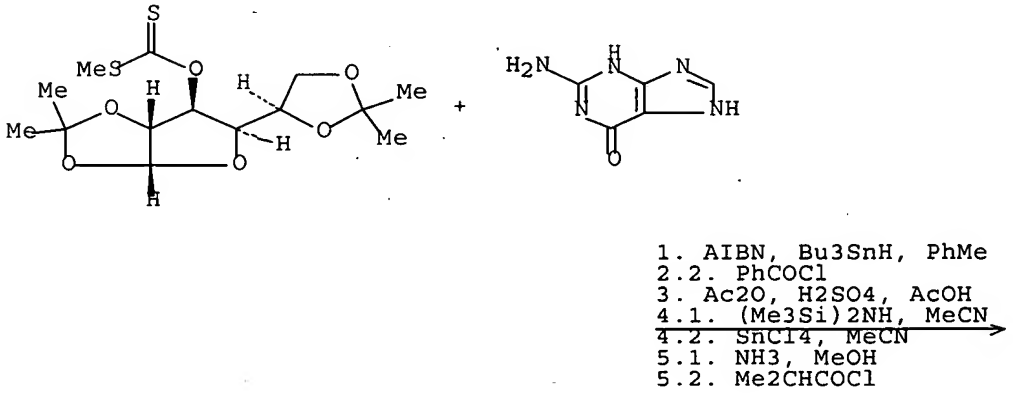
NOTE: 3) key step, 4) key step

RX(138) OF 143 - 5 STEPS

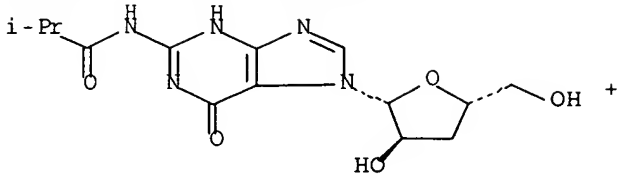


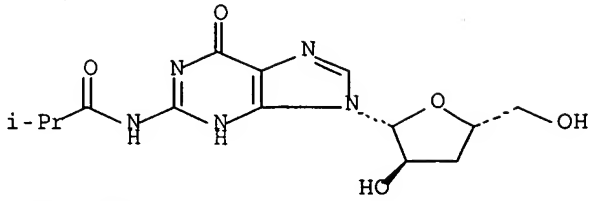
NOTE: 3) key step, 4) key step

RX(139) OF 143 - 5 STEPS



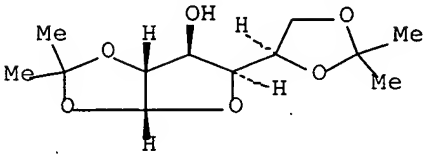
RX(139) OF 143 - 5 STEPS

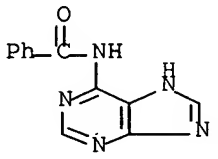




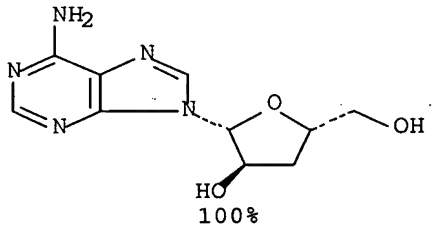
NOTE: 3) key step, 4) key step; 57% overall

RX(140) OF 143 - 6 STEPS





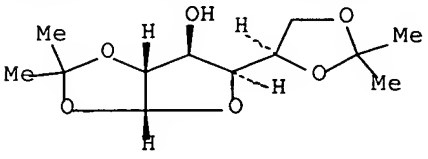
1.2. CS2
1.3. MeI
3.2. PhCOCl
4. Ac2O

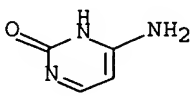


100%

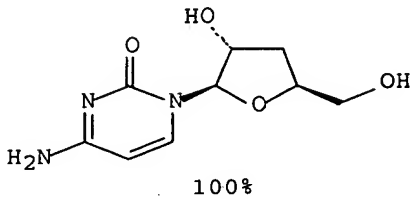
NOTE: 4) key step, 5) key step

RX(141) OF 143 - 6 STEPS





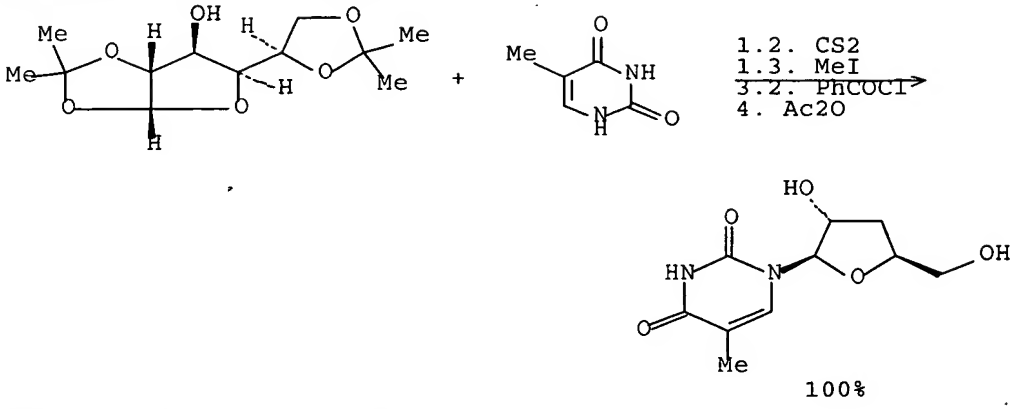
1.2. CS2
1.3. MeI
3.2. PhCOCl
4. Ac2O



100%

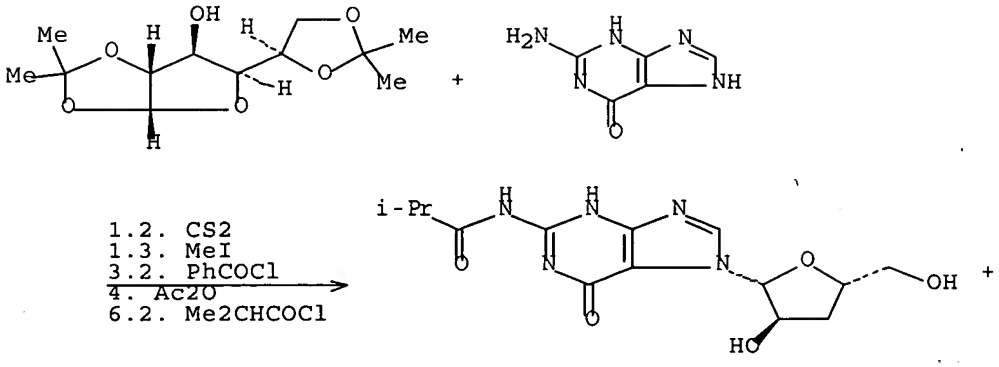
NOTE: 4) key step, 5) key step

RX(142) OF 143 - 6 STEPS

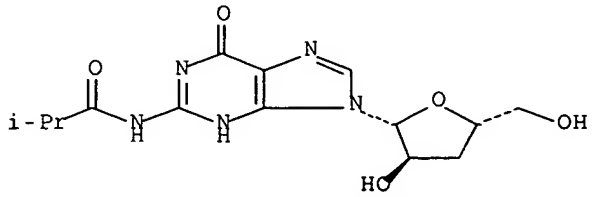


NOTE: 4) key step, 5) key step

RX(143) OF 143 - 6 STEPS

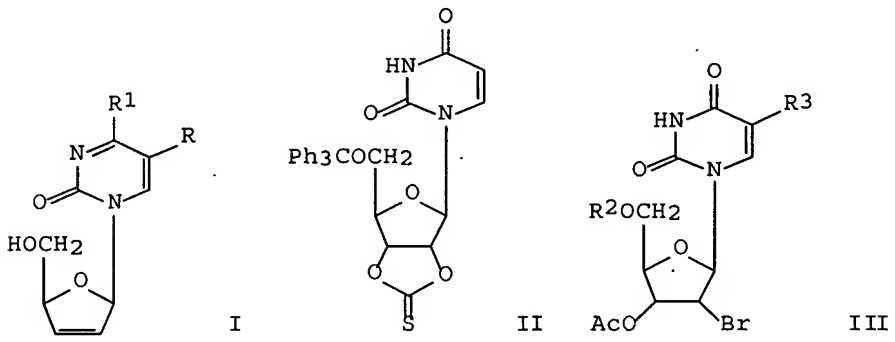


RX(143) OF 143 - 6 STEPS



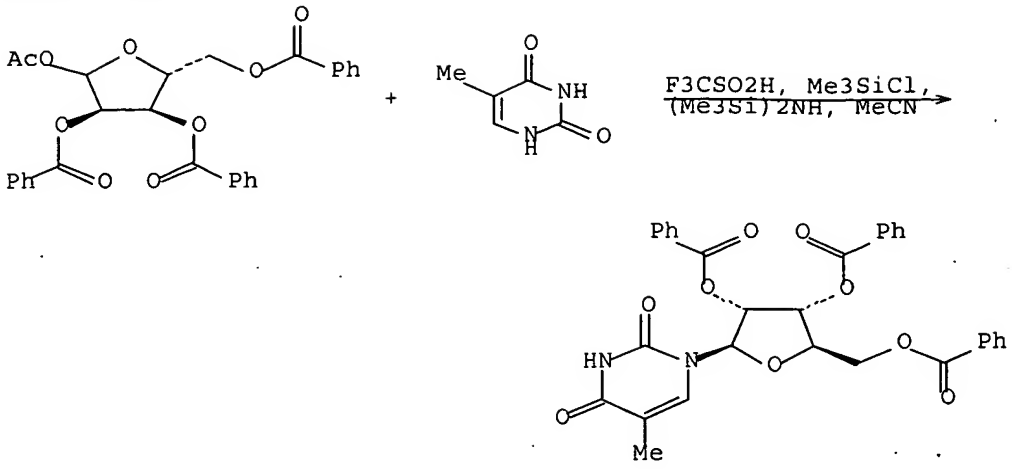
NOTE: 4) key step, 5) key step; 57% overall

L47 ANSWER 6 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 111:154286 CASREACT Full-text
TITLE: Preparation of 1-(2,3-dideoxy-β-D-glycero-pent-2-enofuranosyl)thymine (d4T) and 2',3'-dideoxyadenosine (ddA): general methods for the synthesis of 2',3'-olefinic and 2',3'-dideoxy nucleoside analogs active against HIV
AUTHOR(S): Mansuri, Muzammil M.; Starrett, John E., Jr.; Wos, John A.; Tortolani, David R.; Brodfuehrer, Paul R.; Howell, Henry G.; Martin, John C.
CORPORATE SOURCE: Pharm. Res. Dev. Div., Bristol-Myers, Wallingford, CT, 06492-7660, USA
SOURCE: Journal of Organic Chemistry (*1989*), 54(20), 4780-5
CODEN: JOCEAH; ISSN: 0022-3263
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

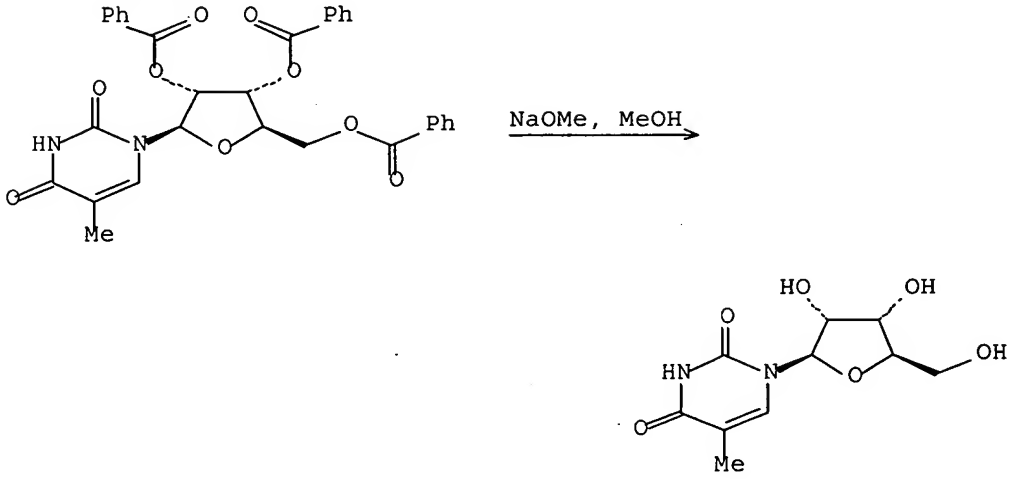


AB Methods for the preparation of the 2',3'-unsatd. thymidine and cytidine analogs (I; R = Me, R1 = OH; R = H, R1 = NH2), 2',3'-dideoxycytidine and 2',3'-dideoxyadenosine, which are active in vitro against HIV, are reported. The methods used were the Corey-Winter reaction involving the fragmentation of a cyclic thionocarbonate II, olefin formation from 2',3'-O-alkoxymethylidene cyclic ortho esters, and the reductive elimination of the 2',3' halo acetates, e.g., III [R2 = COC(OAc)Me2, R3 = H, Me; R1 = Ac, R3 = Me). Of these 3 methods, the last was the most versatile, since the intermediates III or the trans-3'(2')-bromo-2'(3')-O- acetyl-3'(2')-deoxyarabinosylpurines are readily transformed to the corresponding olefins. As an example of the preparation of a saturated 2',3'-dideoxy analog, 2',3'-dideoxyadenosine was obtained by catalytic reduction of the corresponding olefinic nucleoside.

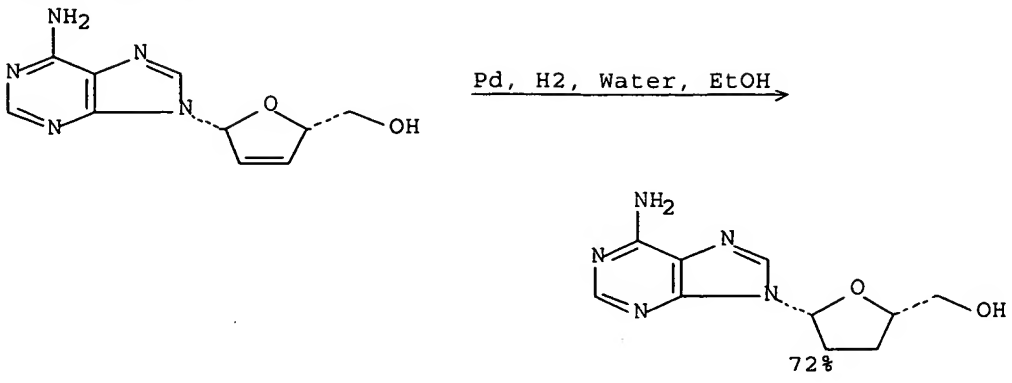
RX(9) OF 58



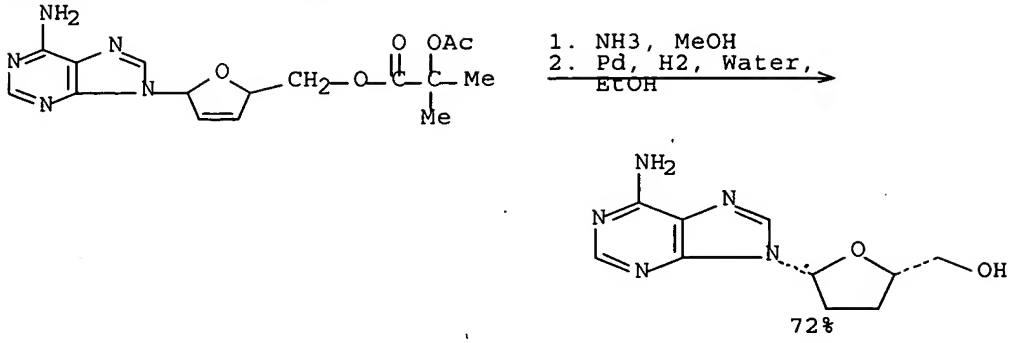
RX(10) OF 58



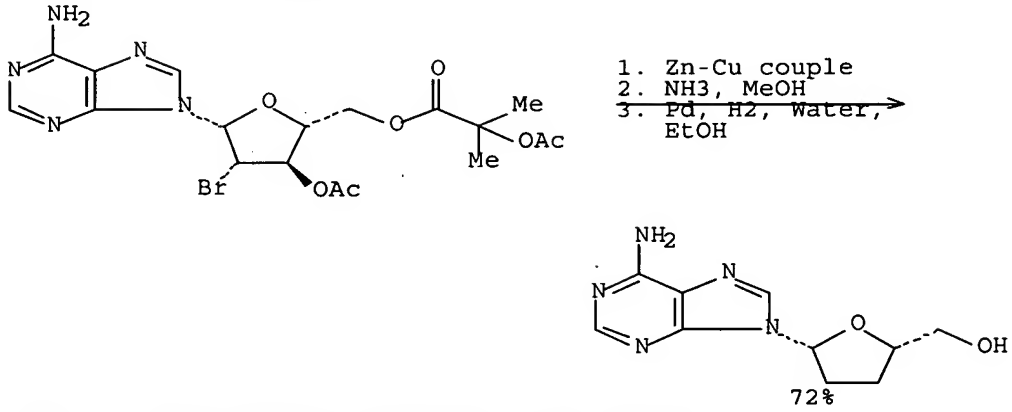
RX(17) OF 58



RX(36) OF 58 - 2 STEPS

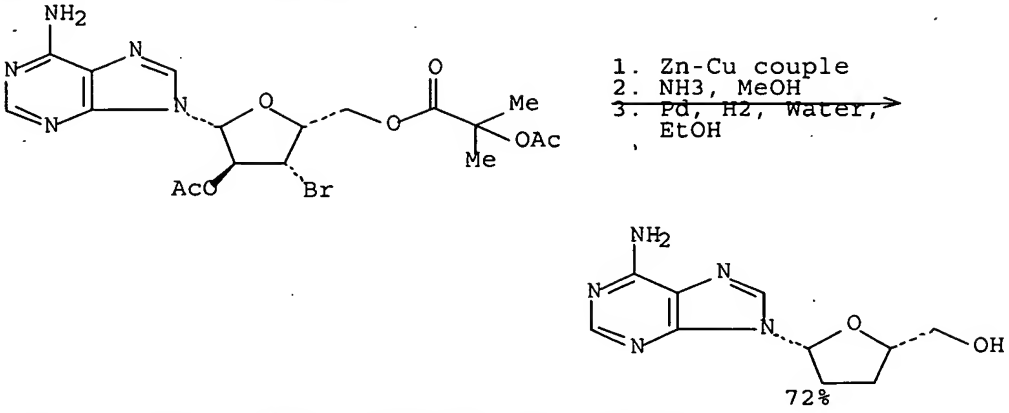


RX(51) OF 58 - 3 STEPS



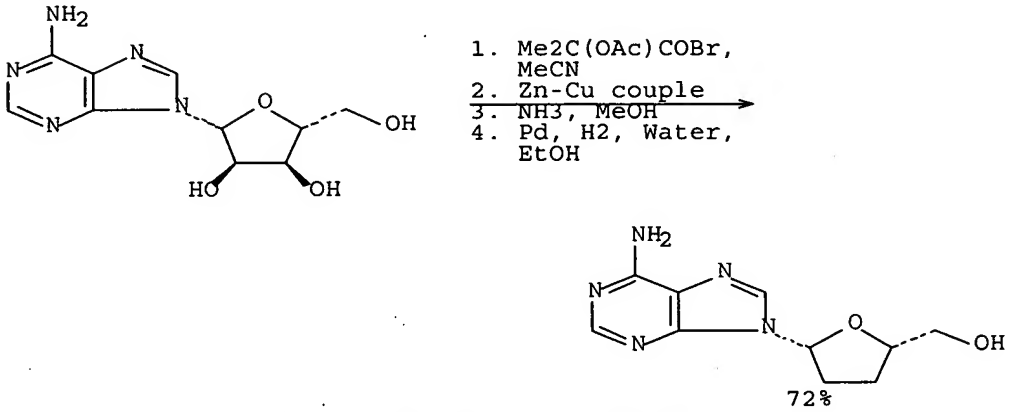
NOTE: 1) REGIOISOMERIC REACTANT ALSO PRESENT

RX(52) OF 58 - 3 STEPS



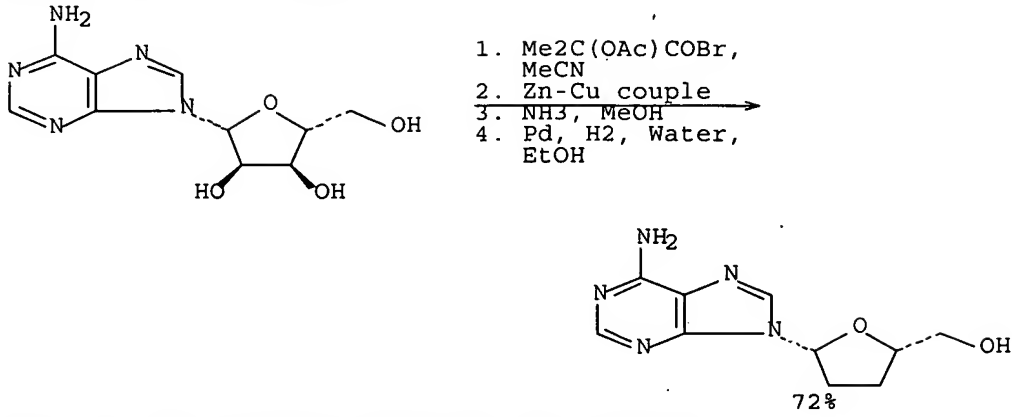
NOTE: 1) REGIOISOMERIC REACTANT ALSO PRESENT

RX(53) OF 58 - 4 STEPS



NOTE: 2) REGIOISOMERIC REACTANT ALSO PRESENT

RX(54) OF 58 - 4 STEPS



NOTE: 2) REGIOISOMERIC REACTANT ALSO PRESENT

L47 ANSWER 7 OF 13 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 109:190748 CASREACT Full-text

TITLE: Nucleic acid-related compounds. 53. Synthesis and biological evaluation of 2'-deoxy-β-threo-pentofuranosyl nucleosides. Reversion to starting alcohol in Barton-type reductions of thionocarbonates

AUTHOR(S): Robins, Morris J.; Madej, Danuta; Hansske, Fritz; Wilson, John S.; Gosselin, Gilles; Bergogne, Marie Christine; Imbach, Jean Louis; Balzarini, Jan; De Clercq, Erik

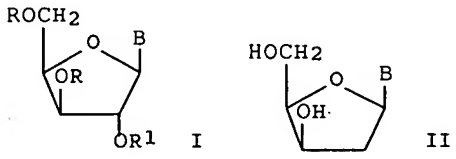
CORPORATE SOURCE: Dep. Chem., Univ. Alberta, Edmonton, AB, T6G 2G2, Can.

SOURCE: Canadian Journal of Chemistry (*1988*), 66(5), 1258-62
CODEN: CJCHAG; ISSN: 0008-4042

DOCUMENT TYPE: Journal

LANGUAGE: English

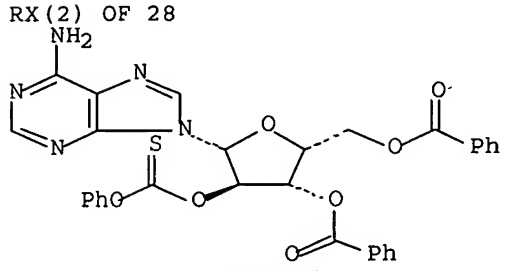
GI



AB Treatment of selectively 3',5'-protected β-D-xylofuranosyl nucleosides I (B = adeninyl, N2-acetylguaninyl, N4-acetylcytosinyl, uracilyl, thyminyl; R = Bz, silyl; R1 = H) with PhOCSCl and DMAP followed by hydrogenolysis of the resulting thionocarbonate esters I [R1 = C(:S)OPh] with Bu3SnH-AIBN, and deprotection, gave 5'-deoxy-β-D-threo- pentofuranosyl nucleosides II. Formation of a byproduct bis(nucleosid-2'-yl)thionocarbonate dimer was detected in the uracil nucleoside reaction sequence. Its subsequent reduction provides one explanation for reversion to starting alc. in Barton-type

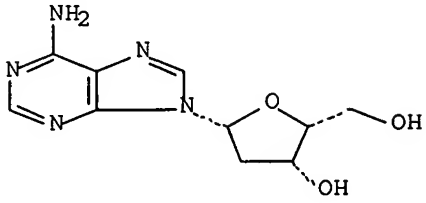
deoxygenation reactions. Only II (B = guaninyl) had weak antiviral activity against Herpes simplex virus type 1.

RX(2) OF 28

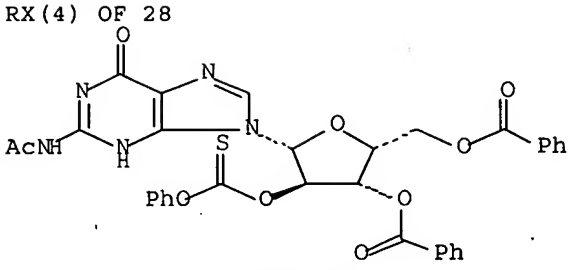


(step 1)

1. Bu3SnH, AIBN, PhMe
2. NaOMe, MeOH

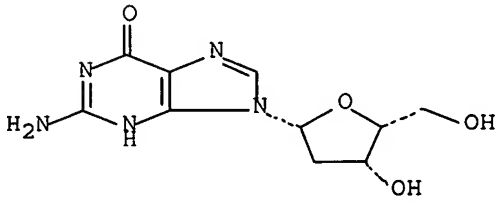


RX(4) OF 28

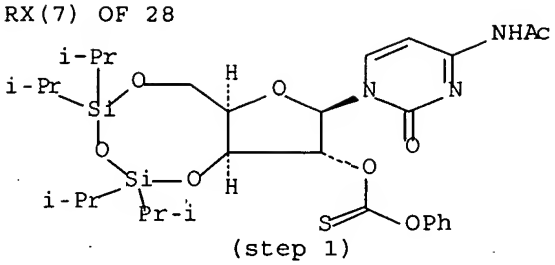


(step 1)

1. Me3SiN:C(CF3)OSiMe3, DMF
2. Bu3SnH, AIBN, PhMe
3. NH3, MeOH

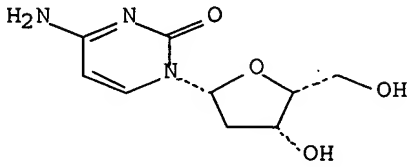


RX(7) OF 28

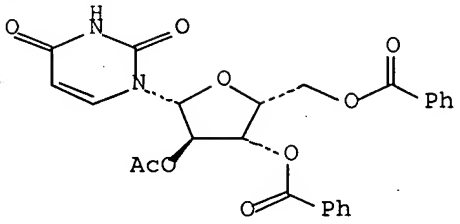


(step 1)

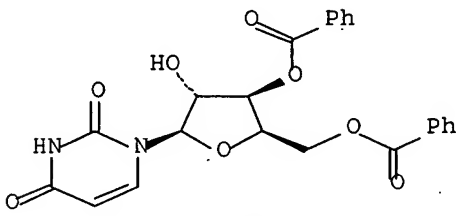
1. Bu3SnH, AIBN, PhMe
2. Bu4N.F, THF
3. NH3, MeOH



RX(8) OF 28

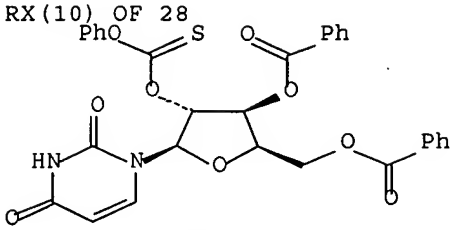


N2H4, AcOH, Pyridine



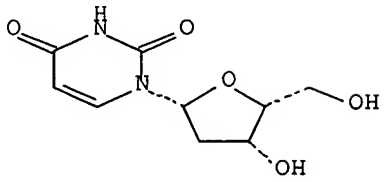
80%

RX(10) OF 28

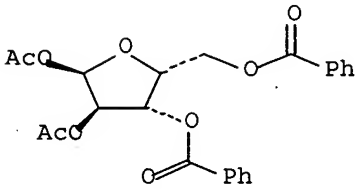


(step 1)

1. Bu3SnH, AIBN, PhMe
2. NaOMe, MeOH



RX(11) OF 28



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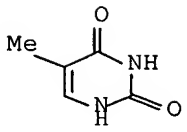
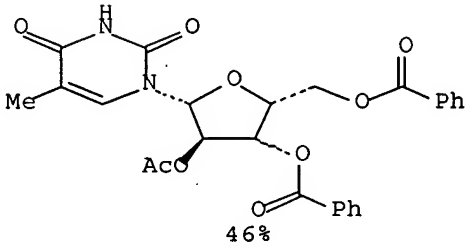


SnCl4, (Me3Si)2NH,
Me3SiCl, MeCN



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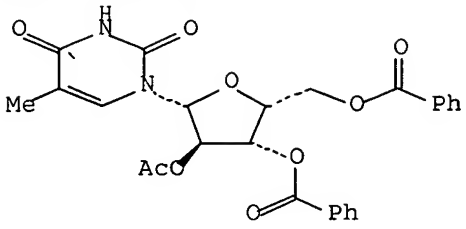
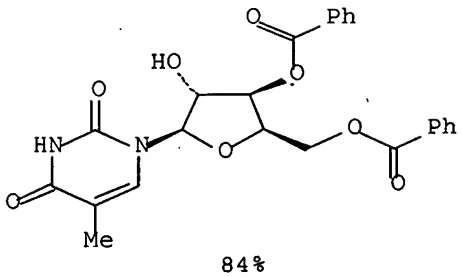
RX(12) OF 28



N2H4, AcOH, Pyridine



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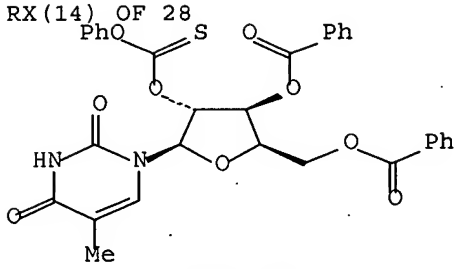
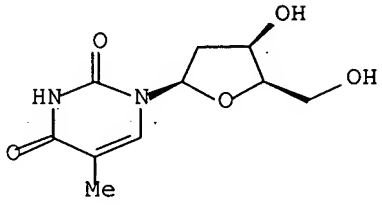
RX(14) OF 28



(step 1)

1. Bu3SnH, AIBN, PhMe
2. NH3, MeOH



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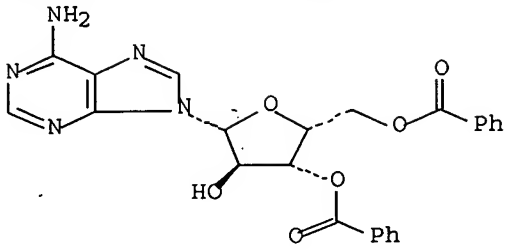
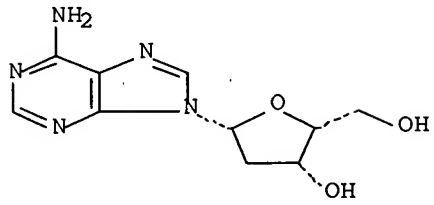
RX(15) OF 28 - 2 STEPS



1. PhOC(S)Cl, 4-DMAP, MeCN
2.1. Bu3SnH, AIBN, PhMe
2.2. NaOMe, MeOH



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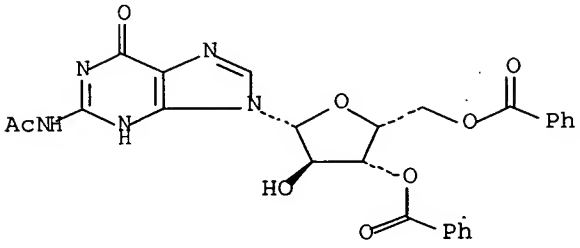
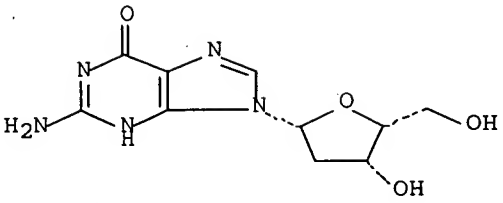


1. PhOC(S)Cl, 4-DMAP, MeCN
2.1. Me3SiN:C(CF3)OSiMe3, DMF
2.2. Bu3SnH, AIBN, PhMe
2.3. NH3, MeOH



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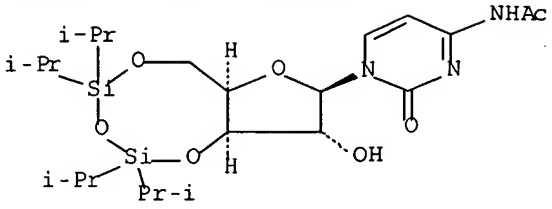
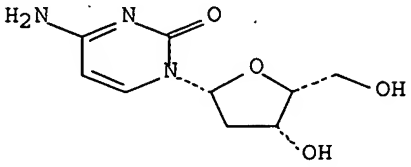
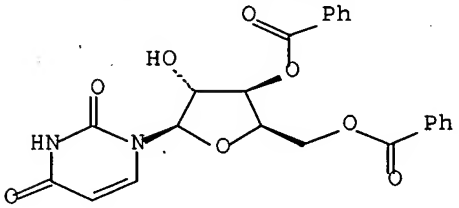


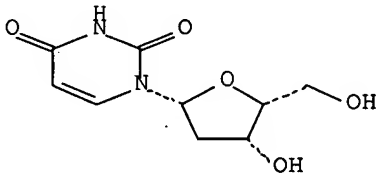
1. PhOC(S)Cl, 4-DMAP, MeCN
2.1. Bu3SnH, AIBN, PhMe
2.2. Bu4N.F, THF
2.3. NH3, MeOH



RX(20) OF 28 - 2 STEPS



1. PhOC(S)Cl, 4-DMAP, MeCN
2.1. Bu3SnH, AIBN, PhMe
2.2. NaOMe, MeOH



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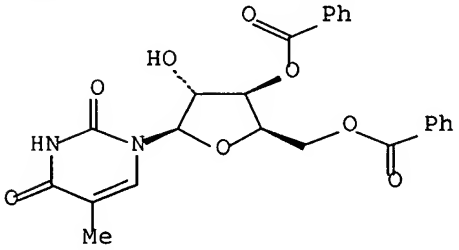
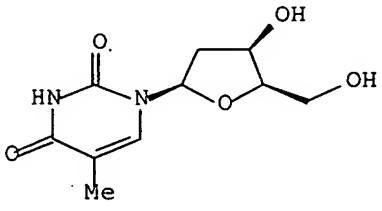
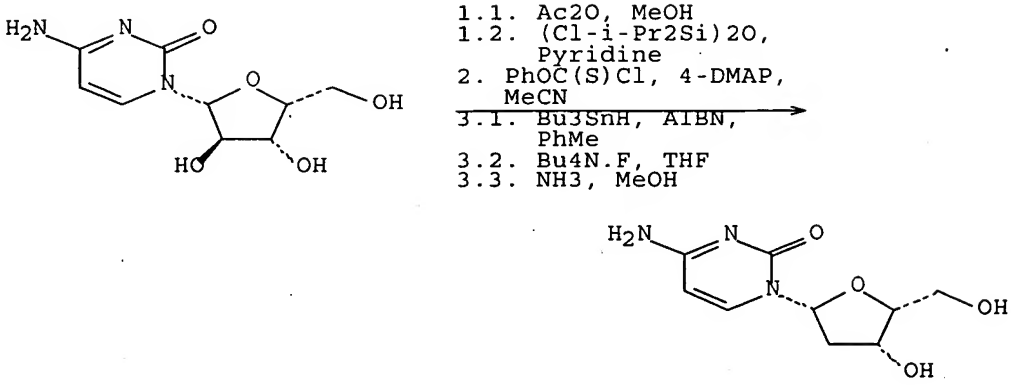


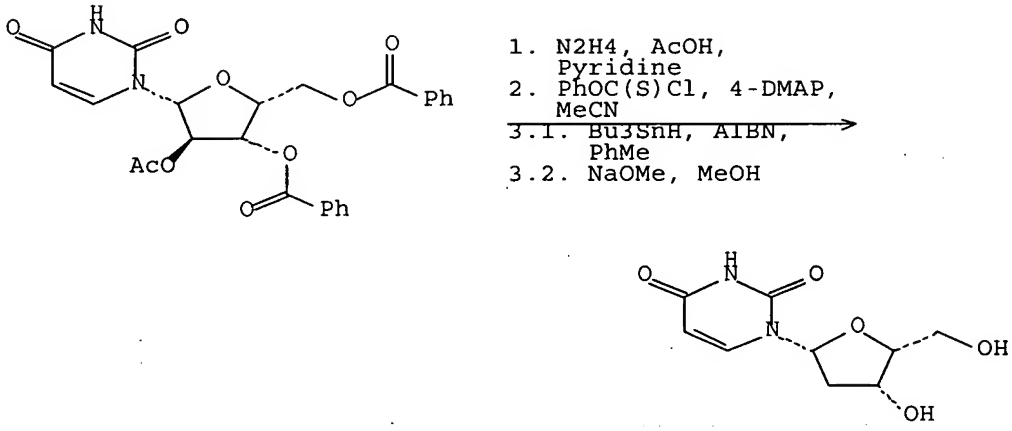
1. PhOC(S)Cl, 4-DMAP, MeCN
2.1. Bu3SnH, AIBN, PhMe
2.2. NH3, MeOH



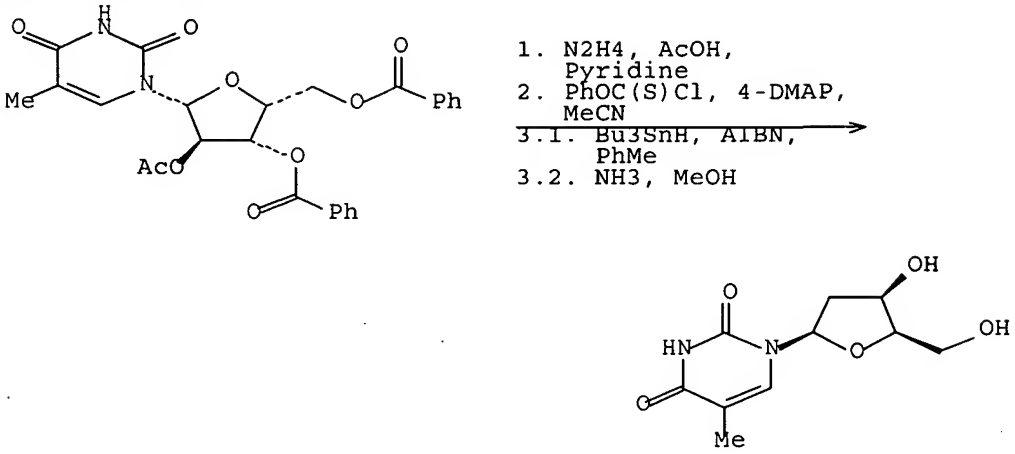
RX(24) OF 28 - 3 STEPS



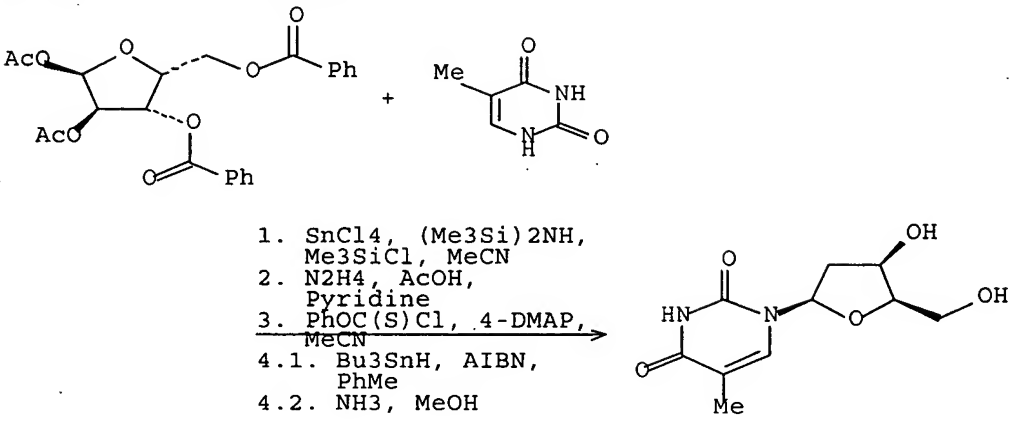
RX(25) OF 28 - 3 STEPS



RX(27) OF 28 - 3 STEPS



RX(28) OF 28 - 4 STEPS



L47 ANSWER 8 OF 13 CASREACT COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 109:129568 CASREACT Full-text

TITLE: Synthesis of 5-benzyl and 5-benzyloxybenzyl 2,2'-anhydrouridines and related nucleoside analogs as inhibitors of uridine phosphorylase

AUTHOR(S): Chu, Shih Hsi; Weng, Zum Yao; Chen, Zhi Hao; Rowe, Elizabeth C.; Chu, Edward; Naguib, Fardos N. M.; El Kouni, Mahmoud H.; Cha, Sungman; Chu, Ming Y.

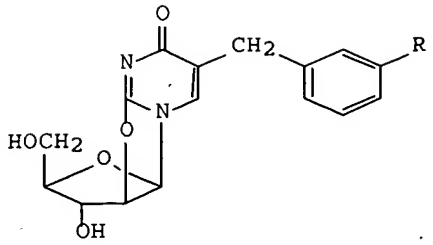
CORPORATE SOURCE: Div. Biol. Med., Brown Univ., Providence, RI, 02912, USA

SOURCE: Nucleosides & Nucleotides (*1988*), 7(1), 91-102
CODEN: NUNUD5; ISSN: 0732-8311

DOCUMENT TYPE: Journal

LANGUAGE: English

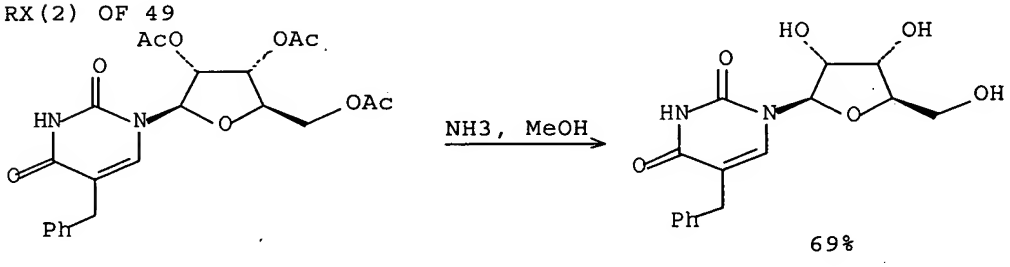
GI



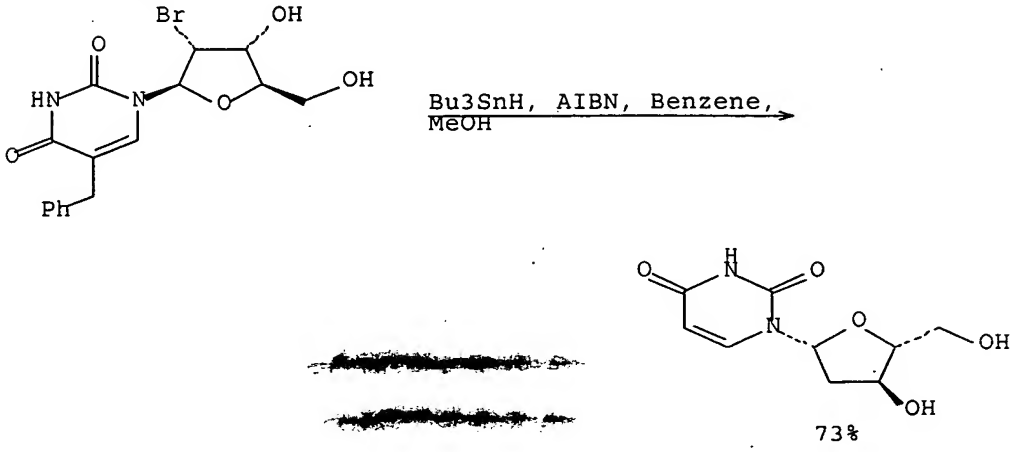
I

AB The title compds. including I (R = H, PhCH2O), furanosyl analogs of 5-benzyl and 5-benzyloxybenzylcyclouridine potent inhibitors of uridine phosphorylase, were synthesized and evaluated as potential cancer chemotherapeutic agents. The other analogs included ribosides, arabinosides and deoxyribosides. The anhydrouridines I were potent inhibitors of uridine phosphorylase and enhanced the activity of 5-fluoro-2'-deoxyuridine against human pancreatic and lung tumor cells in culture.

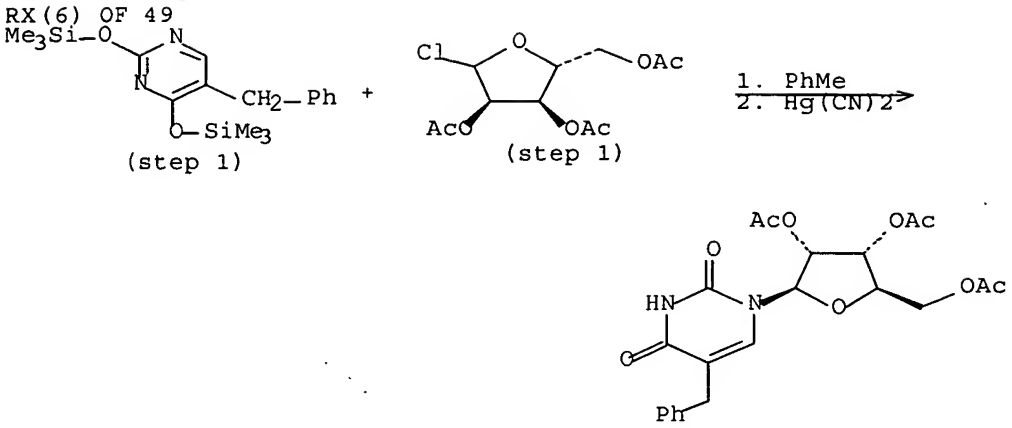
RX(2) OF 49



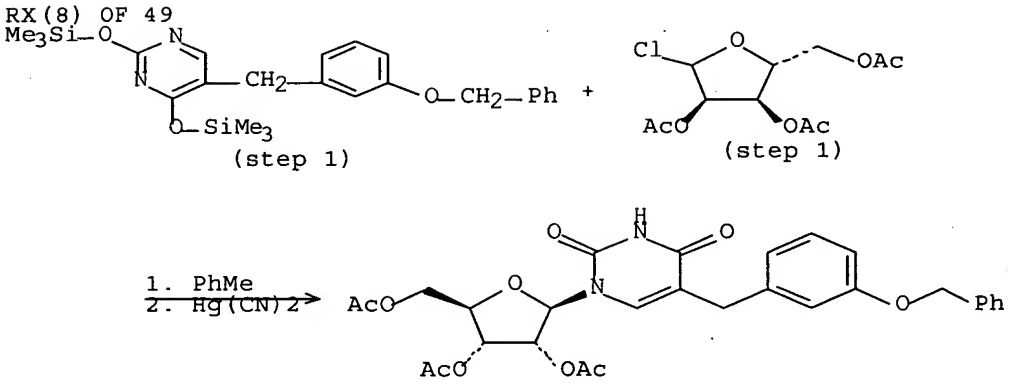
RX(5) OF 49



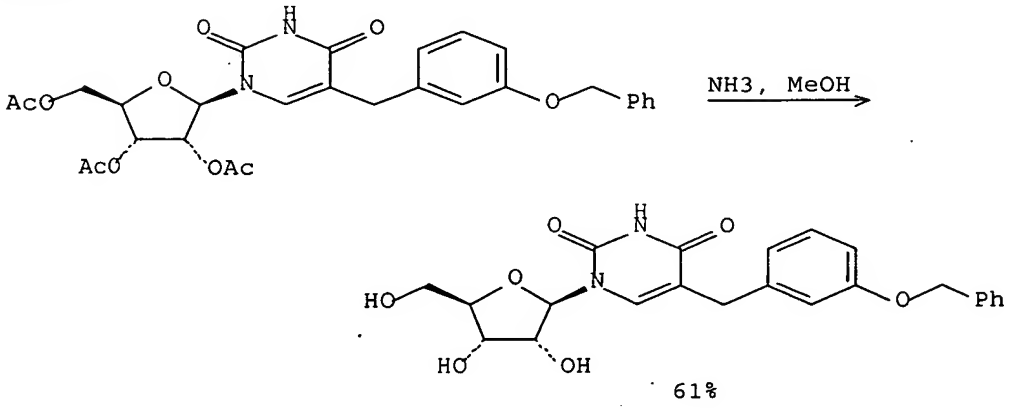
RX(6) OF 49



RX(8) OF 49



RX(10) OF 49



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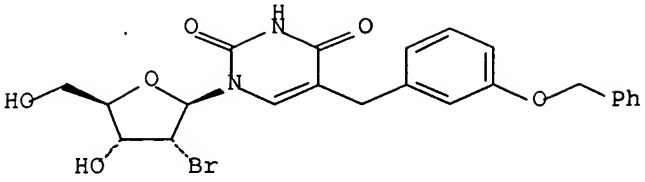
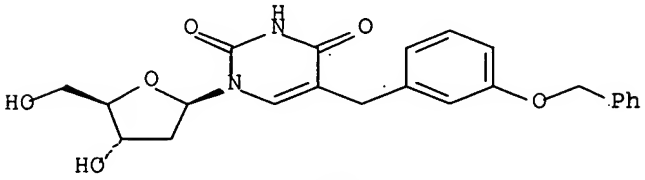
RX(13) OF 49



Bu3SnH, AIBN, Benzene, MeOH



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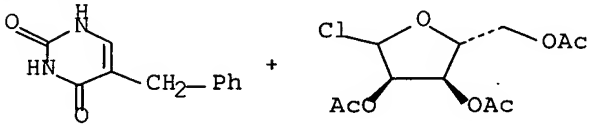
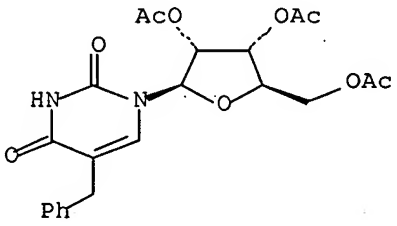
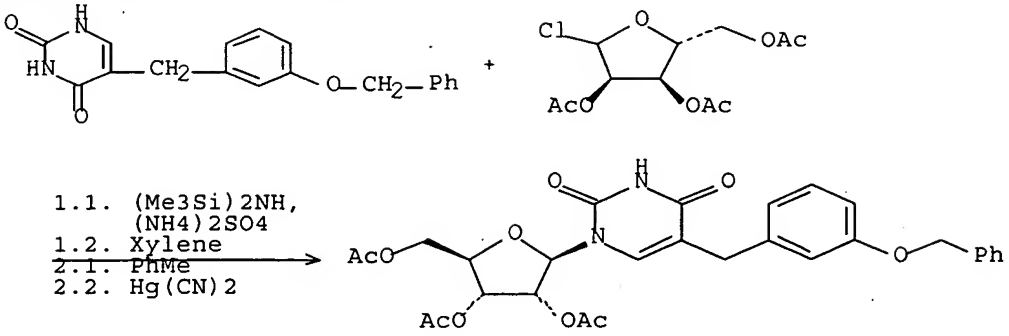
RX(14) OF 49 - 2 STEPS



1.1. (Me3Si)2NH, (NH4)2SO4
1.2. Xylene
2.1. PhMe
2.2. Hg(CN)2



RX(21) OF 49 - 2 STEPS



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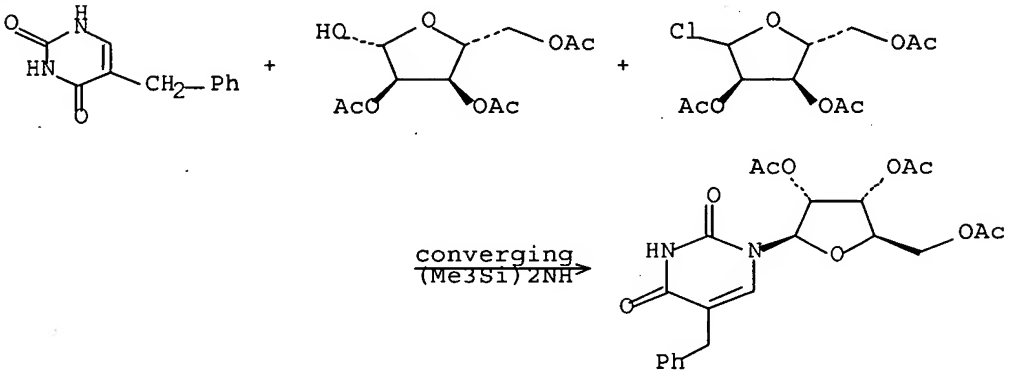

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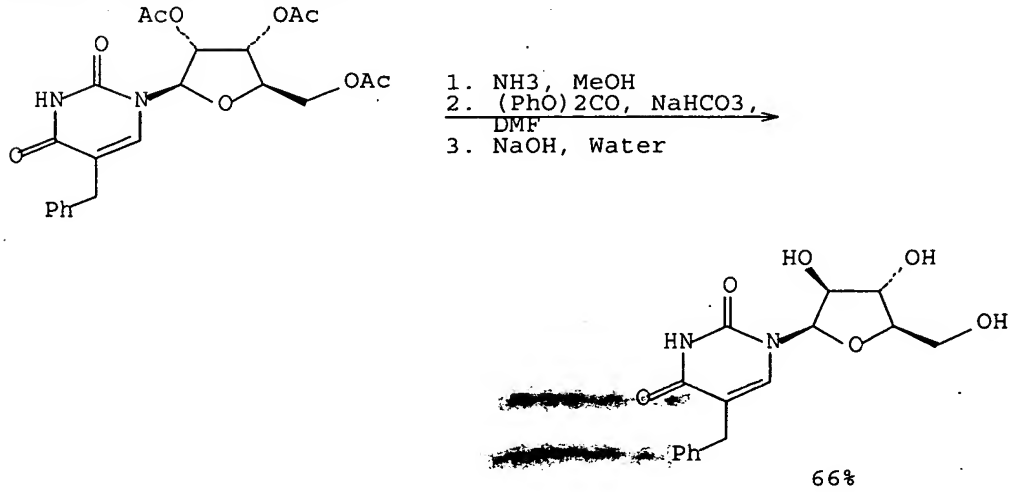
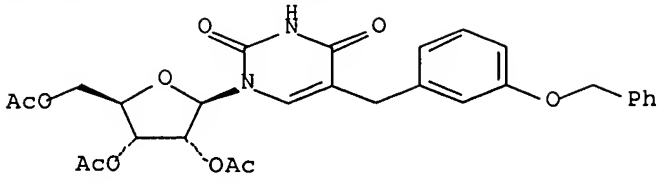
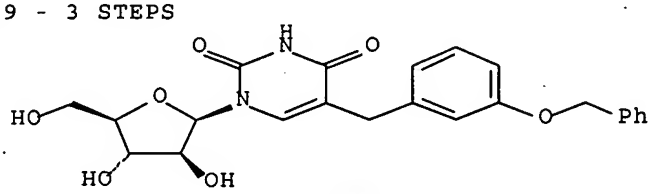


RX(38) OF 49 - 3 STEPS



1. NH3, MeOH
2. (PhO)2CO, NaHCO3, DMF
3. NaOH, Water

RX(38) OF 49 - 3 STEPS



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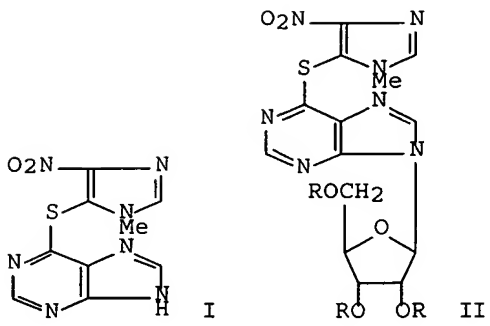
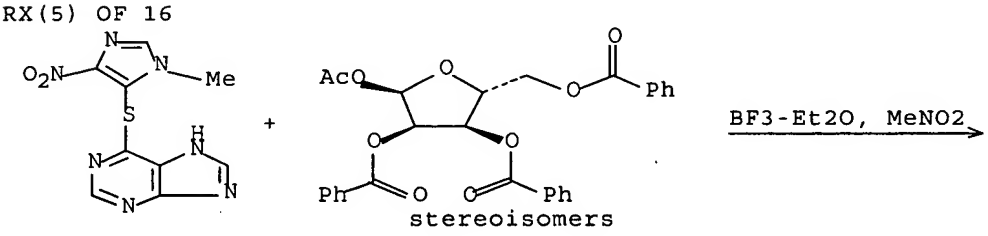
L47 ANSWER 9 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 109:93497 CASREACT Full-text
TITLE: Studies in nucleosides. Part XVI. Synthesis of azathioprine analogs
AUTHOR(S): Mishra, Anil; Pratap, Ram; Bhakuni, D. S.
CORPORATE SOURCE: Cent. Drug Res. Inst., Lucknow, 226 001, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (*1987*), 26B(9), 847-50
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I II

AB Several nucleosides and nucleoside analogs of azathioprine (I) were prepared from I by condensation reactions. For example, I was treated with 1-O-acetyl-2,3,5-tri-O-benzoyl-D-ribofuranose in MeNO2 in the presence of BF3.Et2O to give 30% nucleoside II (R = Bz), which was deblocked with NH3/MeOH to give 50% II (R = H).

RX(5) OF 16



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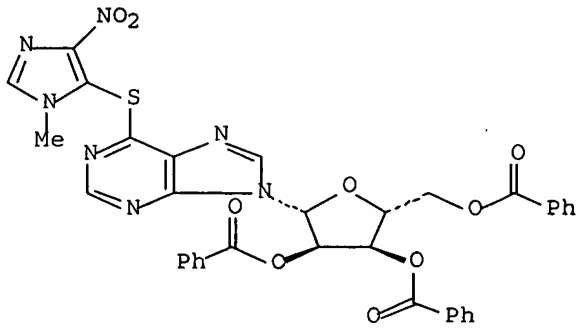

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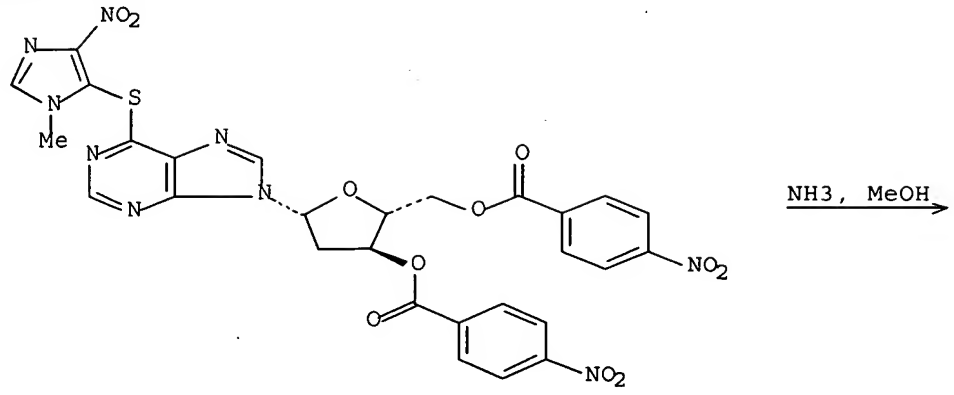

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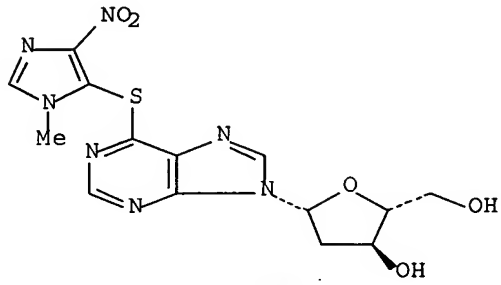

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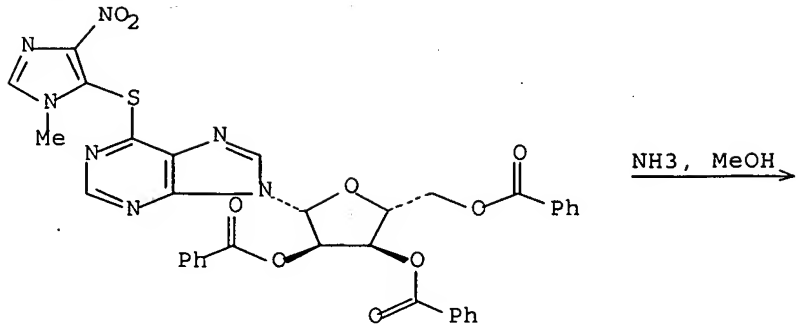
RX(8) OF 16



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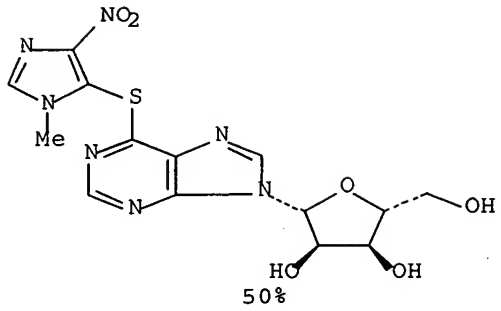

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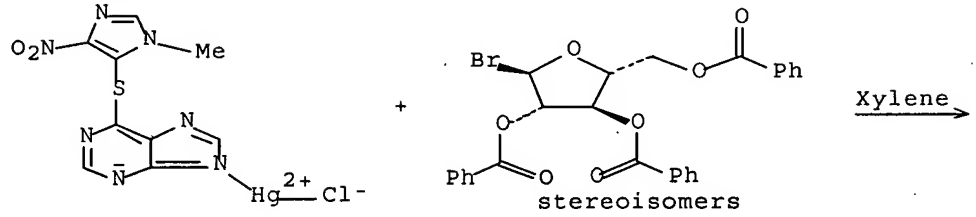
RX(9) OF 16



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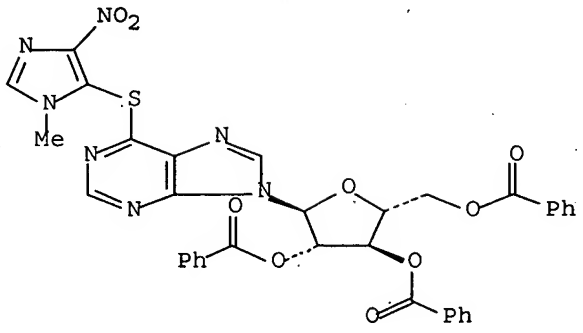

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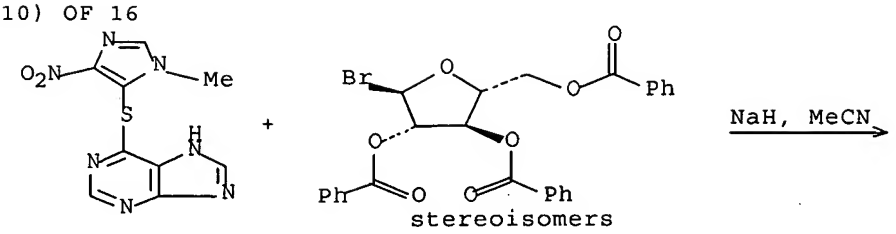

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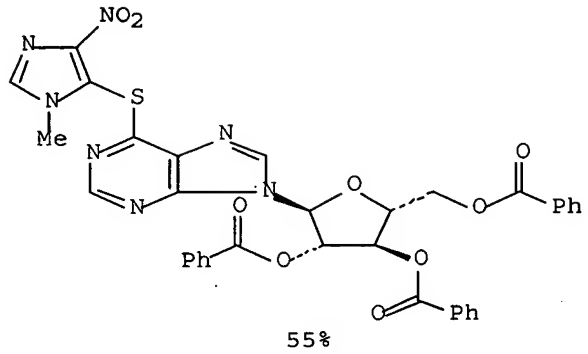

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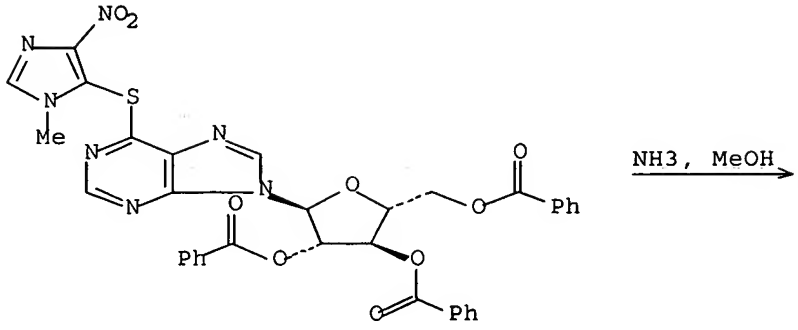
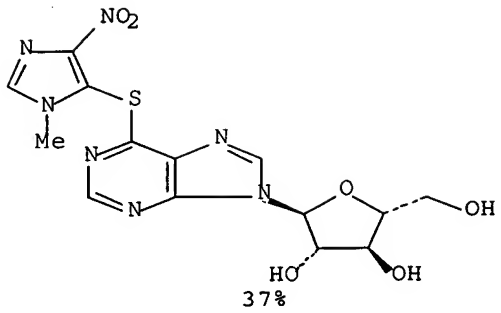
RX(11) OF 16



RX(11) OF 16



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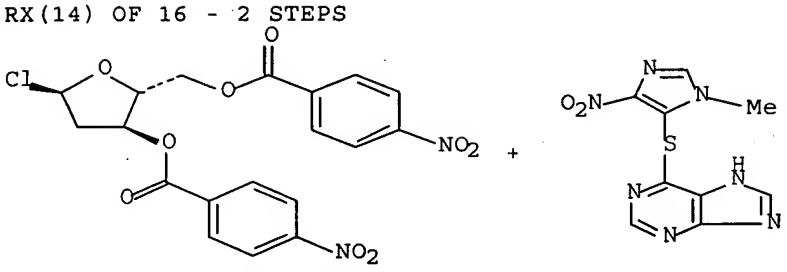
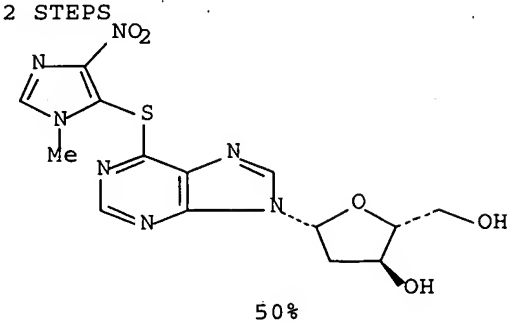
RX(14) OF 16 - 2 STEPS



stereoisomers

1. NaH, MeCN, N2
2. NH3, MeOH

RX(14) OF 16 - 2 STEPS



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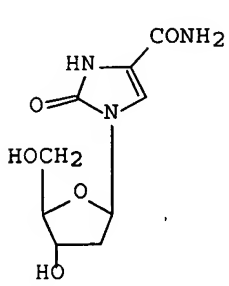
L47 ANSWER 10 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 107:237206 CASREACT Full-text
TITLE: An alternative to the mixed probe method in DNA hybridization: synthetic lure nucleotide for the ambiguous position of codons
AUTHOR(S): Fukuda, Tsunehiko; Hamana, Takumi; Kikuchi, Kaeko;

Marumoto, Ryuji
CORPORATE SOURCE: Cent. Res. Div., Takeda Chem. Ind. Ltd., Osaka, 532, Japan
SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (*1986*), 41B(12), 1571-9
CODEN: ZNBAD2; ISSN: 0340-5087
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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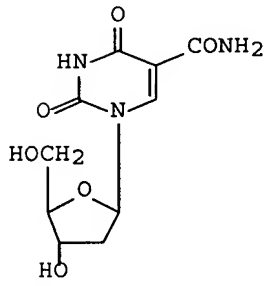
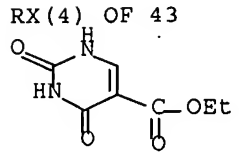


II

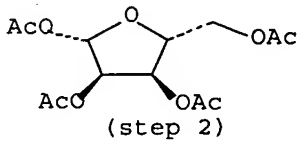
AB Two deoxynucleoside analogs I and II were prepared and incorporated into decamer deoxynucleotides, which were hybridized to their complementary strands. The duplices in which I paired with A or G showed higher melting temps. (Tm's) than those containing G-T or A-C mismatch, although lower than the Tm of intact totally complementary duplex. The incorporation of II into a strand resulted in extreme destabilization of the duplex.

RX(4) OF 43



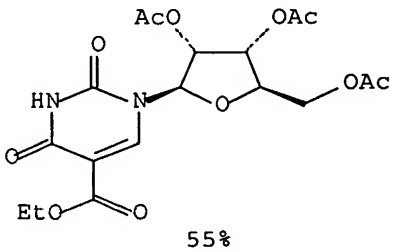
(step 1)

+



(step 2)

1. (Me3Si)2NH, Me3SiCl, Pyridine
2. SnCl4, CH2Cl2



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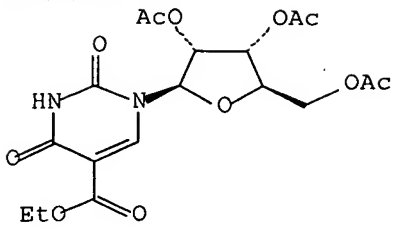
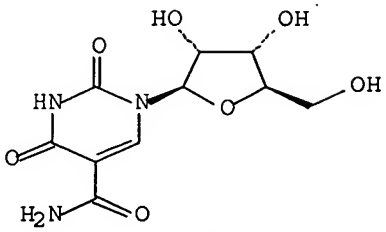
RX(5) OF 43



NH3, Pyridine, Water



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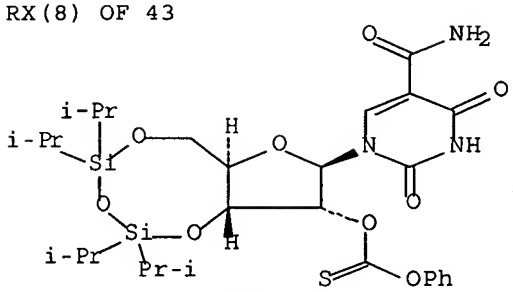
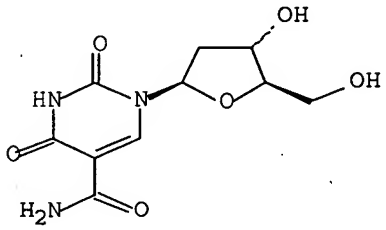


(step 1)

1. AIBN, Bu3SnH, PhMe
2. Bu4N.F



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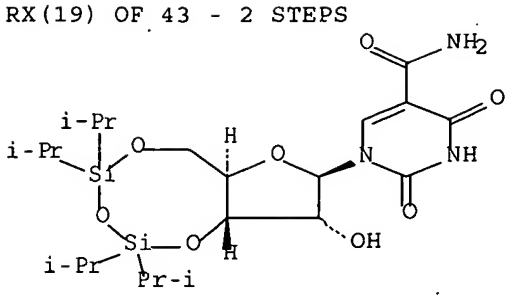
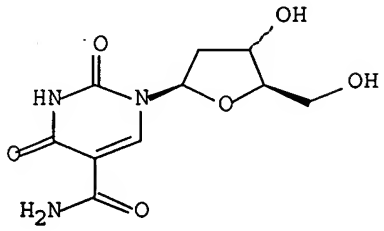
RX(19) OF 43 - 2 STEPS



1. PhOC(S)Cl, 4-DMAP, MeCN, CH2Cl2, Dioxane
2.1. AIBN, Bu3SnH, PhMe
2.2. Bu4N.F



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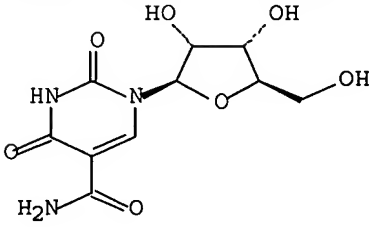
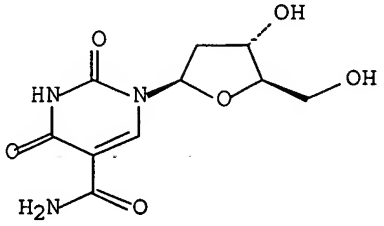
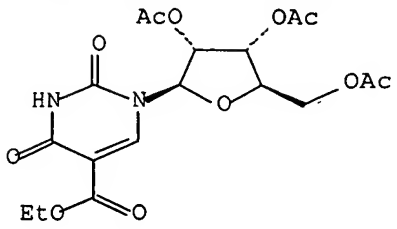


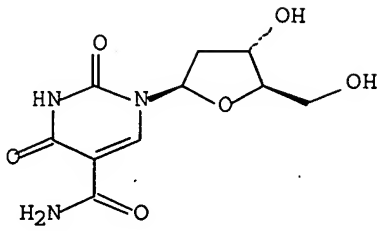
1. (Cl-i-Pr2Si)2O, Pyridine
2. PhOC(S)Cl, 4-DMAP, MeCN, CH2Cl2, Dioxane
3.1. AIBN, Bu3SnH, PhMe
3.2. Bu4N.F



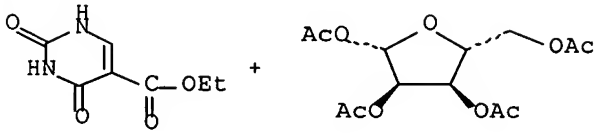
RX(32) OF 43 - 4 STEPS

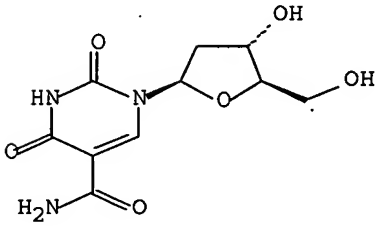


1. NH3, Pyridine, Water
2. (Cl-i-Pr2Si)2O, Pyridine
3. PhOC(S)Cl, 4-DMAP, MeCN, CH2Cl2, Dioxane
4.1. AIBN, Bu3SnH, PhMe
4.2. Bu4N.F

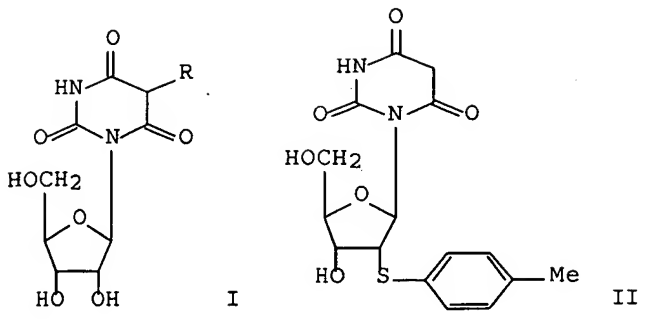


RX(38) OF 43 - 5 STEPS





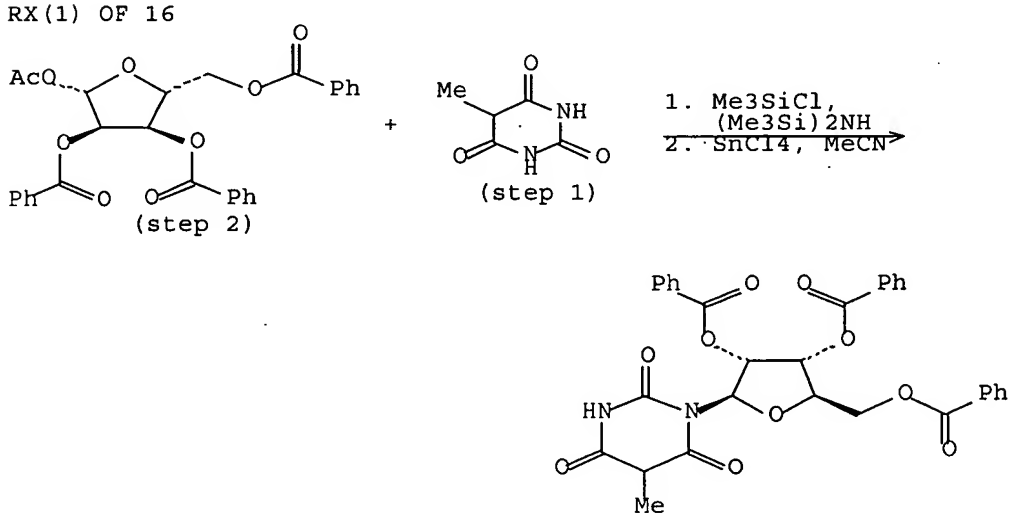
L47 ANSWER 11 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 104:110092 CASREACT Full-text
TITLE: Synthesis of analogs of ribosylbarbituric acid
AUTHOR(S): Harnden, M. R.; Jarvest, R. L.
CORPORATE SOURCE: Res. Div., Beecham Pharm., Epsom/Surrey, UK
SOURCE: Nucleosides & Nucleotides (*1985*), 4(4), 465-76
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



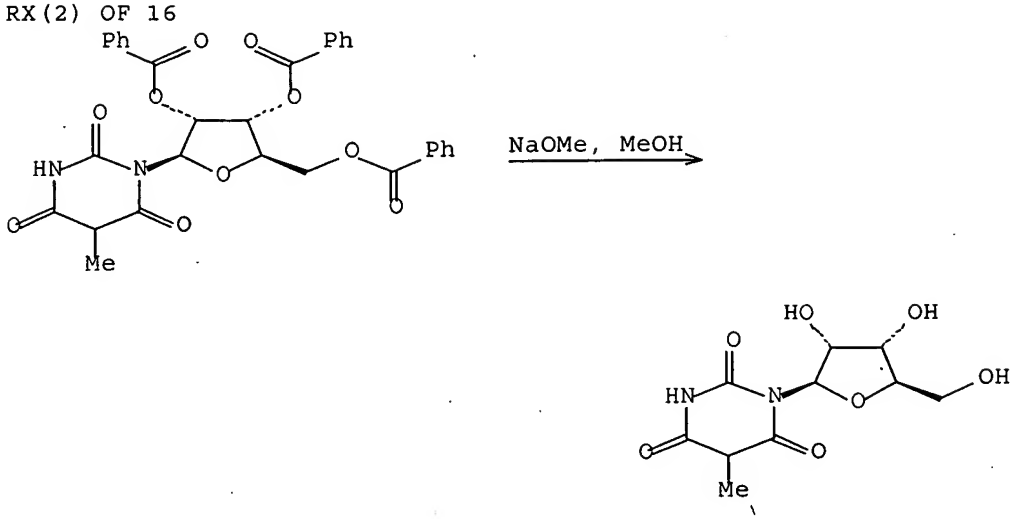
I II

AB 5-Alkyl (I; R = Me, Pr), 2'-deoxyribosyl and arabinofuranosyl analogs of ribosylbarbituric acid (I; R = H) were prepared by condensation of persilylated barbituric acids with protected pentofuranose derivs., followed by deprotection. Tolylthio analog II was prepared by ring cleavage of 6,2'-O-cyclouridine with p-MeC6H4SH. None of the barbituric acid nucleosides prepared showed antiviral activity against herpes simplex virus type 1 or 2 nor was cytotoxic for cell monolayers at concns. ≤30 μg/mL.

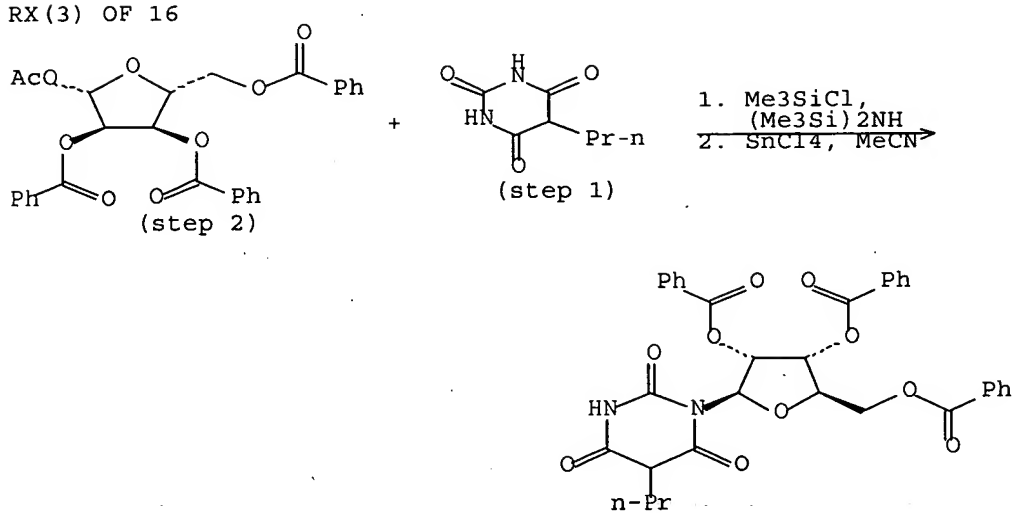
RX(1) OF 16



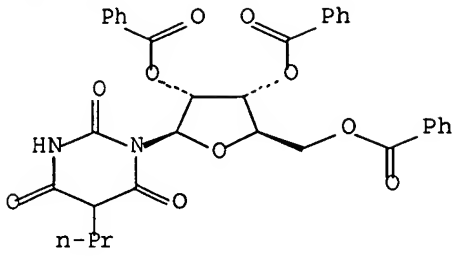
RX(2) OF 16



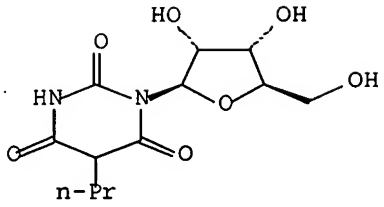
RX(3) OF 16



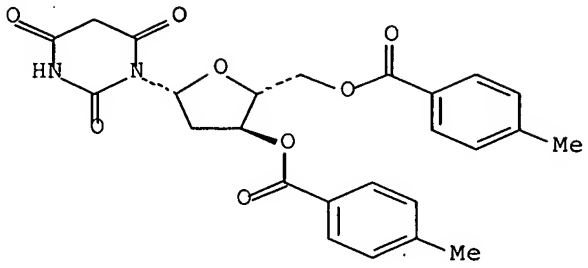
RX(4) OF 16



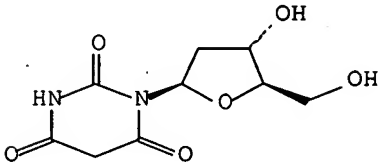
NaOMe, MeOH



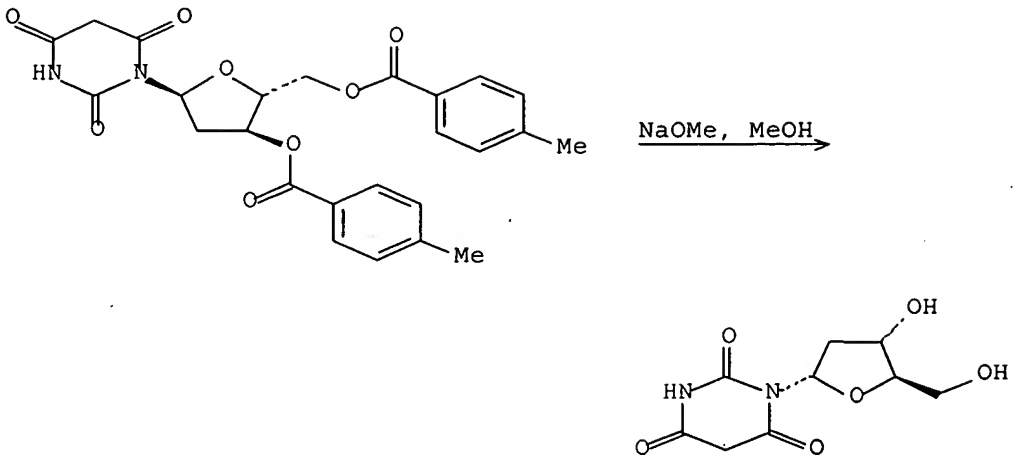
RX(6) OF 16



NaOMe, MeOH



RX(7) OF 16



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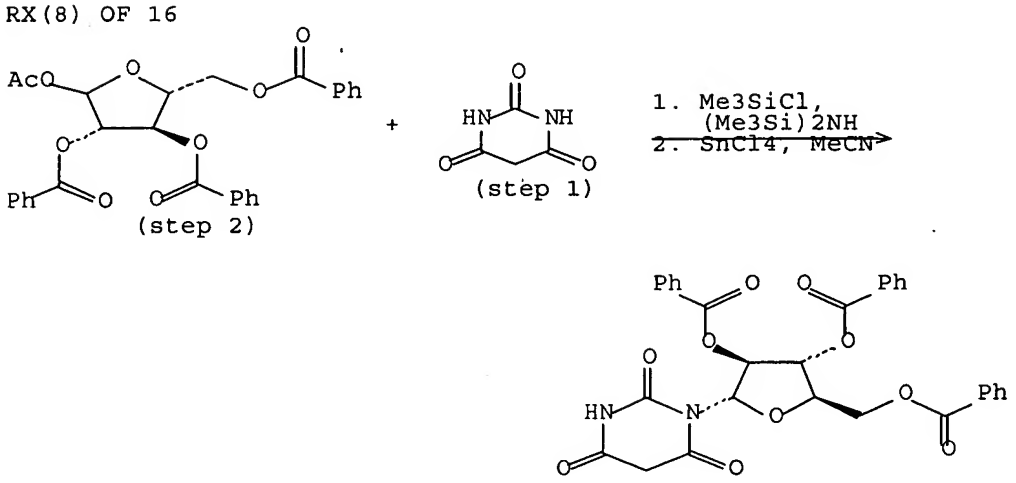
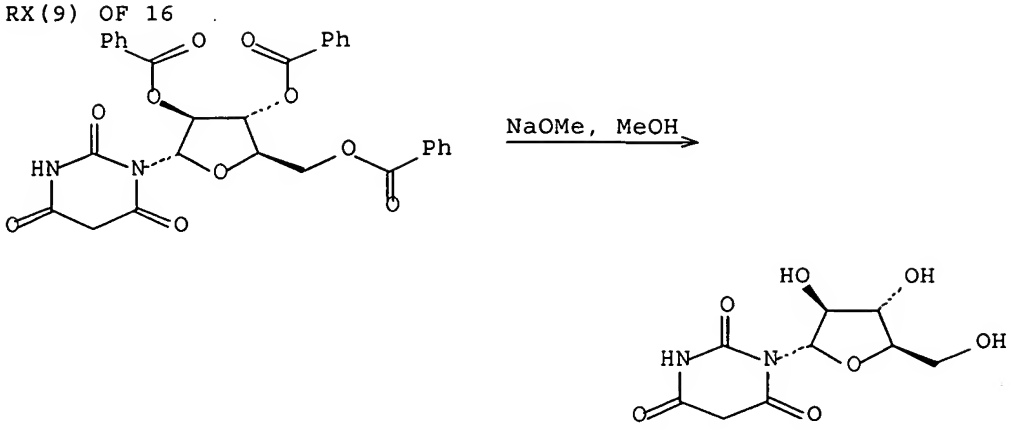


RX(9) OF 16



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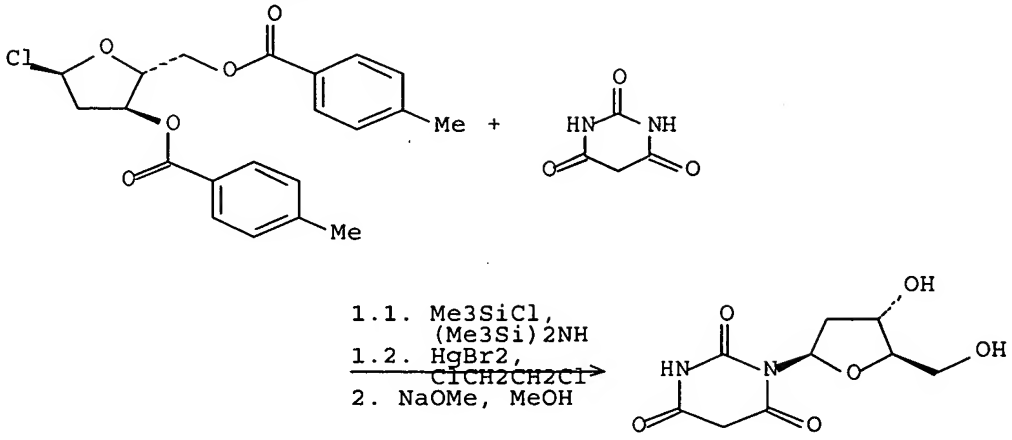

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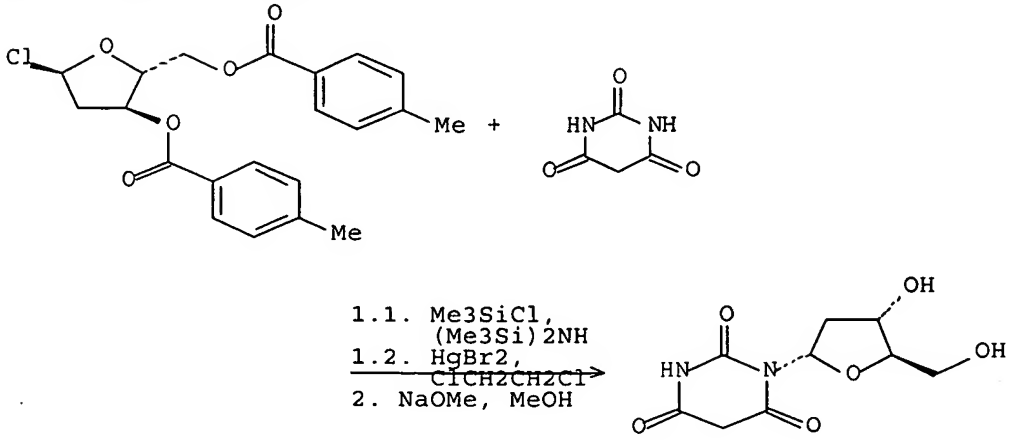

L47 ANSWER 12 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 104:88936 CASREACT Full-text
TITLE: Ribose-modified adenosine analogs as adenosine receptor agonists
AUTHOR(S): Taylor, Michael D.; Moos, Walter H.; Hamilton, Harriet W.; Szotek, Deedee S.; Patt, William C.; Badger, Edward W.; Bristol, James A.; Bruns, Robert F.; Heffner, Thomas G.; Mertz, Thomas E.
CORPORATE SOURCE: Dep. Chem., Warner-Lambert/Parke-Davis Pharm. Res., Ann Arbor, MI, 48105, USA
SOURCE: Journal of Medicinal Chemistry (*1986*), 29(3), 346-53
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Analogs of the potent adenosine receptor agonist (R)-N-(1-methyl-2-phenylethyl)adenosine (R-PIA), modified at N9, were prepared and evaluated for adenosine A1 and A2 receptor binding and in vivo central nervous system and cardiovascular effects. The modifications at N9 include deoxy sugars, 5'-substituted-5'-deoxyribose, non-ribose sugars, sugar ring homologs, and acyclic sugar analogs. Most of the derivs. have poor affinity for adenosine receptors. Only minor modifications at C5' and C3' maintain potent binding. In general, those derivs. exhibiting in vivo behavioral or cardiovascular effects also have the highest affinity for adenosine receptors.

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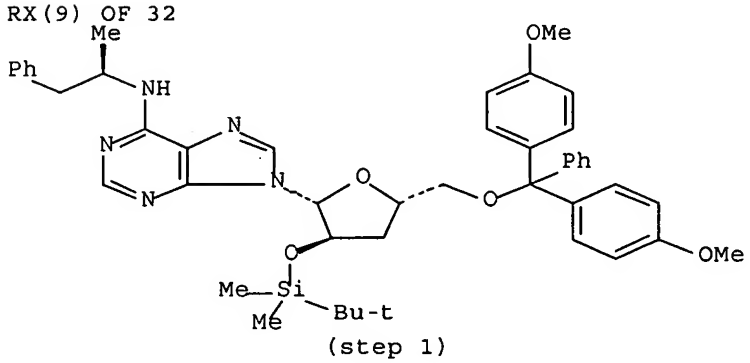

(step 1)

1. Bu4N.F, THF
2. AcOH →

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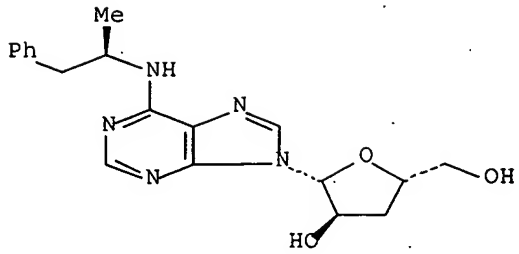

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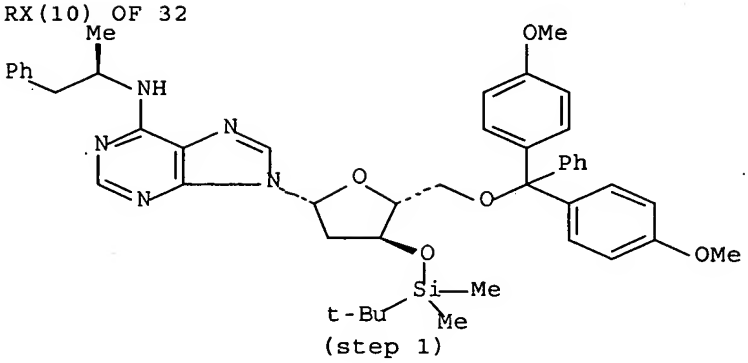
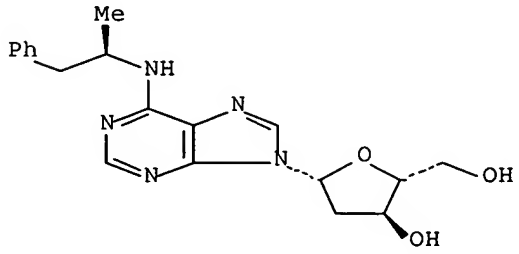


(step 1)

1. Bu4N.F, THF
2. ACOH

RX(10) OF 32



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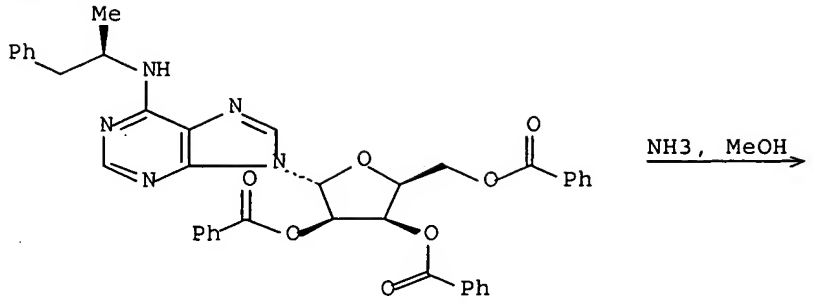
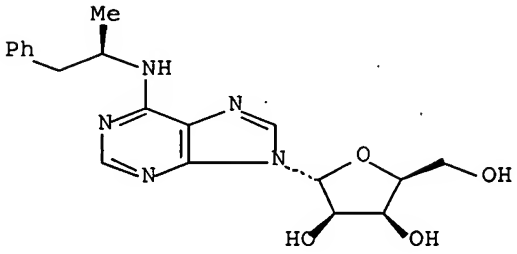


RX(14) OF 32



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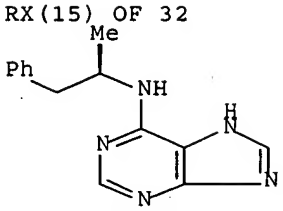
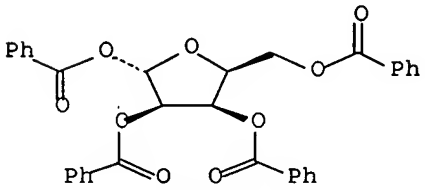


(step 1)

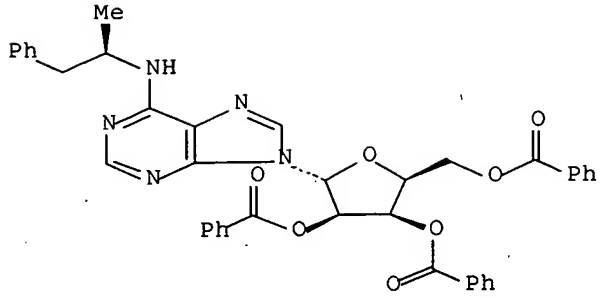
+



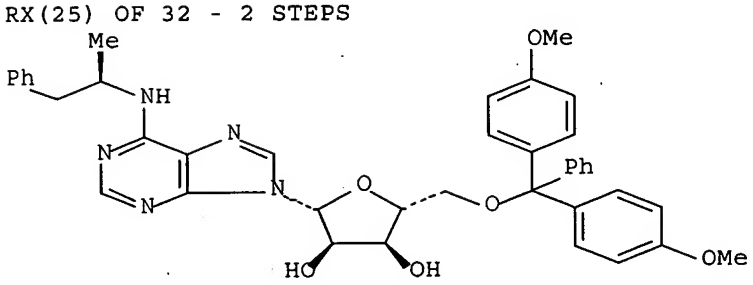
(step 2)

1. (Me3Si)2NH, (NH4)2SO4
2. Me3SiSO3CF3, Dichloroethane, N2

RX(15) OF 32

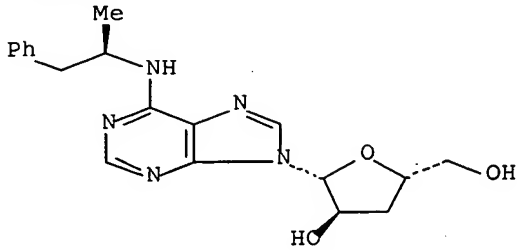


RX(25) OF 32 - 2 STEPS

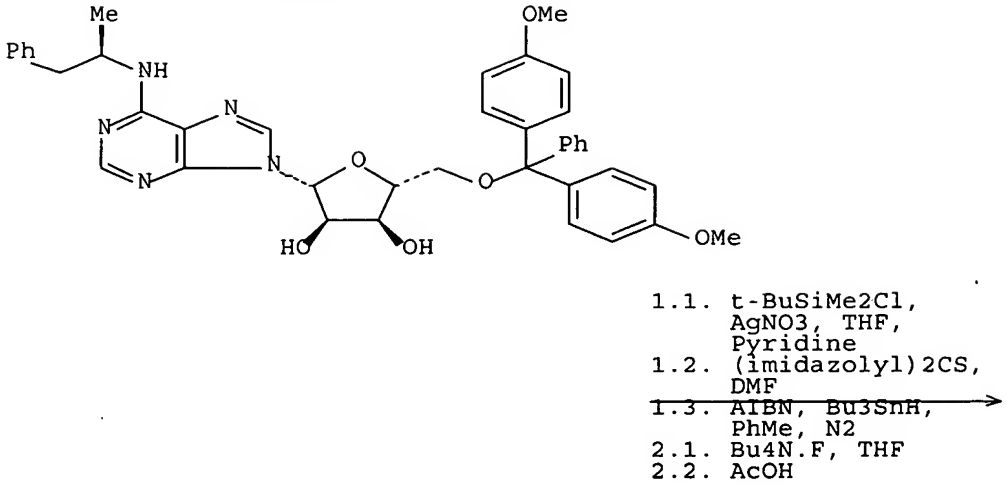


1.1. t-BuSiMe2Cl, AgNO3, THF, Pyridine
1.2. (imidazolyl)2CS, DMF
1.3. AIBN, Bu3SnH, PhMe, N2
2.1. Bu4N.F, THF
2.2. AcOH

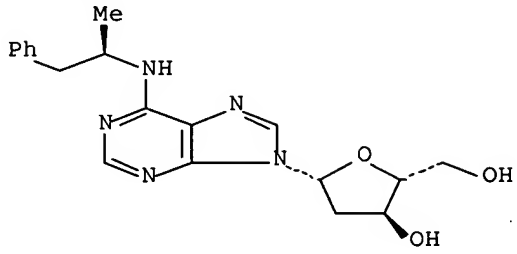
RX(25) OF 32 - 2 STEPS



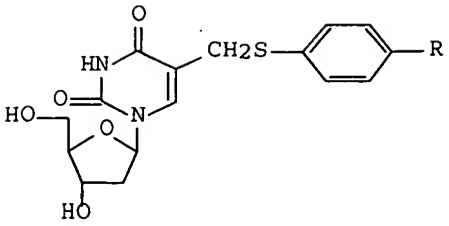
RX(26) OF 32 - 2 STEPS



RX(26) OF 32 - 2 STEPS



L47 ANSWER 13 OF 13 CASREACT COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 103:196354 CASREACT Full-text
TITLE: Uracil derivatives. V. Syntheses and growth-inhibitory activity against L-1210 cells of 5-substituted 2'-deoxyuridines and orotidine derivatives
AUTHOR(S): Okada, Jutaro; Nakano, Koichi; Miyake, Hiroshi
CORPORATE SOURCE: Fac. Pharm. Sci., Kyoto Univ., Kyoto, 606, Japan
SOURCE: Chemical & Pharmaceutical Bulletin (*1985*), 33(2), 856-64
CODEN: CPBTAL; ISSN: 0009-2363
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



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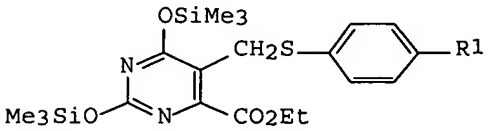
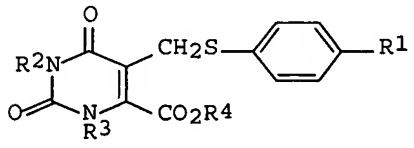


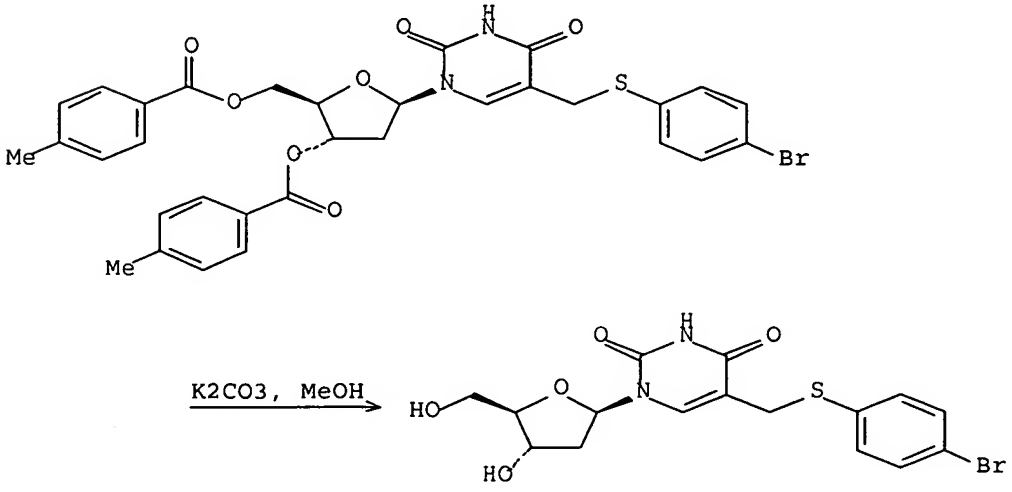
II



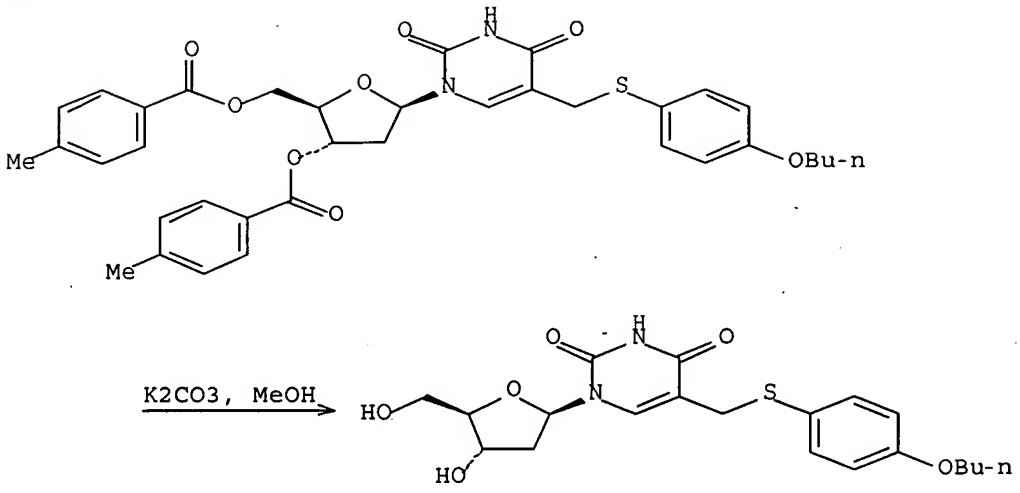
III

AB 5-(4-Substituted phenylthiomethyl)-2'-deoxyuridines I (R = Br, OBu, SMe, NMe2) were prepared in 3 steps from 5-benzyloxymethyl-2'-deoxyuridine 3',5'-di-p-toluate, which was prepared in 46% yield from silylated 5-benzoyloxymethyluracil and 3,5-di-O-p-toluoyl-2-deoxy-D-ribofuranosyl chloride. The reaction of silylated orotates II (R1 = F, Br, OBu, SMe, CMe3) with 1-O-acetyl-2,3,5-tri-O-benzoyl-β-D-ribofuranose gave N1,N3-bisribosides III (R1 as before, R2 = R3 = 2,3,5-tri-O-benzoyl-β- D-ribofuranosyl, R4 = Et) and N3-ribosides III (R3 = H). The N3-ribosides were deprotected with NaOMe to give III (R1 as before, R2 = β-D-ribofuranosyl, R3 = H, R4 = Me) (IV). I and IV were screened for growth-inhibiting activity against L-1210 cells in vitro and no active compds. were found.

RX(7) OF 61



RX(8) OF 61



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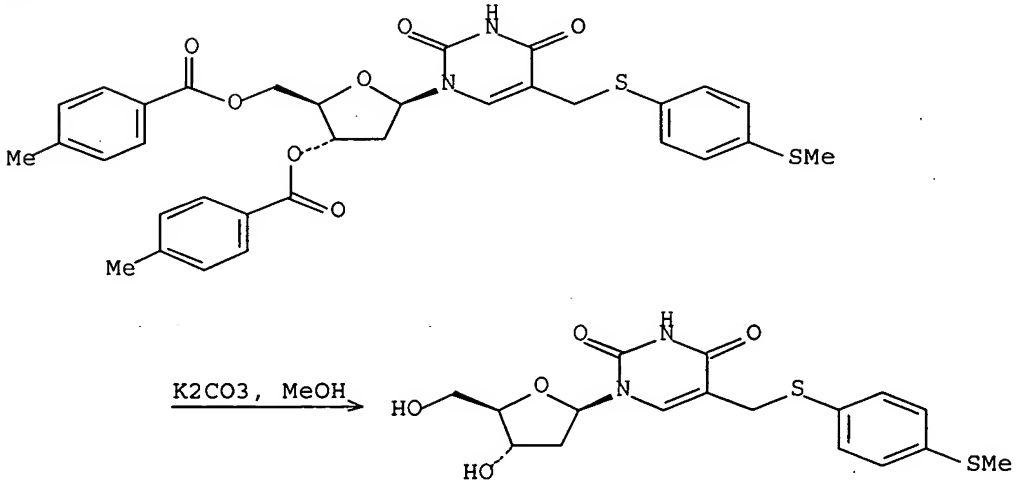

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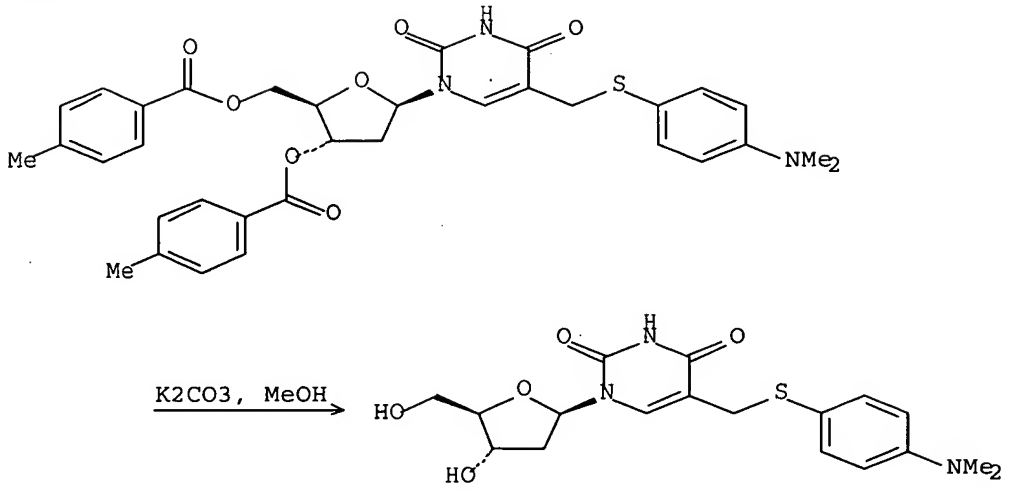

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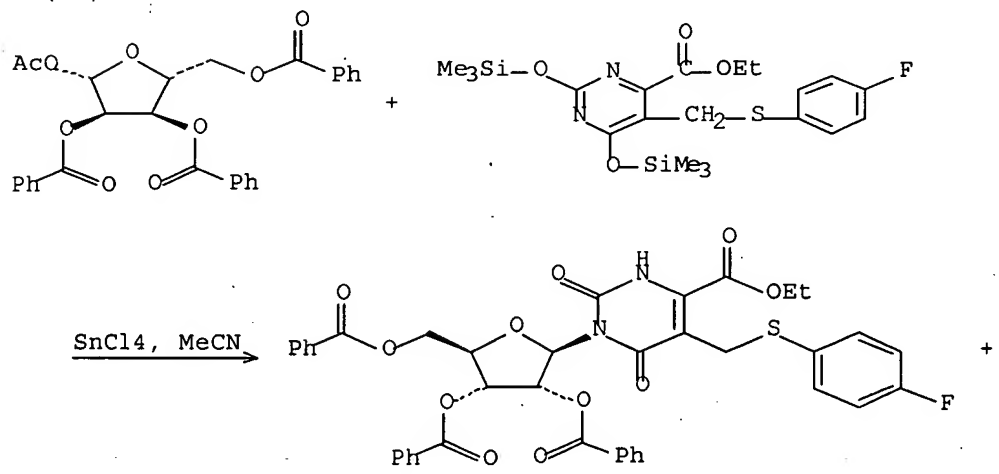

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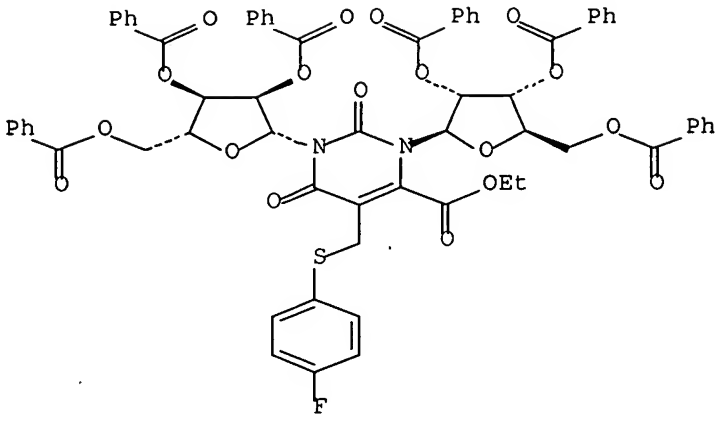

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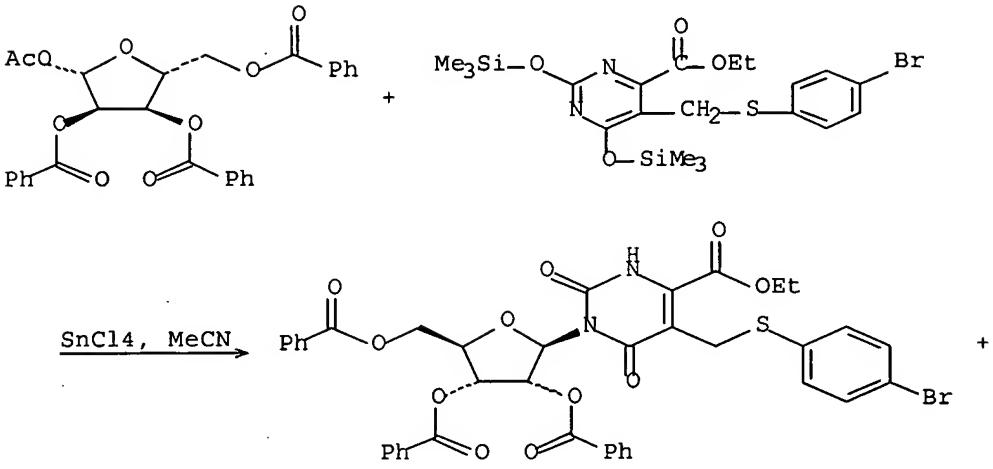
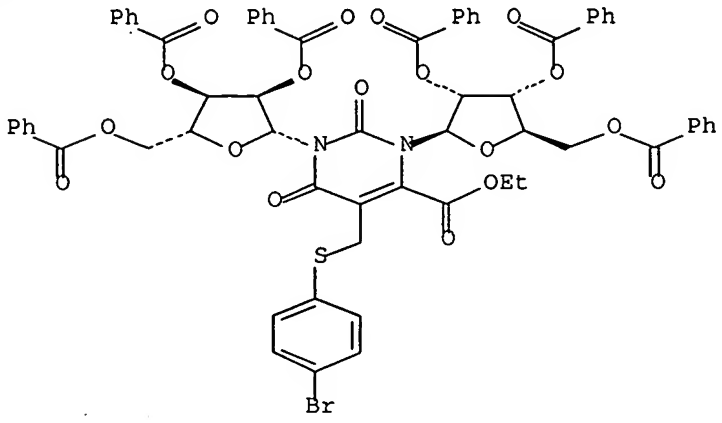


RX(12) OF 61



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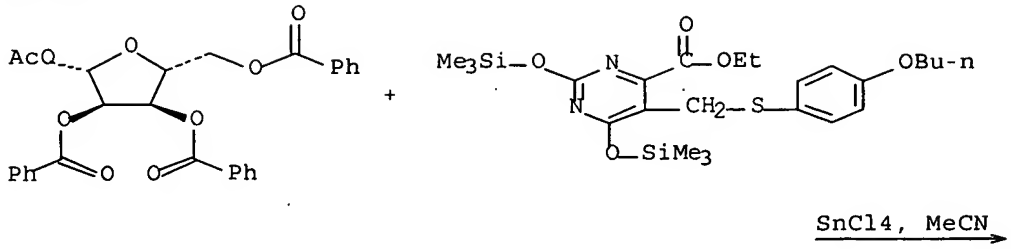

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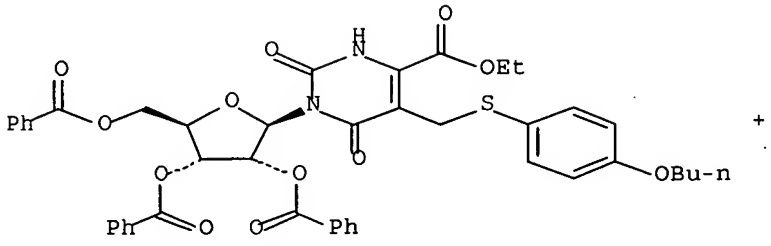

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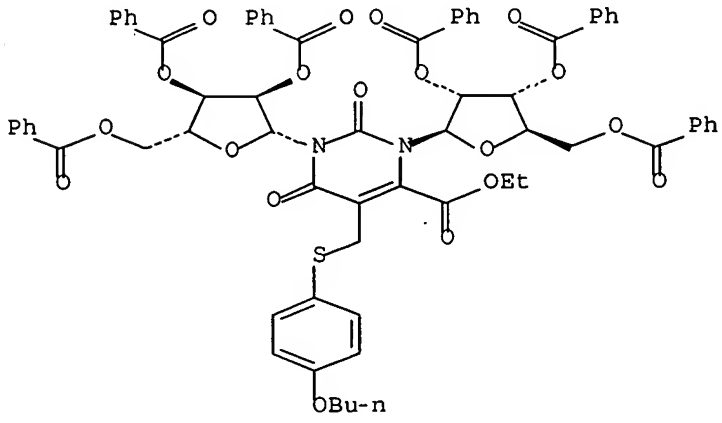

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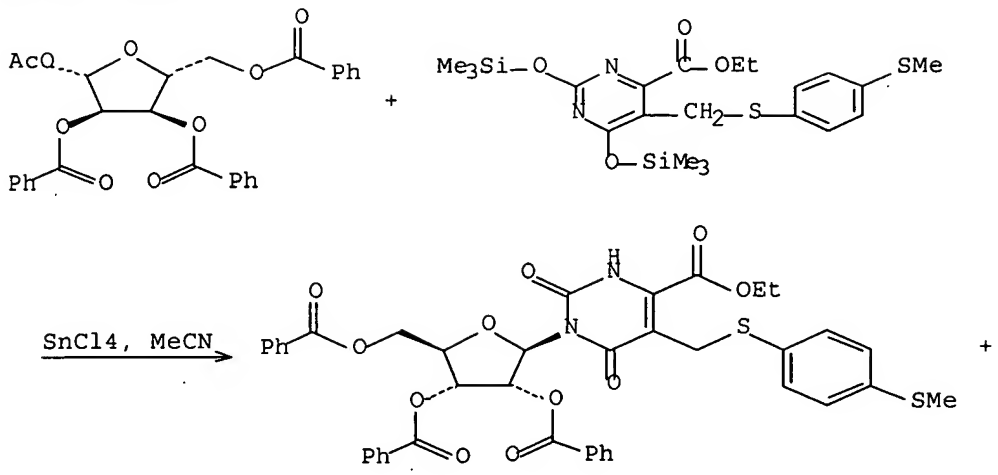

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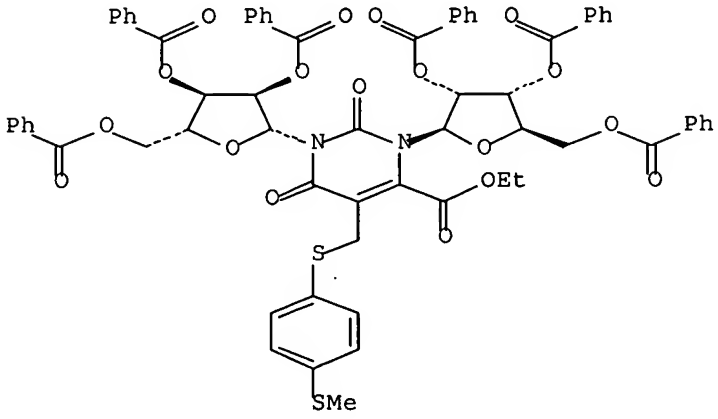

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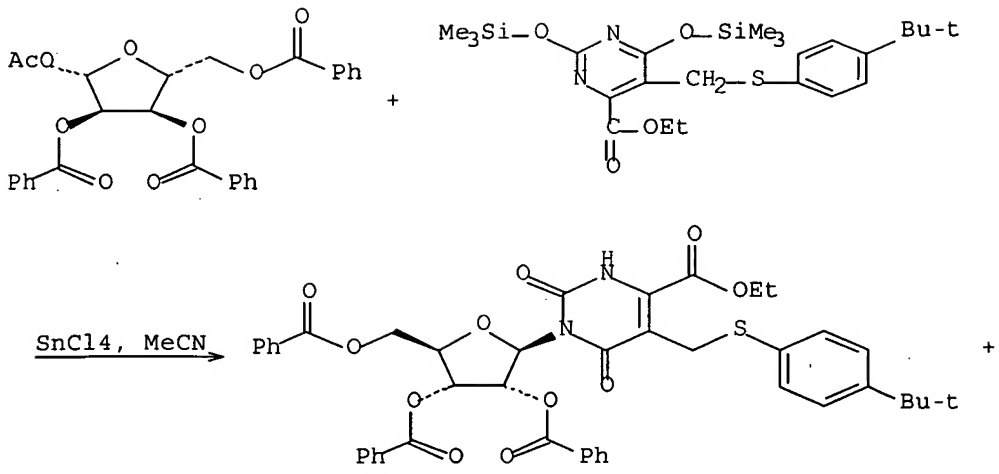

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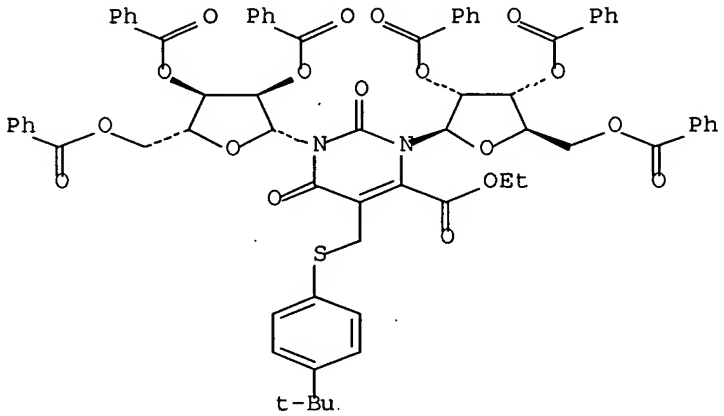

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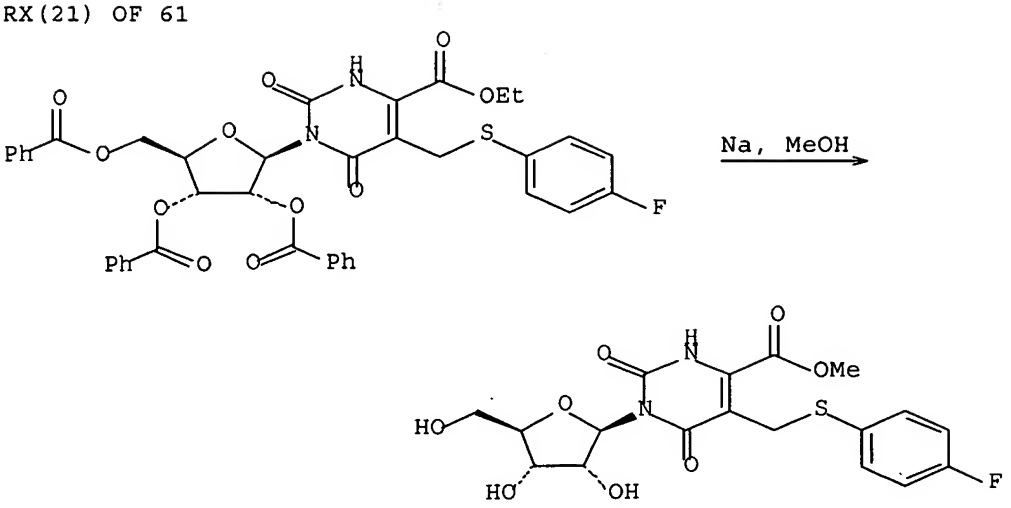

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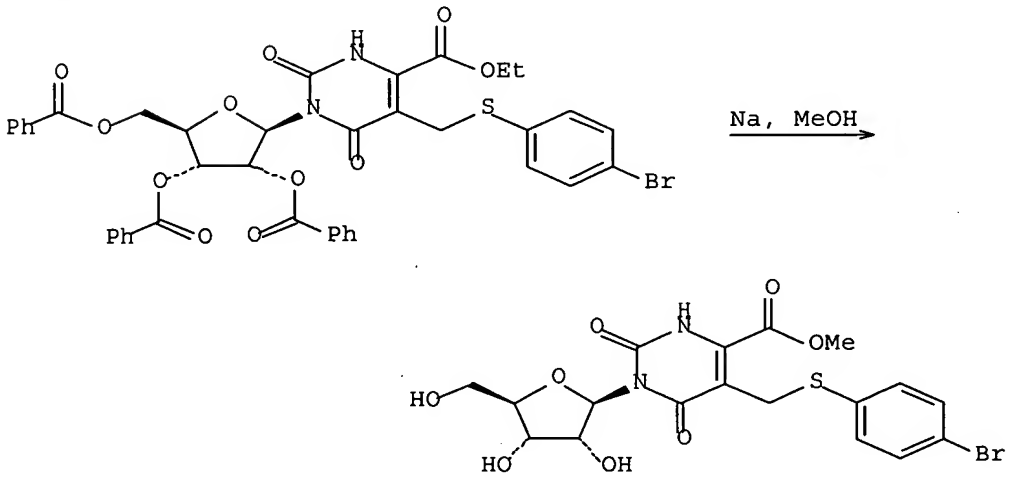

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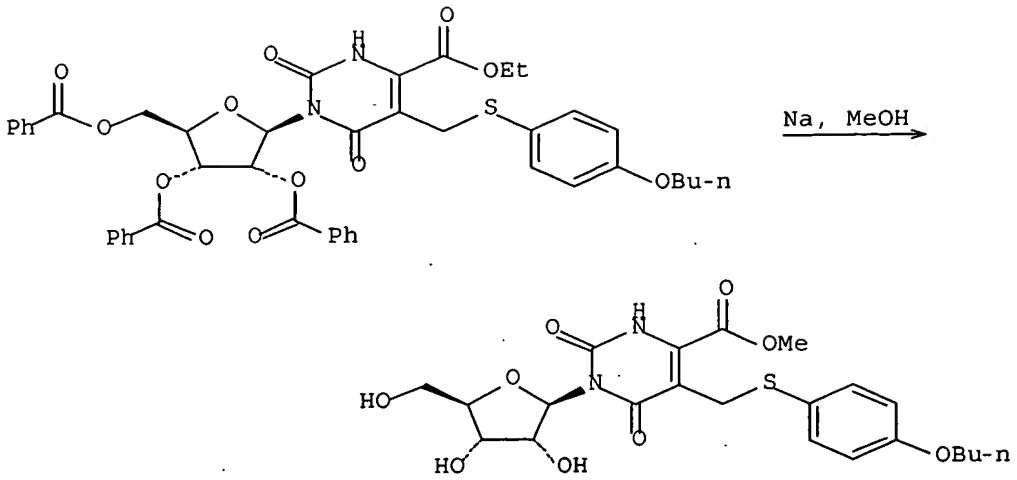

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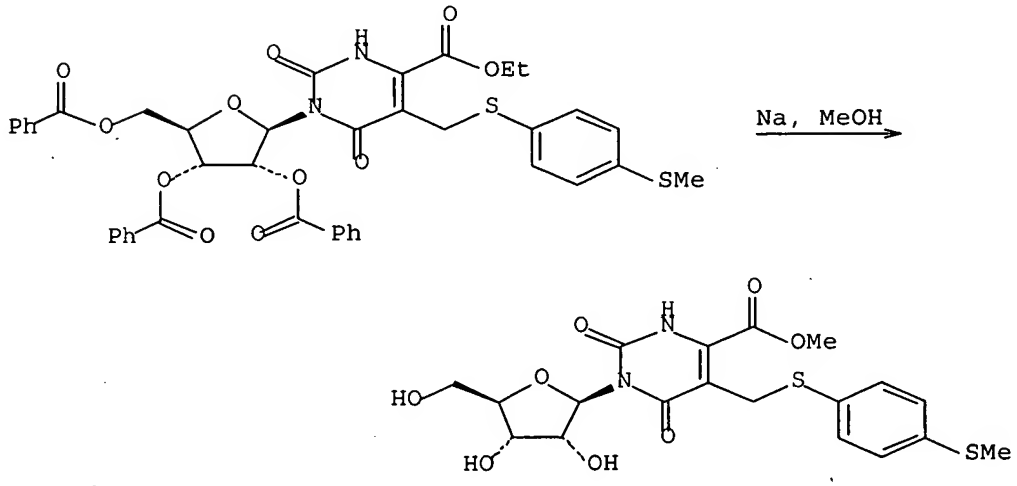

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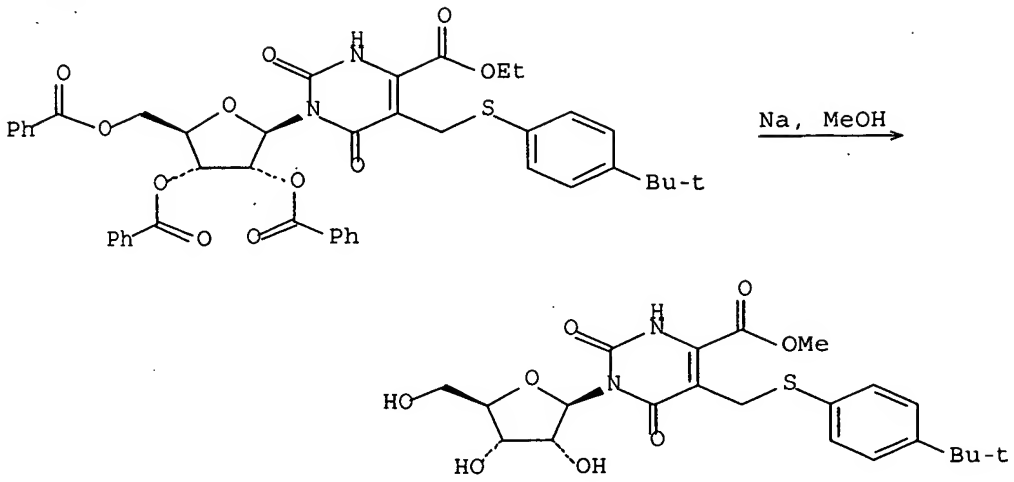

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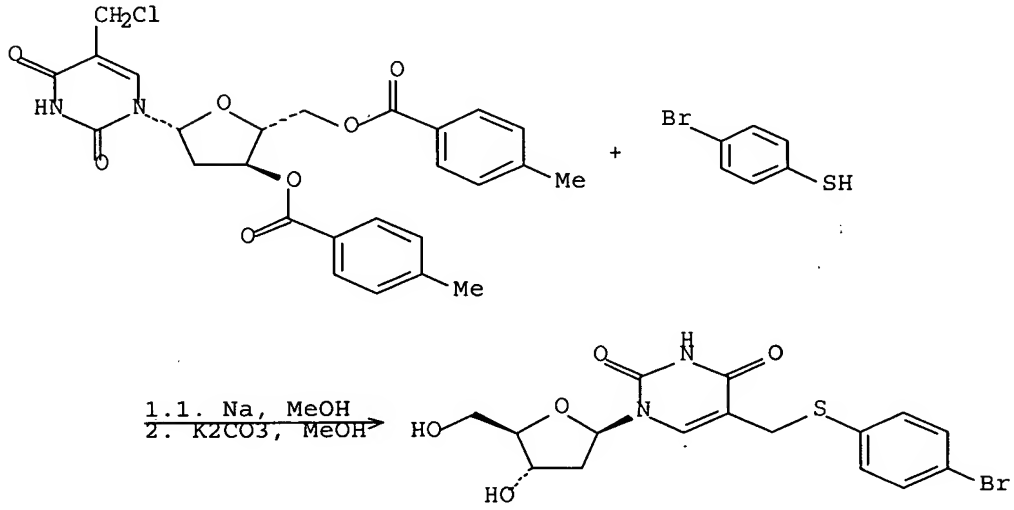

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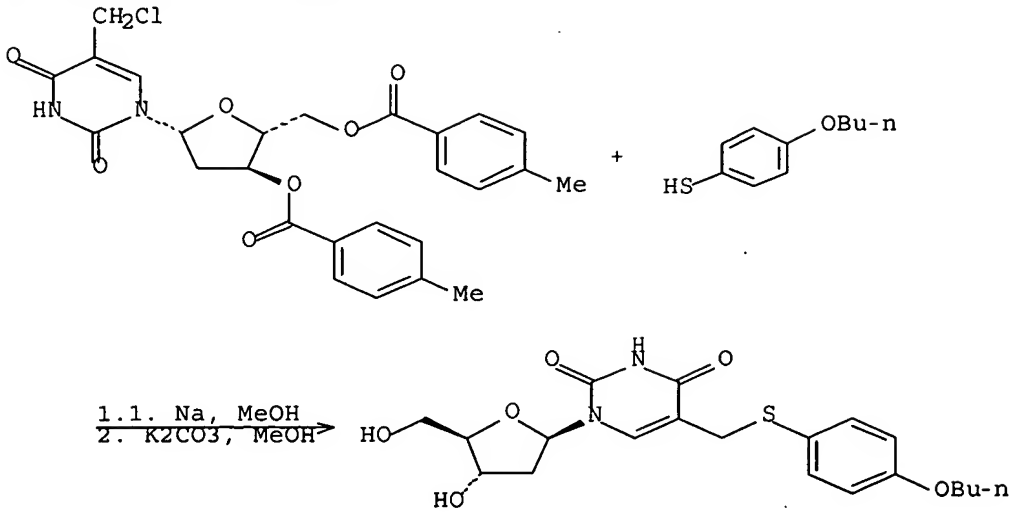

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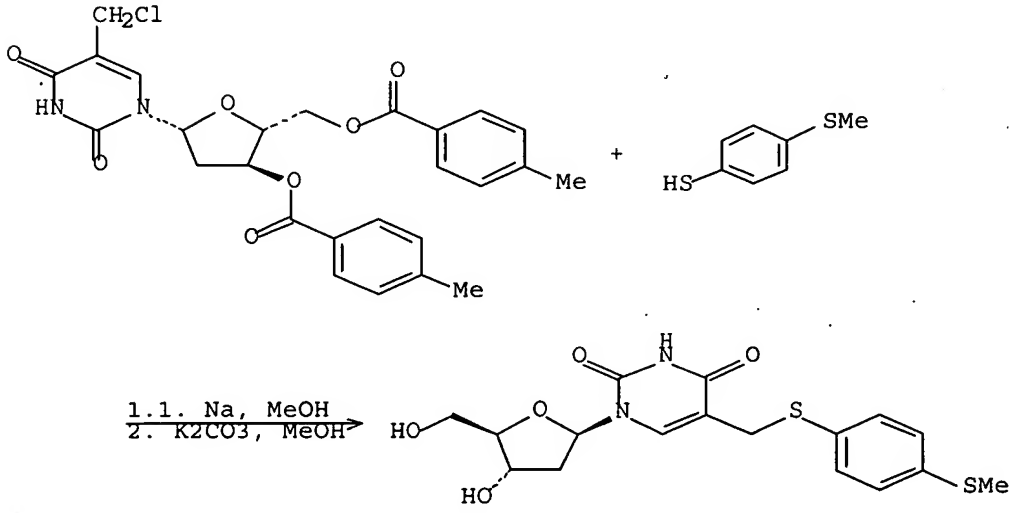

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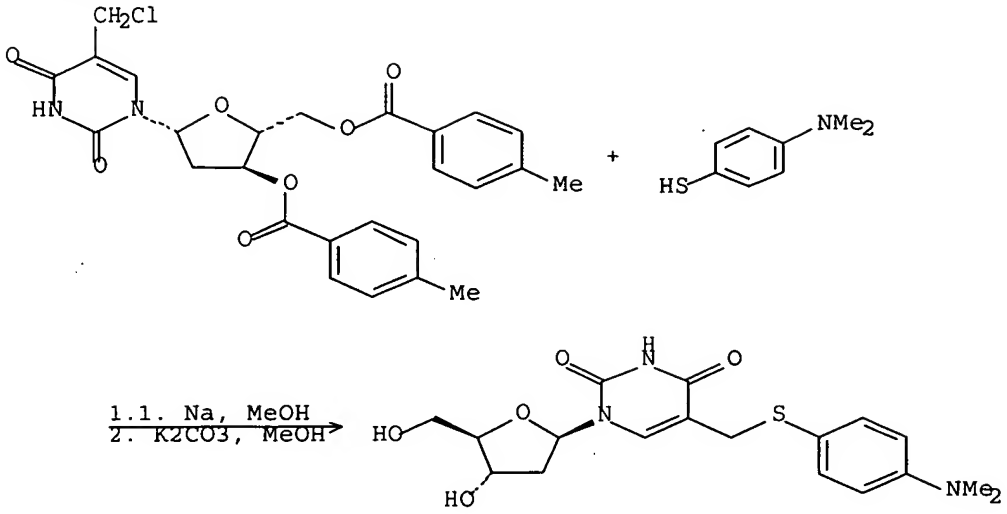

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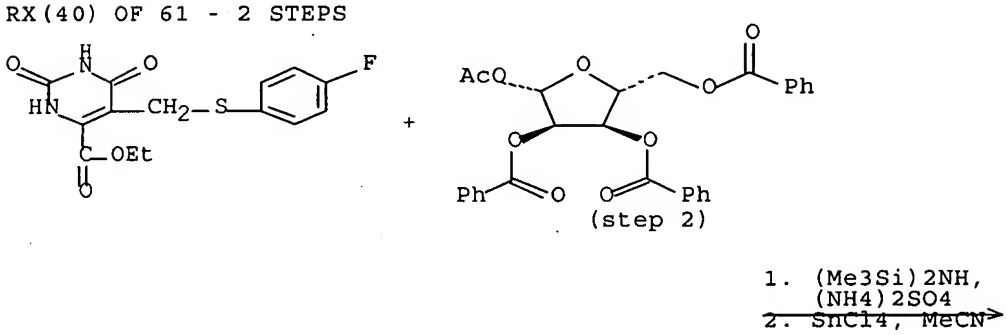

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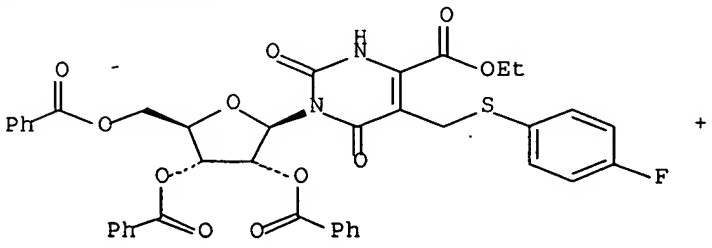

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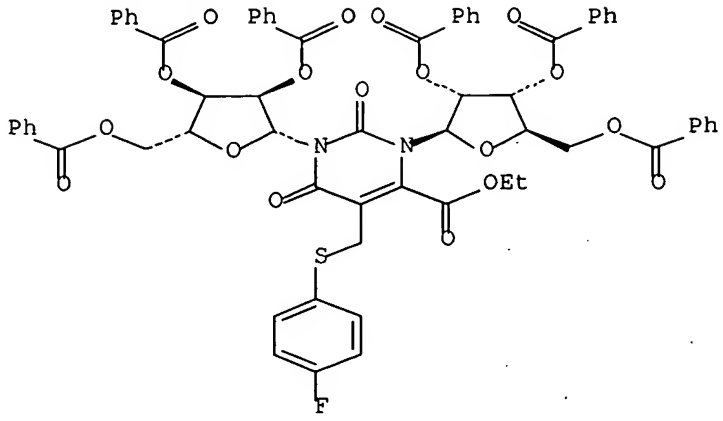

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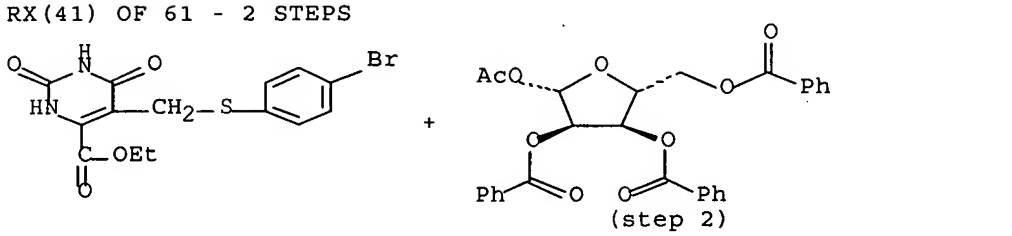

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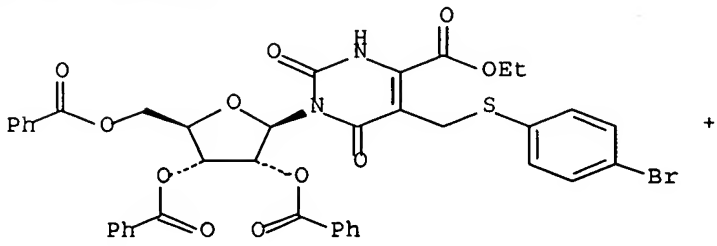
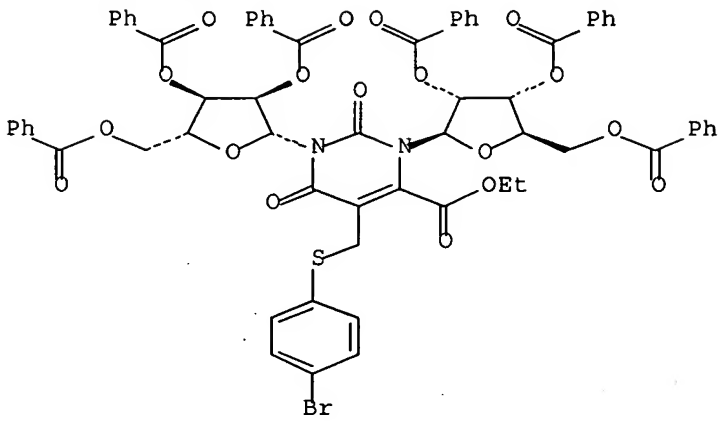
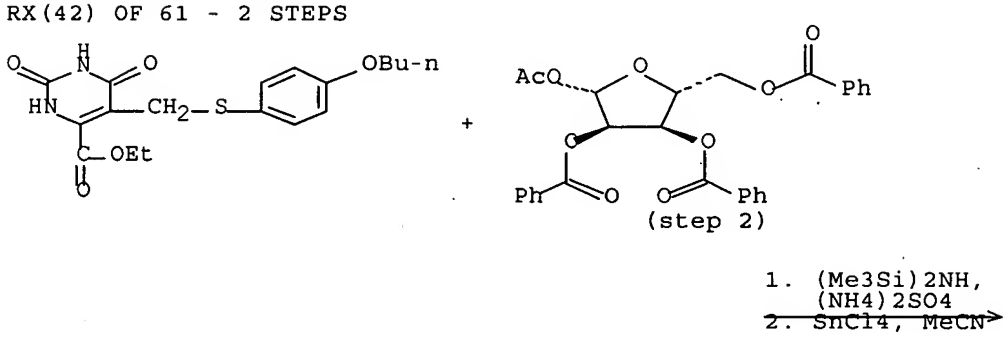


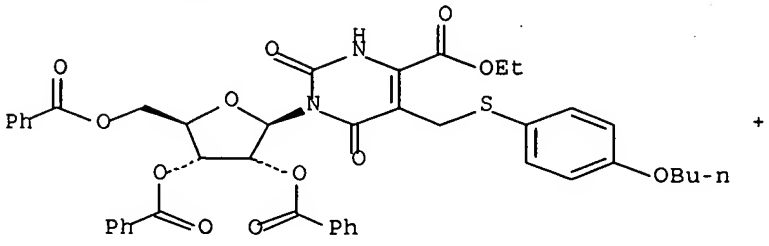
RX(41) OF 61 - 2 STEPS



RX(42) OF 61 - 2 STEPS

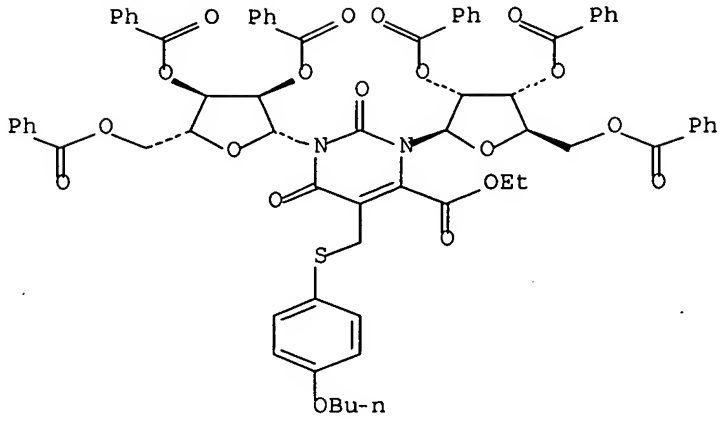


RX(42) OF 61 - 2 STEPS

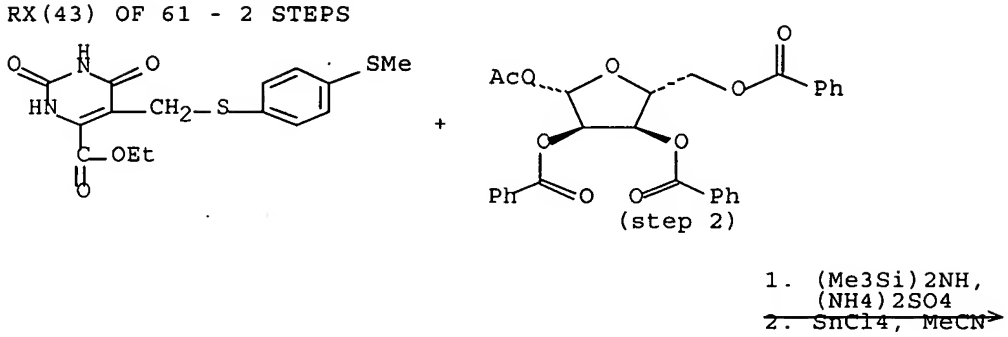


[redacted]

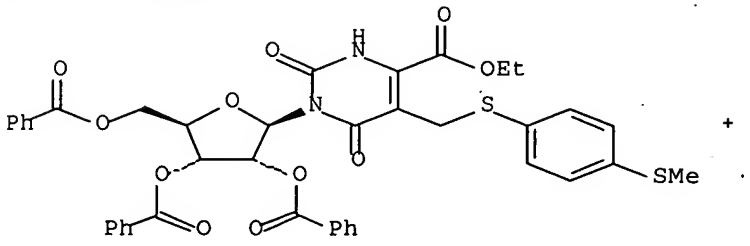
RX(42) OF 61 - 2 STEPS



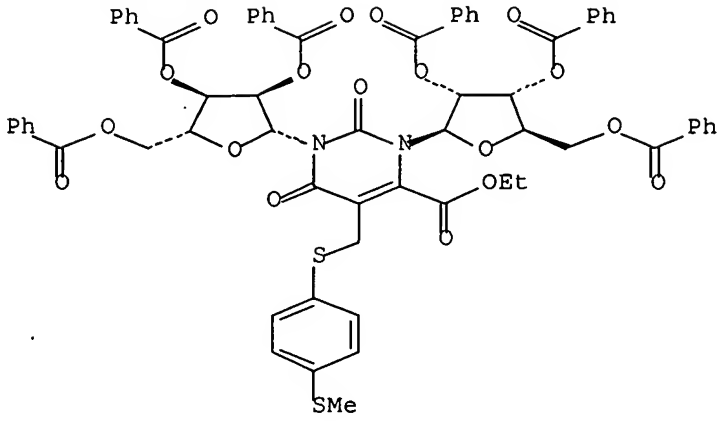
RX(43) OF 61 - 2 STEPS



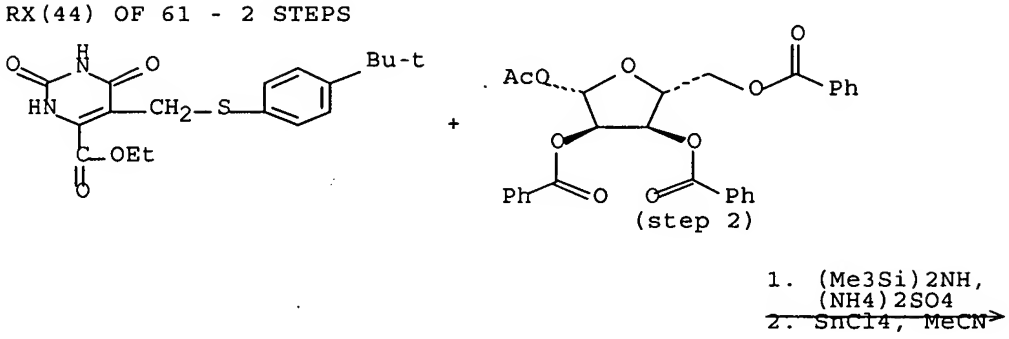
RX(43) OF 61 - 2 STEPS



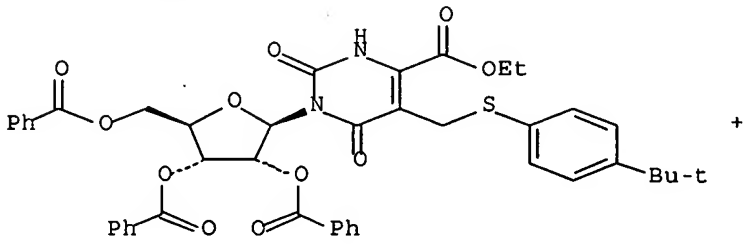
RX(43) OF 61 - 2 STEPS



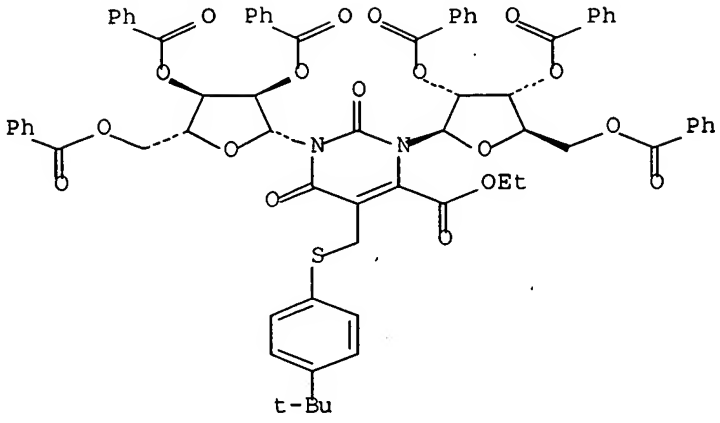
RX(44) OF 61 - 2 STEPS



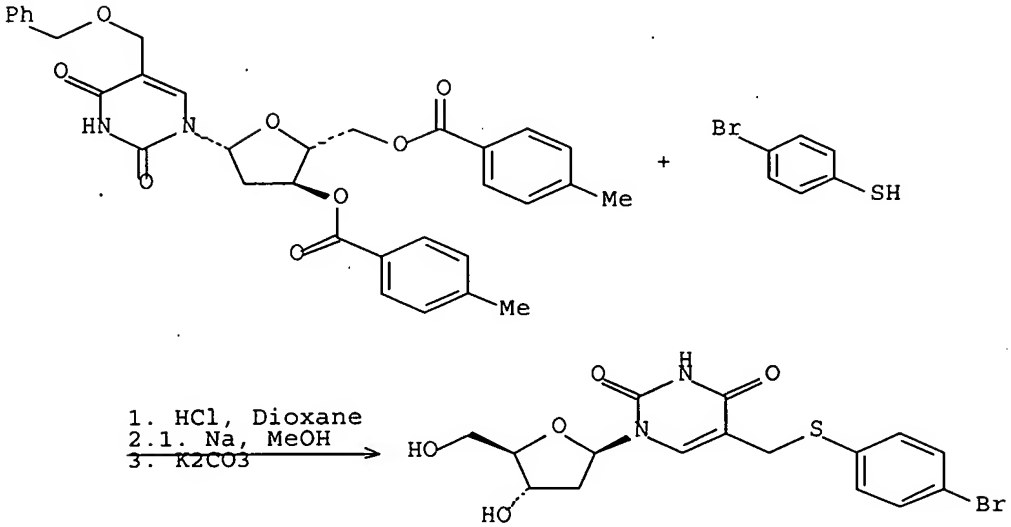
RX(44) OF 61 - 2 STEPS



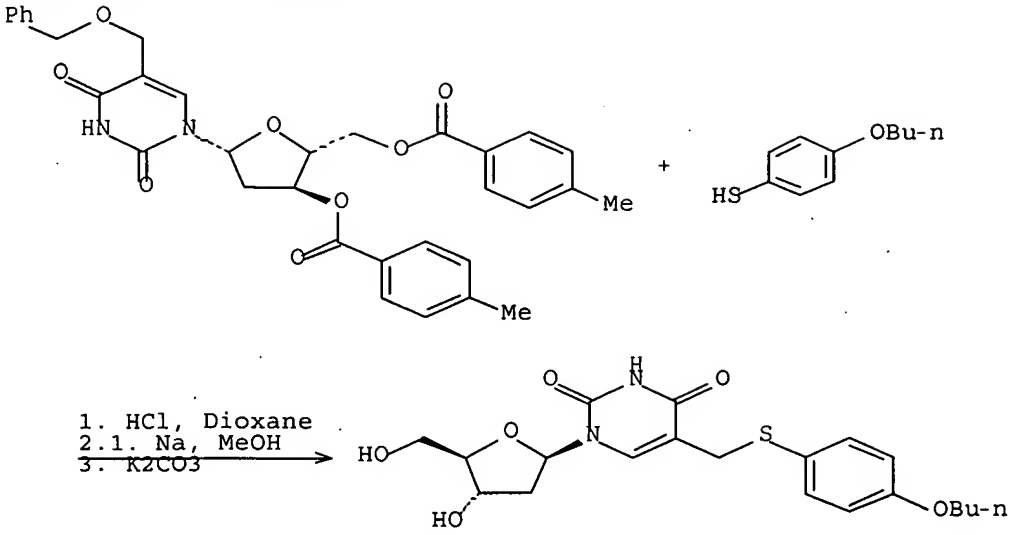
RX(44) OF 61 - 2 STEPS



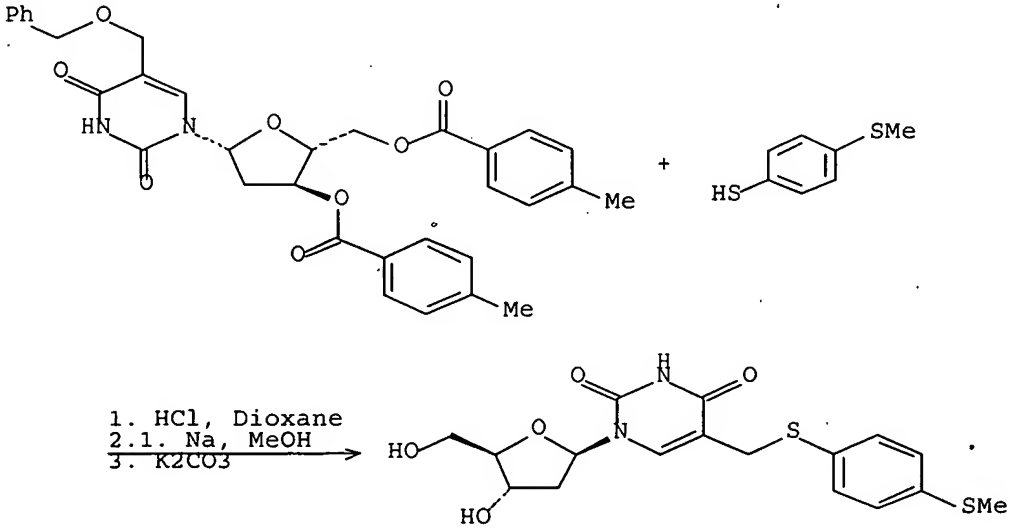
RX(49) OF 61 - 3 STEPS



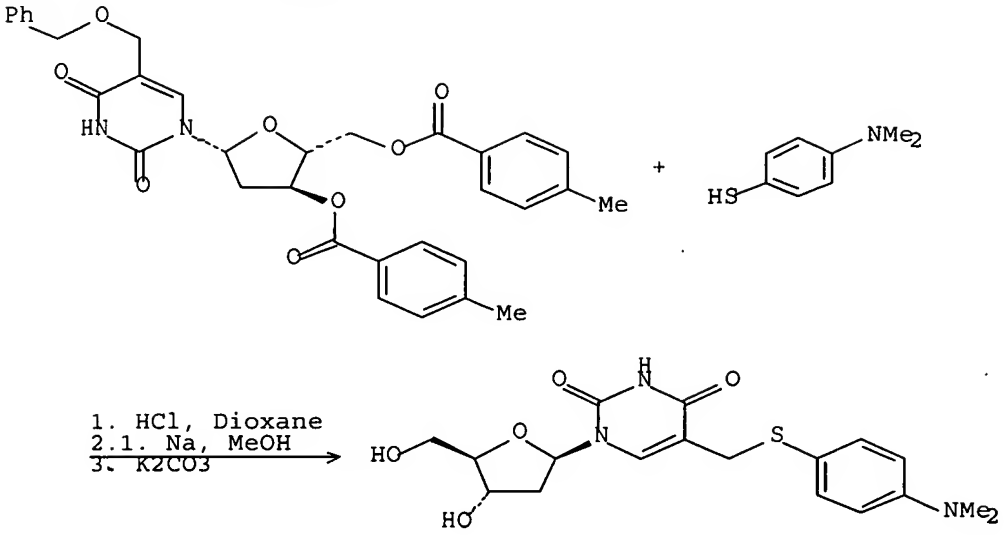
RX(50) OF 61 - 3 STEPS



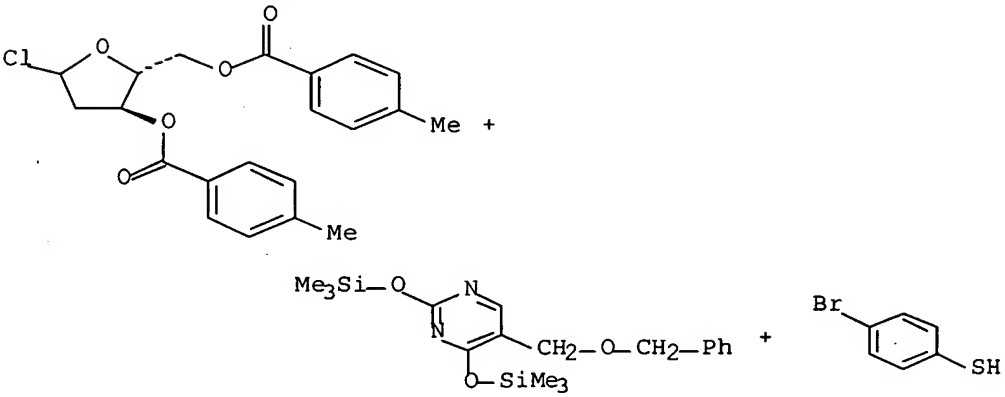
RX(51) OF 61 - 3 STEPS



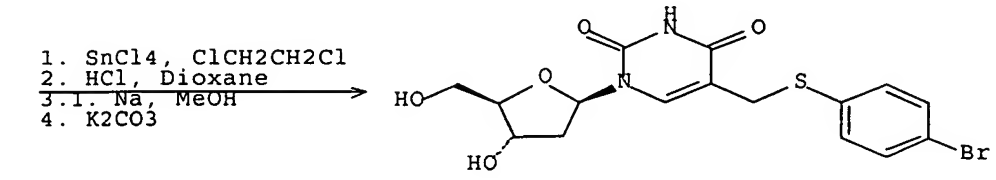
RX(52) OF 61 - 3 STEPS



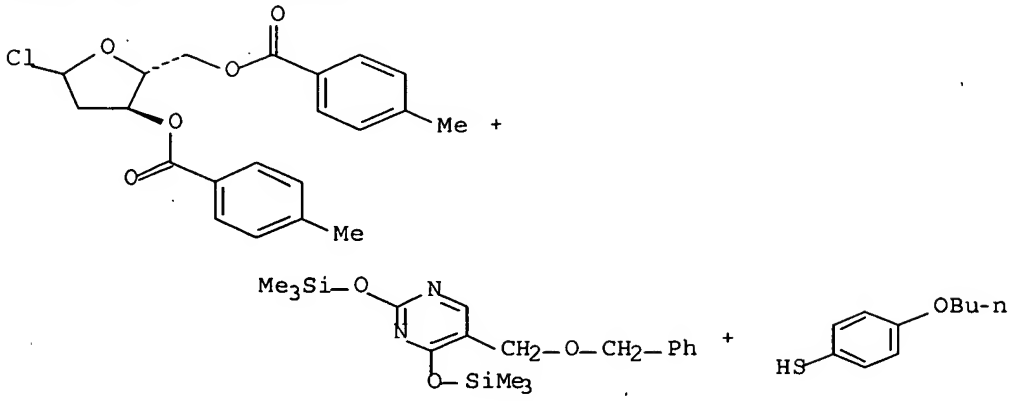
RX(53) OF 61 - 4 STEPS



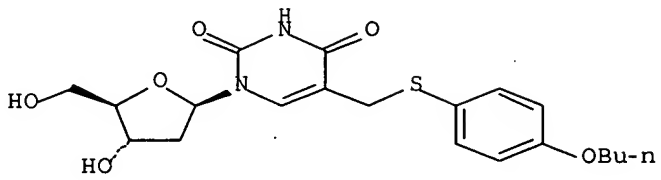
RX(53) OF 61 - 4 STEPS



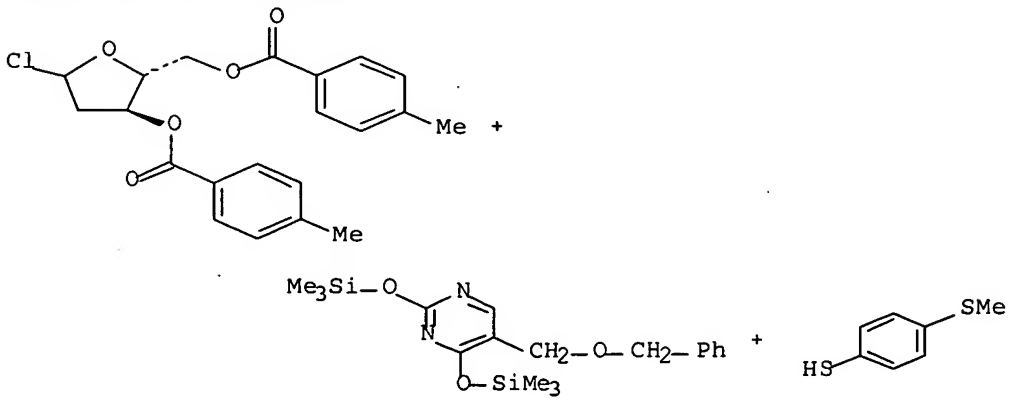
RX(54) OF 61 - 4 STEPS



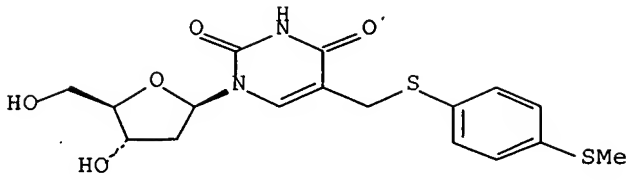
RX(54) OF 61 - 4 STEPS
1. SnCl4, ClCH2CH2Cl
2. HCl, Dioxane
3.1. Na, MeOH
4. K2CO3



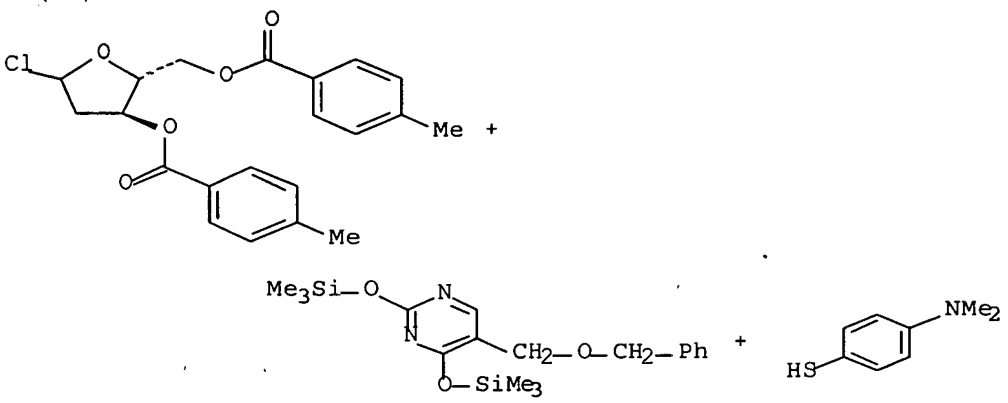
RX(55) OF 61 - 4 STEPS



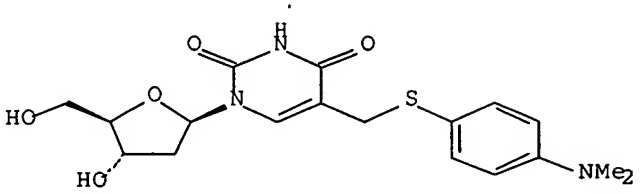
RX(55) OF 61 - 4 STEPS
1. SnCl4, ClCH2CH2Cl
2. HCl, Dioxane
3.1. Na, MeOH
4. K2CO3



RX(56) OF 61 - 4 STEPS



RX(56) OF 61 - 4 STEPS
1. SnCl4, ClCH2CH2Cl
2. HCl, Dioxane
3.1. Na, MeOH
4. K2CO3



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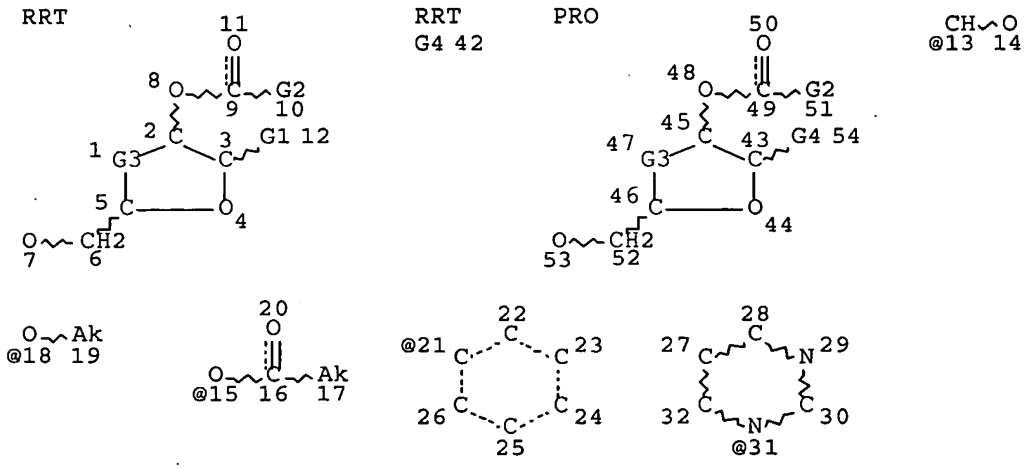
=> fil hcap
FILE 'HCAPLUS' ENTERED AT 14:11:10 ON 12 JAN 2006
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)
```

FILE COVERS 1907 - 12 Jan 2006 VOL 144 ISS 3
FILE LAST UPDATED: 11 Jan 2006 (20060111/ED)

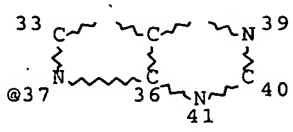
New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que stat l59
L15 STR



Page 1-A



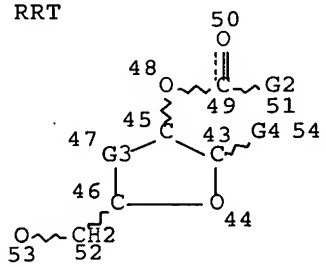
Page 2-A
VAR G1=X/18/15
VAR G2=AK/21
VAR G3=CH2/13
VAR G4=31/37

NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

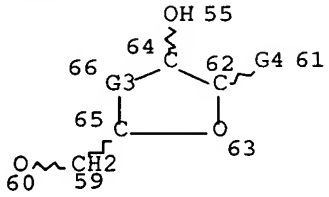
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 54

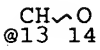
STEREO ATTRIBUTES: NONE
L18 204 SEA FILE=CASREACT SSS FUL L15 (821 REACTIONS)
L19 STR

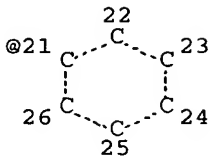
RRT

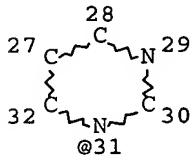


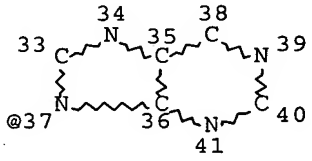
PRO









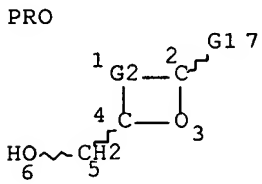


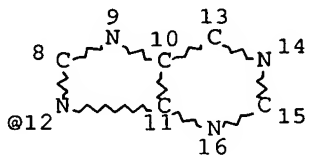
VAR G2=AK/21
VAR G3=CH2/13
VAR G4=31/37
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

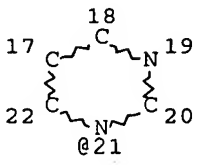
GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 44

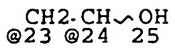
STEREO ATTRIBUTES: NONE
L21 462 SEA FILE=CASREACT SSS FUL L19 (4466 REACTIONS)
L22 122 SEA FILE=CASREACT ABB=ON PLU=ON L18 AND L21
L23 STR

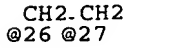
PRO







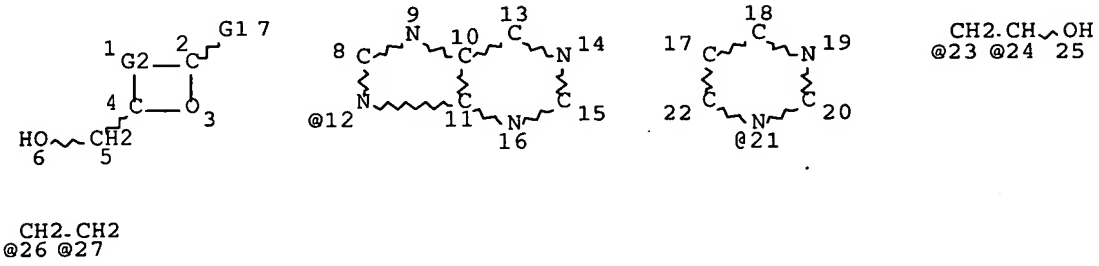




VAR G1=12/21
VAR G2=26-4 27-2/23-4 24-2/24-4 23-2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

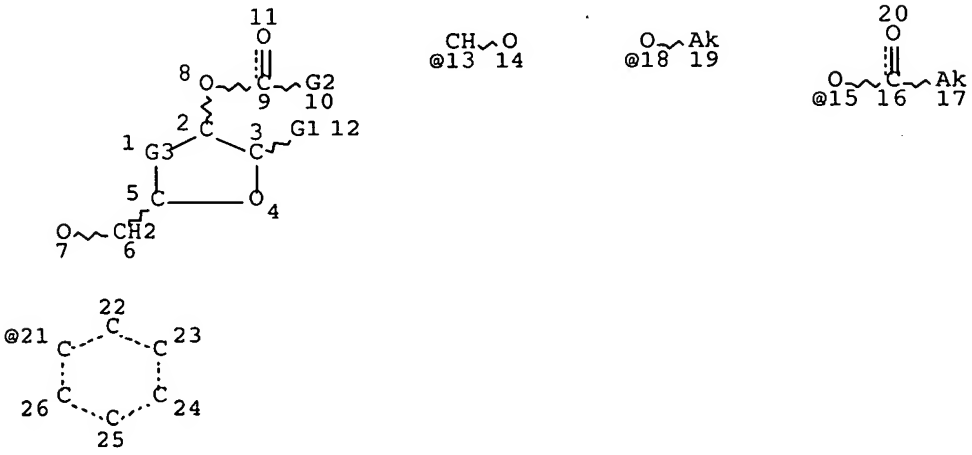
STEREO ATTRIBUTES: NONE
L25 964 SEA FILE=CASREACT SSS FUL L23 (6936 REACTIONS)
L26 23 SEA FILE=CASREACT ABB=ON PLU=ON L25 AND L22
L28 STR



VAR G1=12/21
VAR G2=26-4 27-2/23-4 24-2/24-4 23-2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 27

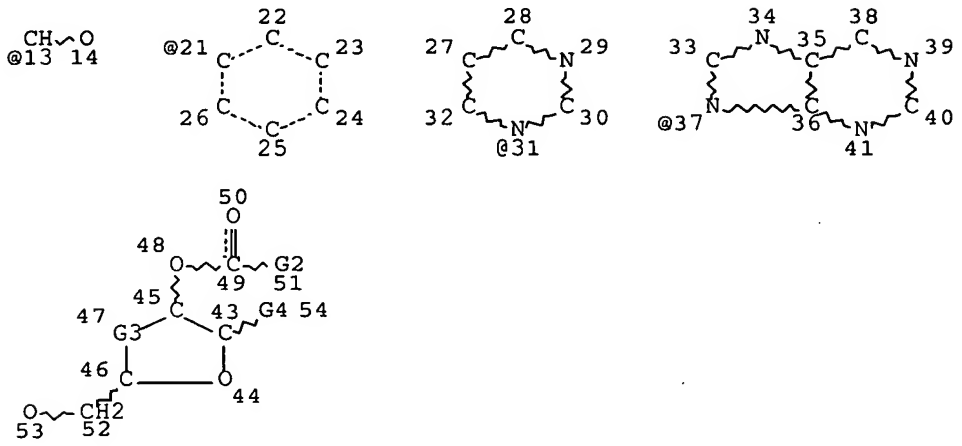
STEREO ATTRIBUTES: NONE
L30 8640 SEA FILE=REGISTRY SSS FUL L28
L31 STR



VAR G1=X/18/15
VAR G2=AK/21
VAR G3=CH2/13
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 26

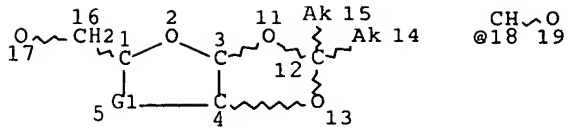
STEREO ATTRIBUTES: NONE
L33 2005 SEA FILE=REGISTRY SSS FUL L31
L34 STR



VAR G2=AK/21
VAR G3=CH2/13
VAR G4=31/37
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 35

STEREO ATTRIBUTES: NONE
L36 8412 SEA FILE=REGISTRY SSS FUL L34
L37 4128 SEA FILE=HCAPLUS ABB=ON PLU=ON L30(L)PREP+ALL/RL
L38 2094 SEA FILE=HCAPLUS ABB=ON PLU=ON L33(L)RACT+ALL/RL
L39 2288 SEA FILE=HCAPLUS ABB=ON PLU=ON L36(L)RACT+ALL/RL
L40 2760 SEA FILE=HCAPLUS ABB=ON PLU=ON L36(L)PREP+ALL/RL
L41 113 SEA FILE=HCAPLUS ABB=ON PLU=ON L37 AND L38 AND L39 AND L40
L43 83 SEA FILE=HCAPLUS ABB=ON PLU=ON L41 AND PY<2000
L47 13 SEA FILE=CASREACT ABB=ON PLU=ON L26 AND PY<2000
L48 72 SEA FILE=HCAPLUS ABB=ON PLU=ON L43 NOT L47
L53 STR



VAR G1=CH2/18
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RING(S) ARE ISOLATED OR EMBEDDED
NUMBER OF NODES IS 14

STEREO ATTRIBUTES: NONE
L55 1313 SEA FILE=REGISTRY SSS FUL L53
L56 925 SEA FILE=HCAPLUS ABB=ON PLU=ON L33(L)PREP+ALL/RL
L57 877 SEA FILE=HCAPLUS ABB=ON PLU=ON L55(L)RACT+ALL/RL
L58 176 SEA FILE=HCAPLUS ABB=ON PLU=ON L56 AND L57
L59 14 SEA FILE=HCAPLUS ABB=ON PLU=ON L58 AND L48

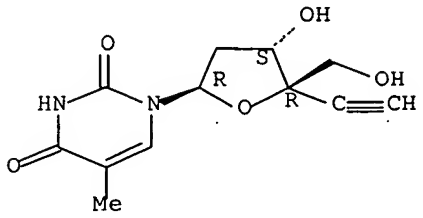
=> d l59 ibib abs hitstr 1-14

L59 ANSWER 1 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:429398 HCAPLUS Full-text
DOCUMENT NUMBER: 131:116424
TITLE: Synthesis of 4'-C-ethynyl-β-D-arabino- and 4'-C-ethynyl-2'-deoxy-β-D-ribopentofuranosyl pyrimidines, and their biological evaluation
AUTHOR(S): Kohgo, Satoru; Horie, Hiroko; Ohrui, Hiroshi
CORPORATE SOURCE: Department of Applied Biological Chemistry, Faculty of Agriculture, Tohoku University, Sendai, 981-8555, Japan
SOURCE: Bioscience, Biotechnology, and Biochemistry (*1999*), 63(6), 1146-1149
CODEN: BBBIEJ; ISSN: 0916-8451
PUBLISHER: Japan Society for Bioscience, Biotechnology, and Agrochemistry
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 4'-C-Ethynyl-β-D-arabino-pentofuranosyl thymine and cytosine, and 4'-C-ethynyl-2'-deoxy-β-D-ribo-pentofuranosyl thymine and cytosine were synthesized by properly protected 4'-C-hydroxy-methyl-3,5-di-O-benzyl- α-D-ribopentofuranose from D-glucose. Among them, 2'-deoxy thymine and cytosine derivs. exhibited antiviral activity, while cytidine derivs. inhibited the growth of neoplastic cells.

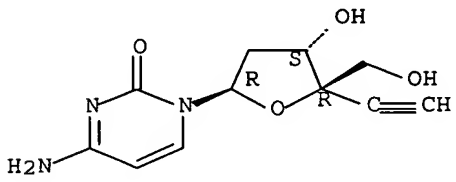
IT *221272-62-4P 232588-95-3P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ***SPN (Synthetic preparation)***; BIOL (Biological study); ***PREP (Preparation)***
(preparation of C-ethynyl-β-D-arabino- and C-ethynyl-deoxy-β-D-ribopentofuranosyl pyrimidines and their biol. evaluation)
RN 221272-62-4 HCAPLUS
CN Thymidine, 4'-C-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



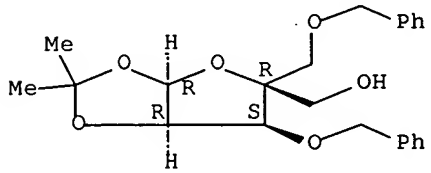
RN 232588-95-3 HCAPLUS
CN Cytidine, 2'-deoxy-4'-C-ethynyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT *153186-10-8*
RL: ***RCT (Reactant); RACT (Reactant or reagent)***
(preparation of C-ethynyl-β-D-arabino- and C-ethynyl-deoxy-β-D-ribopentofuranosyl pyrimidines and their biol. evaluation)
RN 153186-10-8 HCAPLUS
CN β-L-Lyxofuranose, 1,2-O-(1-methylethylidene)-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

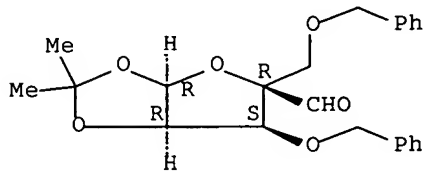
Absolute stereochemistry.



IT *233266-76-7P 233266-77-8P 233266-78-9P*
233266-80-3P 233266-81-4P 233266-82-5P
233266-83-6P 233266-84-7P 233266-85-8P
233266-86-9P 233266-87-0P 233266-88-1P
233266-92-7P
RL: ***RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)***
(preparation of C-ethynyl-β-D-arabino- and C-ethynyl-deoxy-β-D-ribopentofuranosyl pyrimidines and their biol. evaluation)

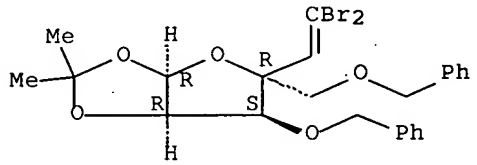
RN 233266-76-7 HCAPLUS
CN L-arabino-Pentodialdo-5,2-furanose, 4,5-O-(1-methylethylidene)-2-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



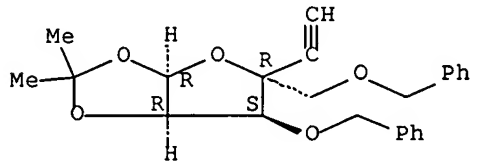
RN 233266-77-8 HCAPLUS
CN β-L-lyxo-Hex-5-enofuranose, 6,6-dibromo-5,6-dideoxy-1,2-O-(1-methylethylidene)-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



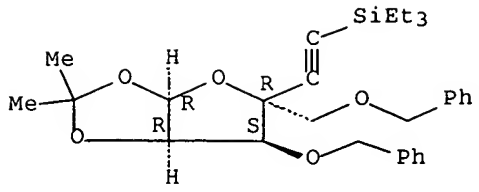
RN 233266-78-9 HCAPLUS
CN β-L-lyxo-Hex-5-ynofuranose, 5,6-dideoxy-1,2-O-(1-methylethylidene)-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



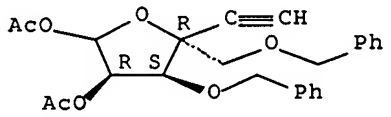
RN 233266-80-3 HCAPLUS
CN β-L-lyxo-Hex-5-ynofuranose, 5,6-dideoxy-1,2-O-(1-methylethylidene)-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-6-(triethylsilyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



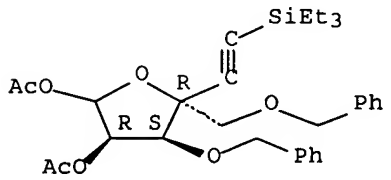
RN 233266-81-4 HCAPLUS
CN L-lyxo-Hex-5-ynofuranose, 5,6-dideoxy-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-, diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



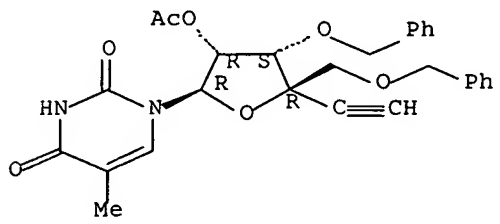
RN 233266-82-5 HCAPLUS
CN L-lyxo-Hex-5-ynofuranose, 5,6-dideoxy-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)-6-(triethylsilyl)-, diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 233266-83-6 HCAPLUS
CN Uridine, 4'-C-ethynyl-5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

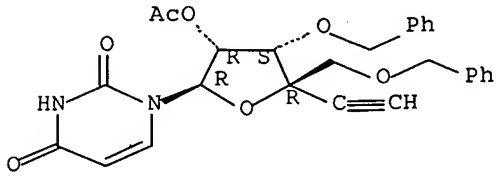
Absolute stereochemistry. Rotation (+).



RN 233266-84-7 HCAPLUS

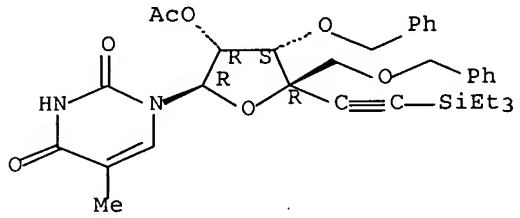
CN Uridine, 4'-C-ethynyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



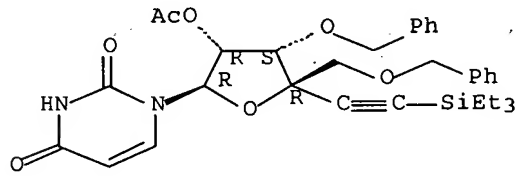
RN 233266-85-8 HCAPLUS
CN Uridine, 5-methyl-3',5'-bis-O-(phenylmethyl)-4'-C-[(triethylsilyl)ethynyl]-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



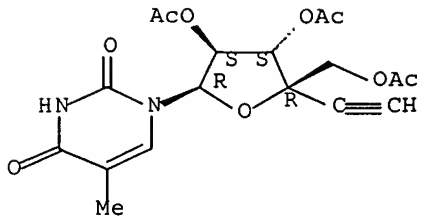
RN 233266-86-9 HCAPLUS
CN Uridine, 3',5'-bis-O-(phenylmethyl)-4'-C-[(triethylsilyl)ethynyl]-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



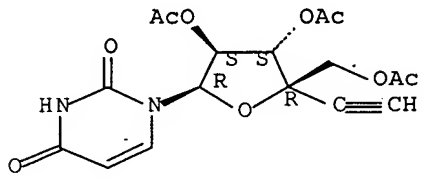
RN 233266-87-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-di-O-acetyl-4-C-[(acetyloxy)methyl]-5,6-dideoxy-α-L-xylo-hex-5-ynofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



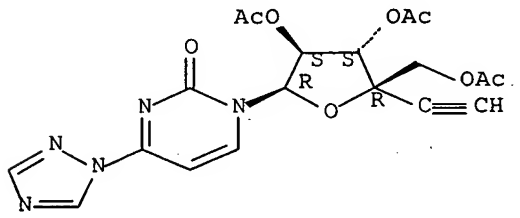
RN 233266-88-1 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3-di-O-acetyl-4-C-[(acetyloxy)methyl]-5,6-dideoxy-α-L-xylo-hex-5-ynofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 233266-92-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-[2,3-di-O-acetyl-4-C-[(acetyloxy)methyl]-5,6-dideoxy-α-L-xylo-hex-5-ynofuranosyl]-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 2 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:773043 HCAPLUS Full-text
DOCUMENT NUMBER: 130:110551
TITLE: Synthesis of partially-deuterated 2'-deoxyribonucleoside blocks and their incorporation into an oligo-DNA for simplification of overcrowding and selective enhancement of resolution and sensitivity in the 1H-NMR spectra
AUTHOR(S): Foldesi, Andras; Maltseva, Tatiana V.; Dinya, Zoltan; Chattopadhyaya, Jyoti

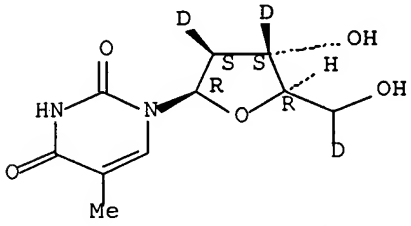
CORPORATE SOURCE: Department of Bioorganic Chemistry, Biomedical Centre, University of Uppsala, Uppsala, S-751 23, Swed.
SOURCE: Tetrahedron (*1998*), 54(48), 14487-14514
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 130:110551

AB The chemical synthesis of appropriately protected partially-deuterated 2'(R/S),3',5'(R/S)-2H3-2'-deoxyribonucleoside blocks [.apprx.43 atom % 2H at C5'(R), .apprx.57 atom % 2H at C5'(S); .apprx.15 atom % 2H at C2'(R), .apprx.85 atom % 2H at C2'(S) and >99 atom % 2H at C3'] is reported. The availability of these deuterium labeled blocks on large scale has enabled the chemical assemblage of the deuterio isotopomeric 12mer [d(C1G2C3G4A5A6T7T8C9G10C11G12)]2 DNA duplex by standard solid-phase synthesis protocol in order to demonstrate the usefulness of the new "NMR-window III" approach (see the following paper).

IT *207917-59-7P*, Thymidine-2'-d-3',5'-C-d2 *219568-62-4P*
219568-63-5P 219568-87-3P 219568-88-4P
219568-89-5P 219568-96-4P 219568-99-7P
219569-01-4P 219569-02-5P 219569-03-6P
219569-04-7P 219569-40-1P 219569-41-2P
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(synthesis and reaction of in the preparation of partially-deuterated 2'-deoxyribonucleoside blocks for selective enhancement of resolution and sensitivity in the 1H-NMR spectra of oligo-DNA)

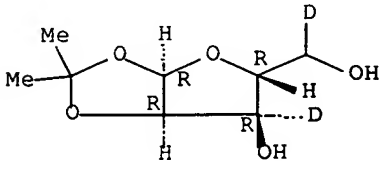
RN 207917-59-7 HCAPLUS
CN Thymidine-2'-d-3',5'-C-d2 (9CI) (CA INDEX NAME)

Absolute stereochemistry.



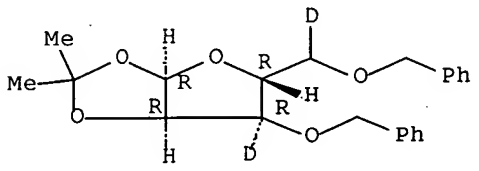
RN 219568-62-4 HCAPLUS
CN α-D-Ribofuranose-3,5-C-d2, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



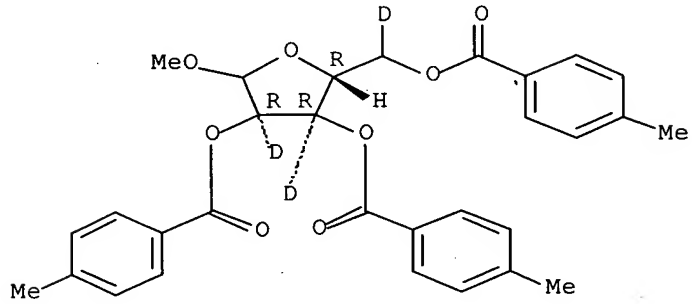
RN 219568-63-5 HCAPLUS
CN α-D-Ribofuranose-3,5-C-d2, 1,2-O-(1-methylethylidene)-3,5-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



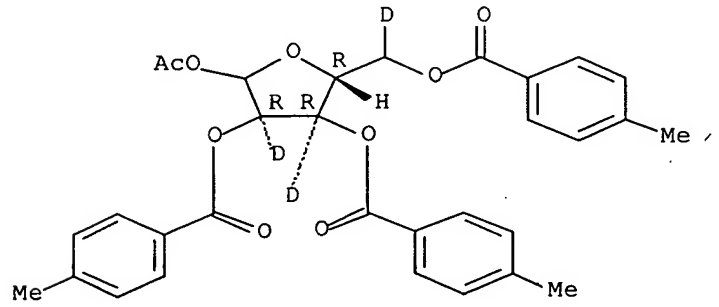
RN 219568-87-3 HCAPLUS
CN D-Ribofuranoside-2,3,5-C-d3, methyl, tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 219568-88-4 HCAPLUS
CN D-Ribofuranose-2,3,5-C-d3, 1-acetate 2,3,5-tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.

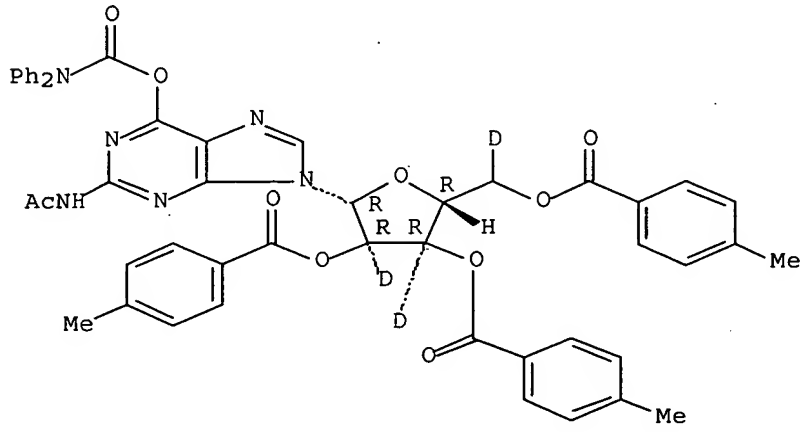


[redacted]

RN 219568-89-5 HCAPLUS

CN Guanosine-2',3',5'-C-d3, N-acetyl-, 6-(diphenylcarbamate) 2',3',5'-tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

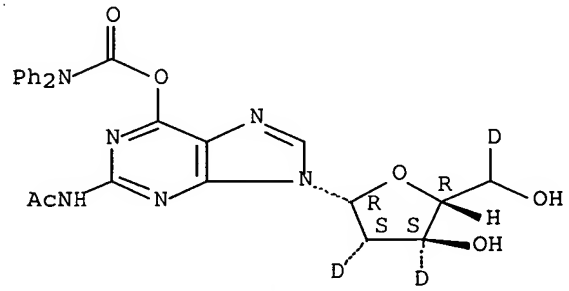
Absolute stereochemistry.



RN 219568-96-4 HCAPLUS

CN Guanosine-2'-d-3',5'-C-d2, N-acetyl-2'-deoxy-, 6-(diphenylcarbamate), (2'S)- (9CI) (CA INDEX NAME)

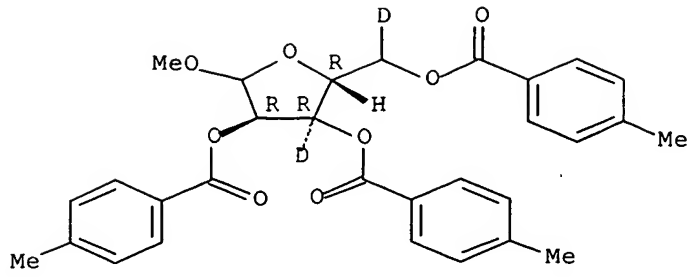
Absolute stereochemistry.



RN 219568-99-7 HCAPLUS

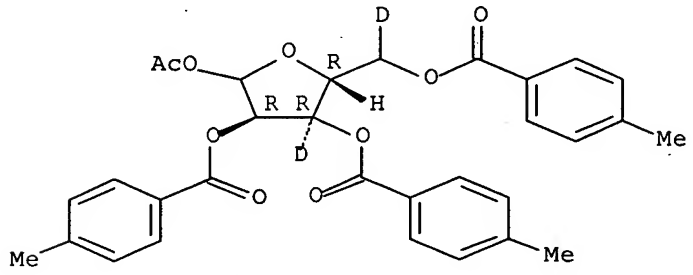
CN D-Ribofuranoside-3,5-C-d2, methyl, tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



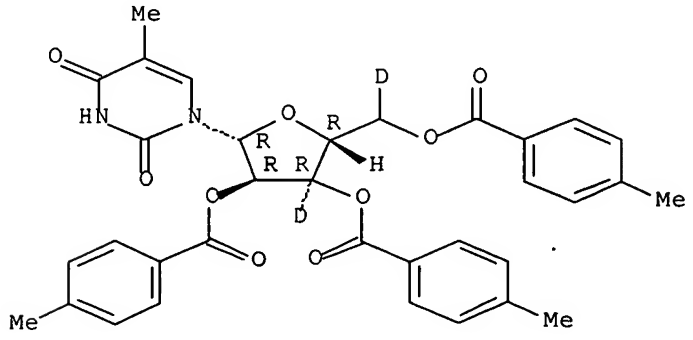
RN 219569-01-4 HCAPLUS
CN D-Ribofuranose-3,5-C-d2, 1-acetate 2,3,5-tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



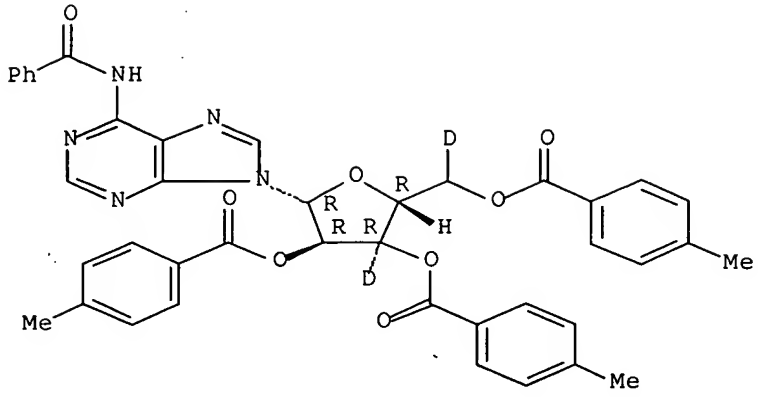
RN 219569-02-5 HCAPLUS
CN Uridine-3',5'-C-d2, 5-methyl-, 2',3',5'-tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



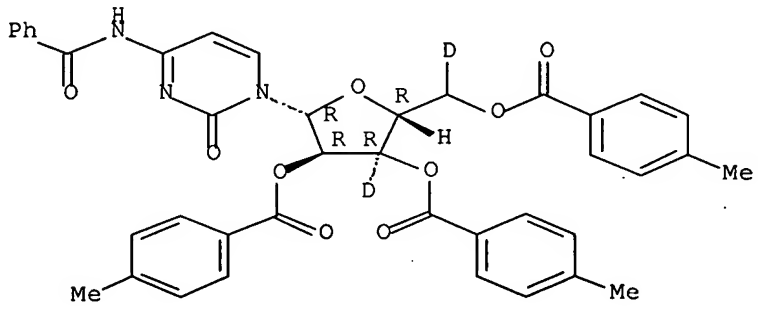
RN 219569-03-6 HCAPLUS
CN Adenosine-3',5'-C-d2, N-benzoyl-, 2',3',5'-tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



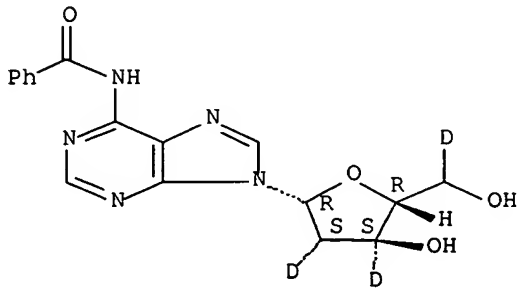
RN 219569-04-7 HCAPLUS
CN Cytidine-3',5'-C-d2, N-benzoyl-, 2',3',5'-tris(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



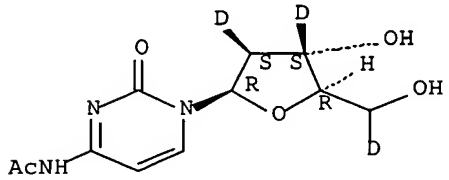
RN 219569-40-1 HCAPLUS
CN Adenosine-2'-d-3',5'-C-d2, N-benzoyl-2'-deoxy-, (2'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 219569-41-2 HCAPLUS
CN Cytidine-2'-d-3',5'-C-d2, N-acetyl-2'-deoxy-, (2'S)- (9CI) (CA INDEX NAME)

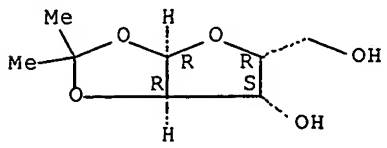
Absolute stereochemistry.



REFERENCE COUNT: 68 THERE ARE 68 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

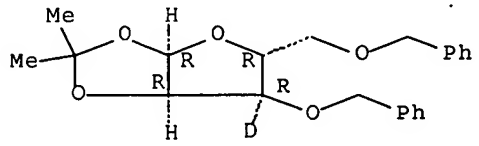
L59 ANSWER 3 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:120419 HCAPLUS Full-text
DOCUMENT NUMBER: 128:192865
TITLE: Synthesis of 3'-deuterated pyrimidine nucleosides via stereoselective reduction of a protected 3-oxoribose
AUTHOR(S): Chen, Tongqian; Greenberg, Marc M.
CORPORATE SOURCE: Dep. Chem., Colorado State Univ., Fort Collins, CO, 80523, USA
SOURCE: Tetrahedron Letters (*1998*), 39(10), 1103-1106
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 128:192865
AB Thymidine and 5-methyl-2'-O-(t-butyldimethylsilyl)uridine deuterated at the C3'-position were prepared with complete stereocontrol via NaB2H4 reduction of a 3-oxoribose derivative Utilization of benzyl protecting groups in the deuterated glycosidation substrate facilitates the synthesis of ribonucleosides and 2'-deoxyribonucleosides with minimal protecting group manipulations.
IT *20031-21-4*
RL: ***RCT (Reactant); RACT (Reactant or reagent)***
(preparation of deuterated pyrimidine nucleosides via stereoselective reduction
of a protected oxoribose)
RN 20031-21-4 HCAPLUS
CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



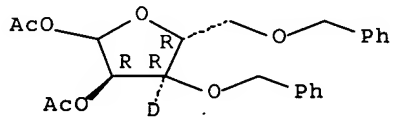
IT *203510-12-7P 203510-14-9P 203510-15-0P*
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(preparation of deuterated pyrimidine nucleosides via stereoselective reduction
of a protected oxoribose)
RN 203510-12-7 HCAPLUS
CN α-D-Ribofuranose-3-C-d, 1,2-O-(1-methylethylidene)-3,5-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



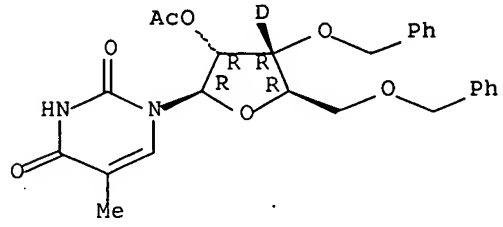
RN 203510-14-9 HCAPLUS
CN D-Ribofuranose-3-C-d, 3,5-bis-O-(phenylmethyl)-, diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 203510-15-0 HCAPLUS
CN Uridine-3'-C-d, 5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

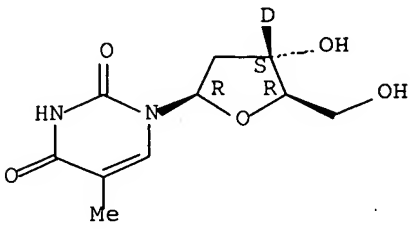
Absolute stereochemistry.



IT *203510-07-0P*, Thymidine-3'-C-d
RL: *SPN (Synthetic preparation); PREP (Preparation)*
(preparation of deuterated pyrimidine nucleosides via stereoselective reduction
of a protected oxoribose)
RN 203510-07-0 HCAPLUS

CN Thymidine-3'-C-d (9CI) (CA INDEX NAME)

Absolute stereochemistry.



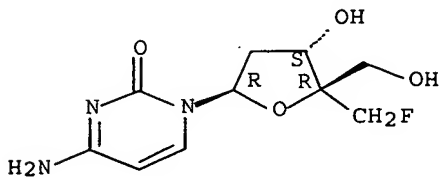
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 4 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:644529 HCAPLUS Full-text
DOCUMENT NUMBER: 127:278396
TITLE: Synthesis of 4'-C-fluoromethylnucleosides as potential antineoplastic agents
AUTHOR(S): Kitano, Kenji; Miura, Shinji; Ohrui, Hiroshi; Meguro, Hiroshi
CORPORATE SOURCE: Biochemicals Division, Yamasa Corporation, Choshi, 288, Japan
SOURCE: Tetrahedron (*1997*), 53(39), 13315-13322
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 2-Deoxy-D-erythro-, ribo-, and arabino-pentofuranosylcytosines, which have a fluoromethyl group at the 4'-position, were synthesized. Introduction of fluorine was achieved by DAST treatment of 4-C-hydroxymethyl-D- ribofuranose, the key intermediate of 4'-C-methylnucleosides. Among these nucleosides, the 2'-deoxy derivative exhibited potent antineoplastic activity in vitro.

IT ***196604-51-0P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); ***SPN (Synthetic preparation)***; BIOL (Biological study); ***PREP (Preparation)***
(preparation of fluoromethylnucleosides as potential antineoplastic agents)
RN 196604-51-0 HCAPLUS
CN Cytidine, 2'-deoxy-4'-C-(fluoromethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



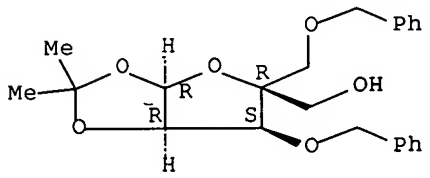
IT ***153186-10-8***

RL: *RCT (Reactant); RACT (Reactant or reagent)*
(preparation of fluoromethylnucleosides as potential antineoplastic agents)

RN 153186-10-8 HCAPLUS

CN β-L-Lyxofuranose, 1,2-O-(1-methylethylidene)-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

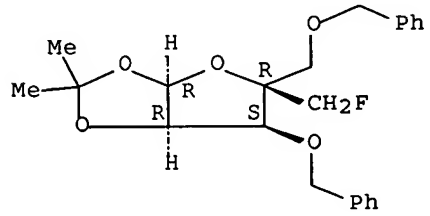


IT *196604-54-3P 196604-56-5P 196604-57-6P*
196604-61-2P 196604-63-4P
RL: *RCT (Reactant); SPN (Synthetic preparation);*
PREP (Preparation); RACT (Reactant or reagent)
(preparation of fluoromethylnucleosides as potential antineoplastic agents)

RN 196604-54-3 HCAPLUS

CN α-D-Ribofuranose, 4-C-(fluoromethyl)-1,2-O-(1-methylethylidene)-3,5-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

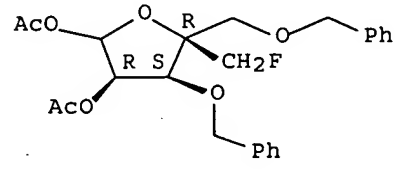
Absolute stereochemistry.



RN 196604-56-5 HCAPLUS

CN D-Ribofuranose, 4-C-(fluoromethyl)-3,5-bis-O-(phenylmethyl)-, diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

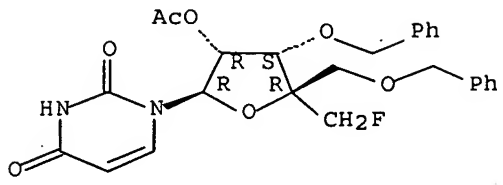


RN 196604-57-6 HCAPLUS

CN Uridine, 4'-C-(fluoromethyl)-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI)

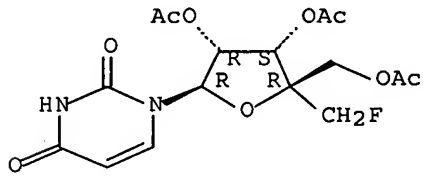
(CA INDEX NAME)

Absolute stereochemistry.



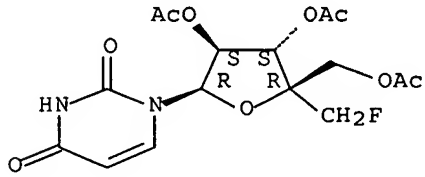
RN 196604-61-2 HCAPLUS
CN Uridine, 4'-C-(fluoromethyl)-, 2',3',5'-triacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 196604-63-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2,3,5-tri-O-acetyl-4-C-(fluoromethyl)-β-D-arabinofuranosyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 5 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:81313 HCAPLUS Full-text
DOCUMENT NUMBER: 126:186294
TITLE: Synthesis of (5'S)-[5'-2H1:1',2',3',4',5'-13C5]-thymidine via stereoselective deuteration of a 5-oxoribose derivative
AUTHOR(S): Ono, Akira; Ono, Akira; Kainosho, Masatsune
CORPORATE SOURCE: Dep. Chem., Fac. Sci., Tokyo Metropolitan Univ., Tokyo, 192-03, Japan
SOURCE: Tetrahedron Letters (*1997*), 38(3), 395-398

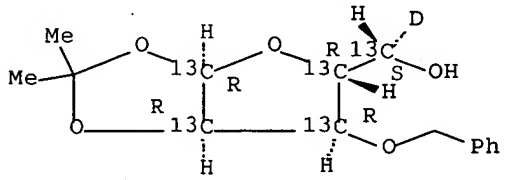
CODEN: TELEAY; ISSN: 0040-4039
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 126:186294

AB (5'S)-[5'-2H1:1',2',3',4',5'-13C5]-Thymidine has been synthesized by a stereoselective deuteride transfer reaction from (-)- or (+)-[2-2H1]-isobornyloxymagnesium bromide to a 5-oxoribose derivative, which can be readily prepared from [13C6]-D-glucose. The overall yield from D-glucose to thymidine was 27%. The various nucleosides with a stereoselective 2H-label together with 13C at the C5' position, which have become available by the present method, will be quite useful for stereospecific assignment of the diastereotopic C5' methylene signals, and also for conformational analyses of the O5'-C5' bonds in nucleic acid oligomers.

IT ***187589-09-9P 187589-10-2P 187589-11-3P***
RL: ***RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)***
(preparation of thymidine via stereoselective deuteration of a 5-oxoribose derivative)

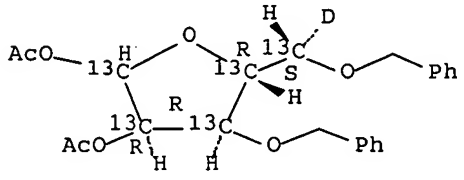
RN 187589-09-9 HCAPLUS
CN α-D-Ribofuranose-1,2,3,4,5-13C5-5-C-d, 1,2-O-(1-methylethylidene)-3-O-(phenylmethyl)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



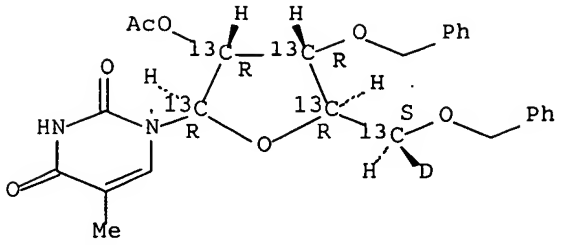
RN 187589-10-2 HCAPLUS
CN D-Ribofuranose-1,2,3,4,5-13C5-5-C-d, 3,5-bis-O-(phenylmethyl)-, diacetate, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



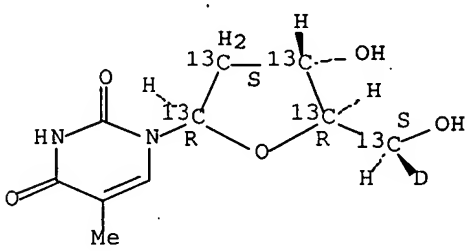
RN 187589-11-3 HCAPLUS
CN Uridine-1',2',3',4',5'-13C5-5'-C-d, 5-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate, (5'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *187589-13-5P*, Thymidine-1',2',3',4',5'-13C5-5'-C-d
RL: *SPN (Synthetic preparation)*; *PREP (Preparation)*
(preparation of thymidine via stereoselective deuteration of a 5-oxoribose derivative)
RN 187589-13-5 HCAPLUS
CN Thymidine-1',2',3',4',5'-13C5-5'-C-d, (5'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L59 ANSWER 6 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:931223 HCAPLUS Full-text
DOCUMENT NUMBER: 124:30268
TITLE: Preparation of binding competent oligomers containing unsaturated 3',5' and 2',5' linkages and related compounds.
INVENTOR(S): Matteucci, Mark D.; Cao, Xiaodong
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: PCT Int. Appl., 123 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9511911	A1	19950504	WO 1994-US12202	19941025 <--
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 5434257	A	19950718	US 1993-142785	19931026 <--
PRIORITY APPLN. INFO.:			US 1993-142785 A	19931026
			US 1992-892902 A2	19920601

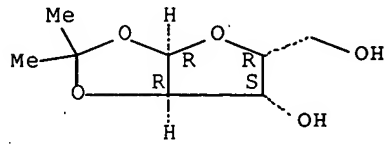
AB Oligomers having ≥1 substitute linkage of the form 2'/3' -O-CH2-CH: 5' or 3' -S-CH2-CH: 5' between adjacent nucleomonomers, and related compds., are disclosed. The oligonucleotide analogs are easy to synthesize, stable in vivo, resistant to endogenous nucleases and are able to hybridize to target nucleic acid sequences in a sequence specific manner. Thus, 5' TCTCTCTCTCT#TT#TT 3' (# = 3' allyl sulfide linkage; C = 5-methylcytidine) showed a ΔTm/sub. = -1.50° for binding to a single stranded RNA target.

IT *20031-21-4*
RL: ***RCT (Reactant); RACT (Reactant or reagent)***
(preparation of binding competent oligomers containing unsatd. 3',5' and 2',5'
linkages and related compds.)

RN 20031-21-4 HCAPLUS

CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

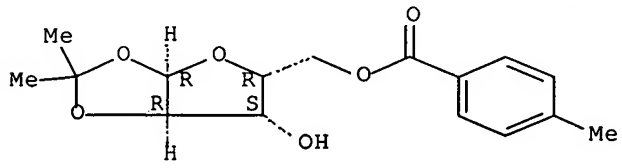


IT *75096-60-5P 161110-10-7P 161462-85-7P*
169243-72-5P 170563-46-9P 170717-37-0P
RL: ***RCT (Reactant); SPN (Synthetic preparation);***
PREP (Preparation); RACT (Reactant or reagent)
(preparation of binding competent oligomers containing unsatd. 3',5' and 2',5'
linkages and related compds.)

RN 75096-60-5 HCAPLUS

CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)-, 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

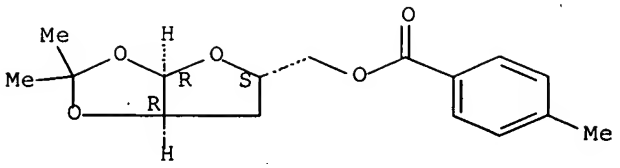
Absolute stereochemistry.



RN 161110-10-7 HCAPLUS

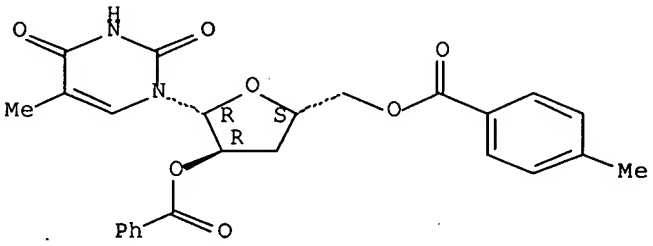
CN α-D-erythro-Pentofuranose, 3-deoxy-1,2-O-(1-methylethylidene)-, 4-methylbenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



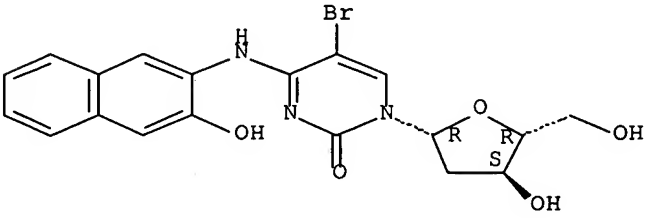
RN 161462-85-7 HCAPLUS
CN Uridine, 3'-deoxy-5-methyl-, 2'-benzoate 5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



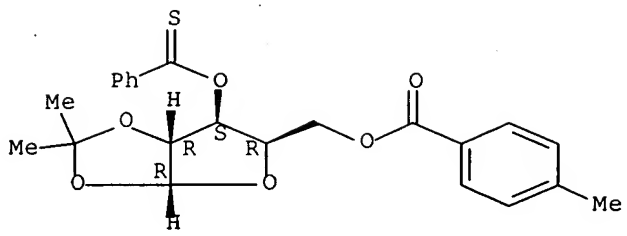
RN 169243-72-5 HCAPLUS
CN Cytidine, 5-bromo-2'-deoxy-N-(3-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



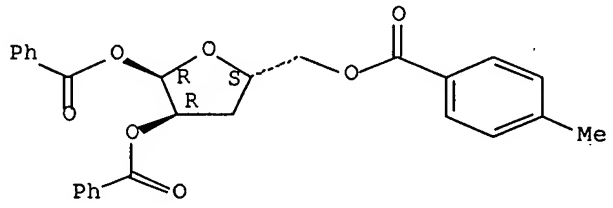
RN 170563-46-9 HCAPLUS
CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)-, 3-benzenecarbothioate 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



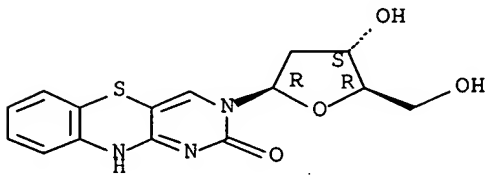
RN 170717-37-0 HCAPLUS
CN α-D-erythro-Pentofuranose, 3-deoxy-, 1,2-dibenzoate 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *162902-04-7P*
RL: *SPN (Synthetic preparation); PREP (Preparation)*
(preparation of binding competent oligomers containing unsatd. 3',5' and 2',5'
linkages and related compds.)
RN 162902-04-7 HCAPLUS
CN 1H-Pyrimido[5,4-b][1,4]benzothiazin-2(3H)-one, 3-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L59 ANSWER 7 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:759132 HCAPLUS Full-text
DOCUMENT NUMBER: 124:146760
TITLE: Oligonucleotide analogs containing unsaturated 3',5' and 2',5' allyl ether and allyl sulfide linkages capable of hybridizing to target nucleic acid sequences

INVENTOR(S): Matteucci, Mark D.; Cao, Xiaodong
PATENT ASSIGNEE(S): Gilead Sciences, Inc., USA
SOURCE: U.S., 77 pp. Cont.-in-part of U.S. Ser. No. 892,902.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5434257	A	19950718	US 1993-142785	19931026 <--
US 5817781	A	19981006	US 1992-892902	19920601 <--
AT 174599	E	19990115	AT 1993-915177	19930601 <--
WO 9511911	A1	19950504	WO 1994-US12202	19941025 <--
W: CA, JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
US 6410702	B1	20020625	US 1998-165883	19981002
US 2003120050	A1	20030626	US 2002-176763	20020621
US 6683166	B2	20040127		

PRIORITY APPLN. INFO.: US 1992-892902 A2 19920601
US 1993-142785 A 19931026
US 1998-165883 A1 19981002
OTHER SOURCE(S): MARPAT 124:146760
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

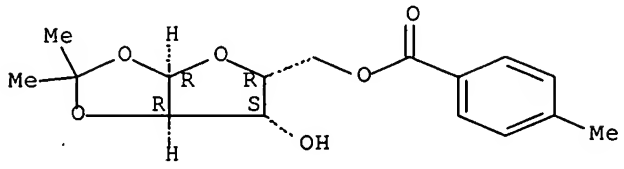
AB Oligonucleotide analogs I and II where X is S, O, CH2, CHF or CF2 ; X1 is O or S; R1 is independently H, an oligomer or a blocking group including PO3-2, O-dimethoxytrityl (DMTO), O-monomethoxytrityl (MMTO), H-phosphonate (OPO2H), methylphosphonate (OPO3CH3), methylphosphonamidite, or a phosphoramidite such as β-cyanoethylphosphoramidite; R2 independently is O-alkyl (C1-C12 including O-Me, O-Et, O-Pr, O-Bu and their isomers), S-alkyl(C1-C12), H, OH, OCH3, SCH3, OCH2CH:CH2 (O-allyl), OC3H7 (O-propyl), SCH2CHCH2, or a halogen (F, Cl, Br or I); B is independently a base, and n is 0-100, preferably 0-28; both R1 taken together can comprise a circular oligomer and may be covalently linked, for example, at a terminal 5' position with a terminal 2' or 3' position, are disclosed. The substitute linkage replace the usual phosphodiester linkage found in unmodified nucleic acids. The oligonucleotide analogs are easy to synthesize, stable in vivo, resistant to endogenous nucleases and are able to hybridize to target nucleic acid sequences in a sequence specific manner. Thus, e.g., 3'-H-phosphonate dimers III (X = O, S, preparation given) were incorporated into oligomers (5' TCT CTC TCT CT#T T#TT 3'; # = X-containing linkage) and tested for binding to single stranded DNA (3' AGA GAG AGA GAA AAA 5'): ΔTm was -3.25 and -3.0°, resp., for X = O and X = S.

IT *75096-60-5P 161110-10-7P 161462-84-6P*
161462-85-7P 162901-98-6P 162901-99-7P
162902-04-7P 169243-54-3P 169243-55-4P
169243-56-5P 169243-70-3P 169243-71-4P
169243-72-5P 171603-61-5P
RL: *RCT (Reactant)*; *SPN (Synthetic preparation)*;
PREP (Preparation); *RACT (Reactant or reagent)*
(oligonucleotide analogs containing unsatd. 3',5' and 2',5' allyl ether and allyl sulfide linkages capable of hybridizing to target nucleic acid sequences)

RN 75096-60-5 HCAPLUS

CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)-, 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

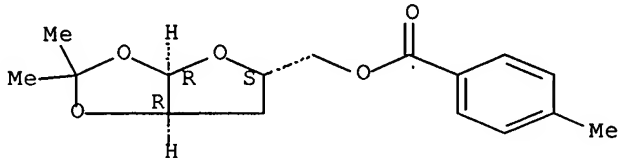
Absolute stereochemistry.



RN 161110-10-7 HCAPLUS

CN α-D-erythro-Pentofuranose, 3-deoxy-1,2-O-(1-methylethylidene)-, 4-methylbenzoate (9CI) (CA INDEX NAME)

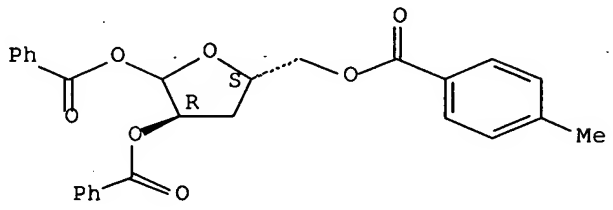
Absolute stereochemistry.



RN 161462-84-6 HCAPLUS

CN D-erythro-Pentofuranose, 3-deoxy-, 1,2-dibenzoate 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

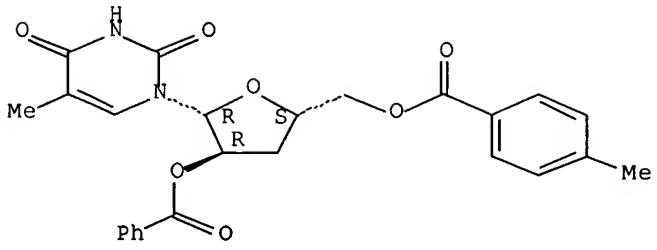
Absolute stereochemistry.



RN 161462-85-7 HCAPLUS

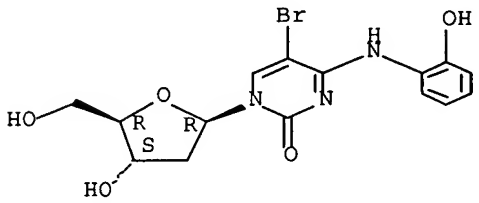
CN Uridine, 3'-deoxy-5-methyl-, 2'-benzoate 5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



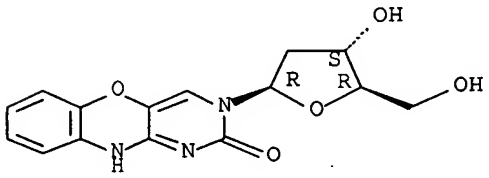
RN 162901-98-6 HCAPLUS
CN Cytidine, 5-bromo-2'-deoxy-N-(2-hydroxyphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



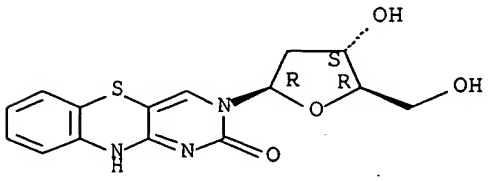
RN 162901-99-7 HCAPLUS
CN 1H-Pyrimido[5,4-b][1,4]benzoxazin-2(3H)-one, 3-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



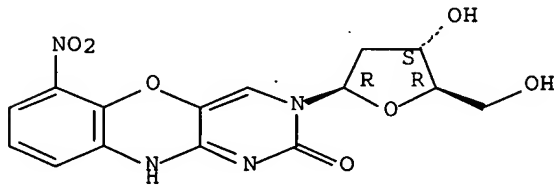
RN 162902-04-7 HCAPLUS
CN 1H-Pyrimido[5,4-b][1,4]benzothiazin-2(3H)-one, 3-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



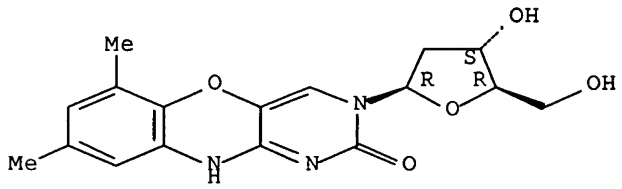
RN 169243-54-3 HCAPLUS
CN 1H-Pyrimido[5,4-b][1,4]benzoxazin-2(3H)-one, 3-(2-deoxy-β-D-erythro-pentofuranosyl)-6-nitro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



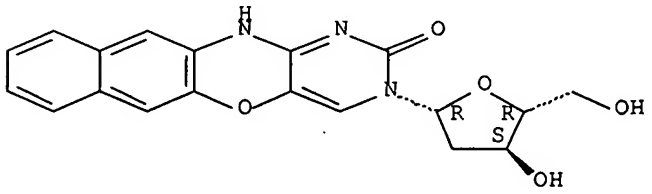
RN 169243-55-4 HCAPLUS
CN 1H-Pyrimido[5,4-b][1,4]benzoxazin-2(3H)-one, 3-(2-deoxy-β-D-erythro-pentofuranosyl)-6,8-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



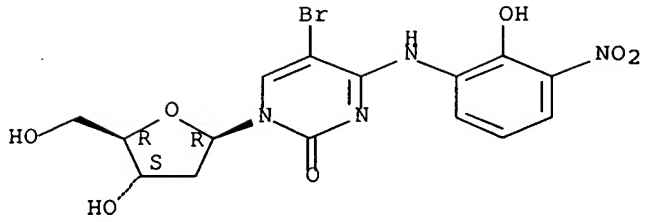
RN 169243-56-5 HCAPLUS
CN 1H-Naphtho[2,3-b]pyrimido[4,5-e][1,4]oxazin-2(3H)-one, 3-(2-deoxy-β-D-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



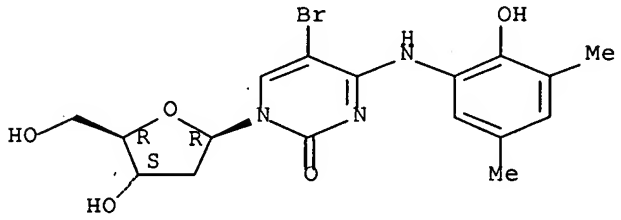
RN 169243-70-3 HCAPLUS
CN Cytidine, 5-bromo-2'-deoxy-N-(2-hydroxy-3-nitrophenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



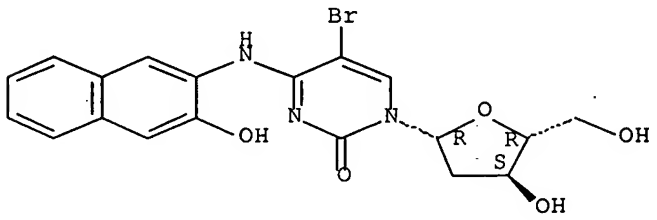
RN 169243-71-4 HCAPLUS
CN Cytidine, 5-bromo-2'-deoxy-N-(2-hydroxy-3,5-dimethylphenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



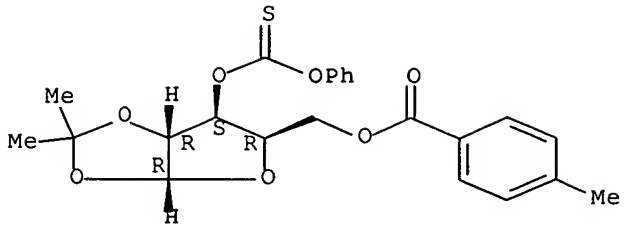
RN 169243-72-5 HCAPLUS
CN Cytidine, 5-bromo-2'-deoxy-N-(3-hydroxy-2-naphthalenyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

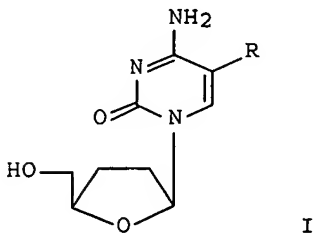


RN 171603-61-5 HCAPLUS
CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)-, 5-(4-methylbenzoate) 3-(O-phenyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L59 ANSWER 8 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:631048 HCAPLUS Full-text
DOCUMENT NUMBER: 123:314336
TITLE: 2'-And/or 3'-deoxy-β-L-pentofuranosyl nucleoside derivatives: stereospecific synthesis and antiviral activities
AUTHOR(S): Gosselin, Gilles; Mathe, Christophe; Bergogne, Marie-Christine; Aubertin, Anne-Marie; Kirn, Andre; Sommadossi, Jean-Pierre; Schinazi, Raymond; Imbach, Jean-Louis
CORPORATE SOURCE: Laboratoire Chimie Bio-organique, Univ. Montpellier II, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1995*), 14(3-5), 611-17
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



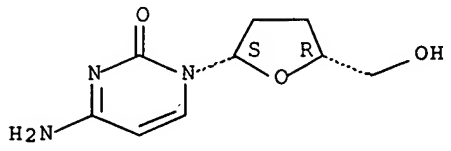
I

AB Several L-enantiomers of nucleoside analogs I (R = H, F) were stereospecifically synthesized by a multi-step reaction from L-xylose and their antiviral properties were examined in vitro. Two of them, namely β-L-2',3'-dideoxycytidine (β-L-ddC) and its 5-fluoro derivative (β-L-FddC) were found to have potent anti-human immunodeficiency virus (HIV) and significant antihepatitis B virus (HBV) activities in cell cultures.

IT *121154-51-6P 147058-39-7P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); *SPN (Synthetic preparation)*; BIOL (Biological study); *PREP (Preparation)*
(asym. synthesis and antiviral activity of deoxy-L-pentofuranosyl

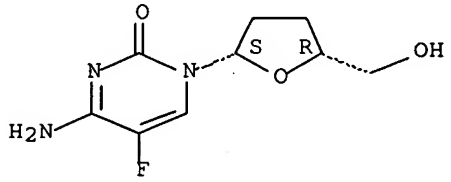
nucleosides)
RN 121154-51-6 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-[(2S,5R)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



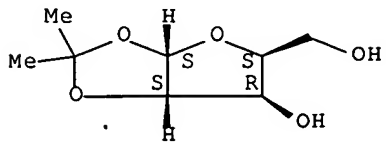
RN 147058-39-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-5-fluoro-1-[(2S,5R)-tetrahydro-5-(hydroxymethyl)-2-furanyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



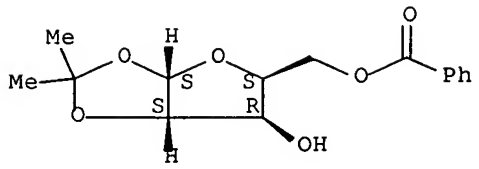
IT *114861-22-2P 166411-39-8P 166411-43-4P*
169823-49-8P 169823-50-1P 169823-51-2P
170079-20-6P
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(asym. synthesis and antiviral activity of deoxy-L-pentofuranosyl nucleosides)
RN 114861-22-2 HCAPLUS
CN α-L-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



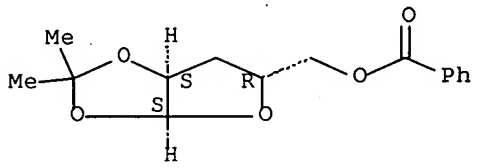
RN 166411-39-8 HCAPLUS
CN α-L-Xylofuranose, 1,2-O-(1-methylethylidene)-, 5-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



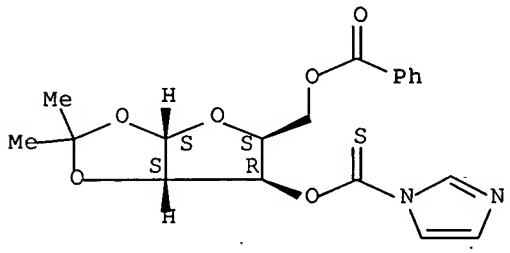
RN 166411-43-4 HCAPLUS
CN α-L-erythro-Pentofuranose, 3-deoxy-1,2-O-(1-methylethylidene)-, benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



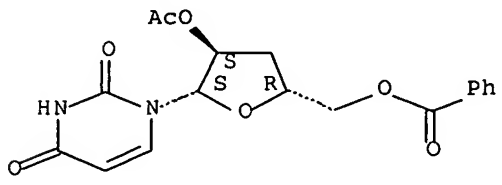
RN 169823-49-8 HCAPLUS
CN α-L-Xylofuranose, 1,2-O-(1-methylethylidene)-, 5-benzoate 3-(1H-imidazole-1-carbothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



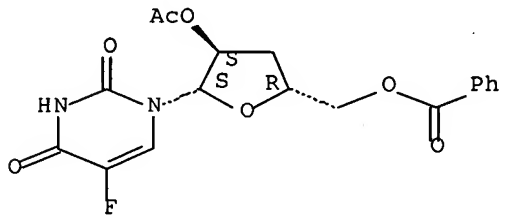
RN 169823-50-1 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-O-acetyl-5-O-benzoyl-3-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



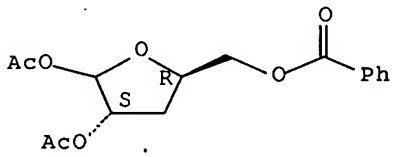
RN 169823-51-2 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-O-acetyl-5-O-benzoyl-3-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 170079-20-6 HCAPLUS
CN L-erythro-Pentofuranose, 3-deoxy-, 1,2-diacetate 5-benzoate (9CI) (CA INDEX NAME)

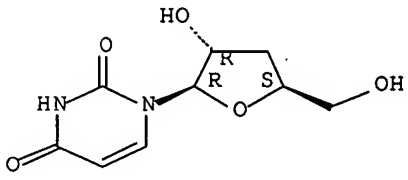
Absolute stereochemistry.



L59 ANSWER 9 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:25759 HCAPLUS Full-text
DOCUMENT NUMBER: 122:161152
TITLE: Nucleosides. LVI. Synthesis and chemical modifications of 3'-deoxypyrimidine nucleosides
AUTHOR(S): Rhie, Soo-Young; Pfleiderer, Wolfgang
CORPORATE SOURCE: Fakultaet fuer Chemie, Universitaet Konstanz, Konstanz, D-78434, Germany
SOURCE: Nucleosides & Nucleotides (*1994*), 13(6-7), 1425-52
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 3'-Deoxyuridine and 3'-deoxycytidine were prepared with improved yields by two different methods applying either the Barton procedure to appropriate 2',5'-di-O-protected pyrimidine nucleosides or by choosing the direct glycosylation of the pyrimidine bases with 1,2-di-O-acetyl-5-O-toluoyl-3- deoxy-D-erythro-pentofuranose via the silylation approach. Suitable protecting groups for the sugar moiety have been found in the trityl, tert-butyldimethylsilyl and the thexyl groups which are inert in the radical deoxygenation process. The newly synthesized compds. were characterized by elemental analyses and UV and 1H-NMR spectra.
IT *7057-27-4P*, 3'-Deoxyuridine

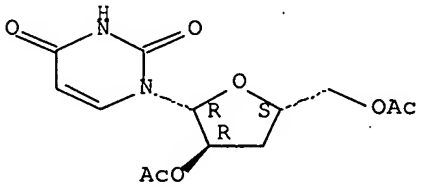
RL: RCT (Reactant); *SPN (Synthetic preparation)*; *PREP (Preparation)*; RACT (Reactant or reagent)
(preparation and acetylation of)
RN 7057-27-4 HCAPLUS
CN Uridine, 3'-deoxy- (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



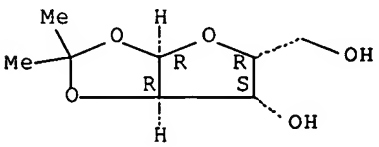
IT *19312-45-9P 20031-21-4P 161109-94-0P*
161109-95-1P 161110-00-5P 161169-93-3P
161169-94-4P
RL: *RCT (Reactant)*; *SPN (Synthetic preparation)*;
PREP (Preparation); *RACT (Reactant or reagent)*
(preparation and reaction of, in synthesis of 3'-deoxypyrimidine nucleosides)
RN 19312-45-9 HCAPLUS
CN Uridine, 3'-deoxy-, 2',5'-diacetate (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 20031-21-4 HCAPLUS
CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

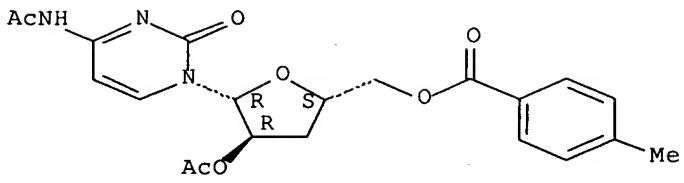
Absolute stereochemistry. Rotation (-).



[redacted]

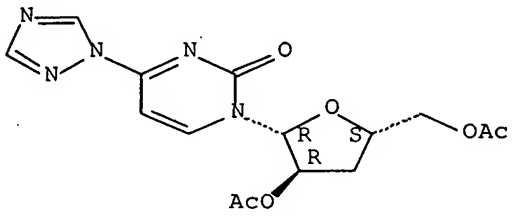
RN 161109-94-0 HCAPLUS
CN Cytidine, N-acetyl-3'-deoxy-, 2'-acetate 5'-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



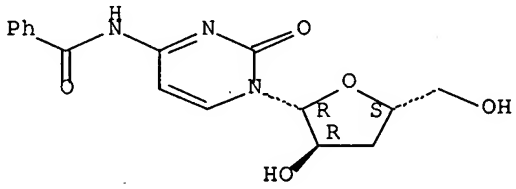
RN 161109-95-1 HCAPLUS
CN 2(1H)-Pyrimidinone, 1-(2,5-di-O-acetyl-3-deoxy-β-D-erythro-pentofuranosyl)-4-(1H-1,2,4-triazol-1-yl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



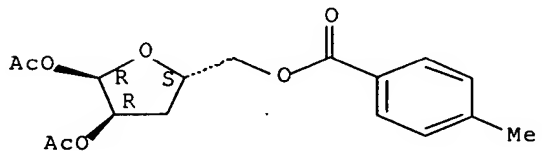
RN 161110-00-5 HCAPLUS
CN Cytidine, N-benzoyl-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



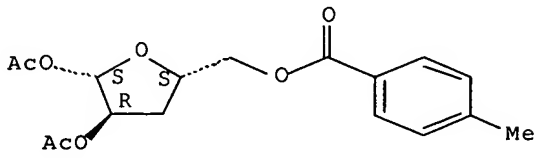
RN 161169-93-3 HCAPLUS
CN α-D-erythro-Pentofuranose, 3-deoxy-, 1,2-diacetate 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



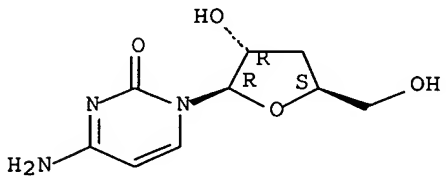
RN 161169-94-4 HCAPLUS
CN β-D-erythro-Pentofuranose, 3-deoxy-, 1,2-diacetate 5-(4-methylbenzoate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



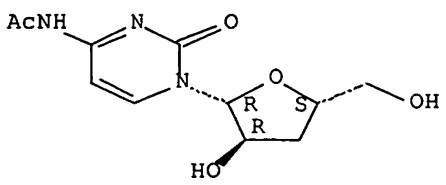
IT *7057-33-2P*, 3'-Deoxycytidine
RL: RCT (Reactant); *SPN (Synthetic preparation)*; *PREP (Preparation)*; RACT (Reactant or reagent)
(preparation and silylation of)
RN 7057-33-2 HCAPLUS
CN Cytidine, 3'-deoxy- (7CI, 8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



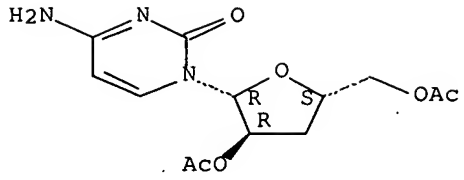
IT *161110-09-4P 161110-11-8P 161110-15-2P*
RL: *SPN (Synthetic preparation)*; *PREP (Preparation)*
(preparation of)
RN 161110-09-4 HCAPLUS
CN Cytidine, N-acetyl-3'-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



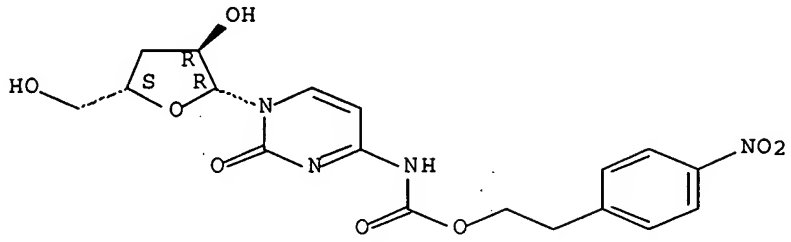
RN 161110-11-8 HCAPLUS
CN Cytidine, 3'-deoxy-, 2',5'-diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 161110-15-2 HCAPLUS
CN Cytidine, 3'-deoxy-N-[[2-(4-nitrophenyl)ethoxy]carbonyl]- (9CI) (CA INDEX NAME)

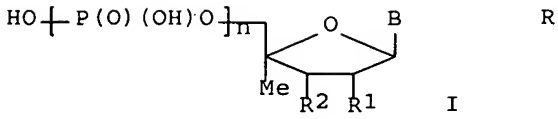
Absolute stereochemistry.



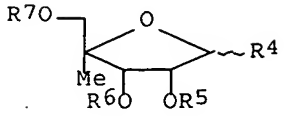
L59 ANSWER 10 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:23148 HCAPLUS Full-text
DOCUMENT NUMBER: 122:133690
TITLE: Preparation of 4'-methylnucleosides as virucides or neoplasm inhibitors
INVENTOR(S): Waga, Toshiaki; Nishizaki, Tomoko; Oorui, Hiroshi; Meguro, Hiromu
PATENT ASSIGNEE(S): Asahi Breweries Ltd, Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 9 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06080688	A2	19940322	JP 1992-258847	19920903 <--
PRIORITY APPLN. INFO.:			JP 1992-258847	19920903

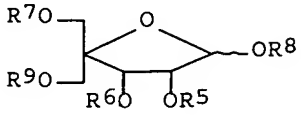
OTHER SOURCE(S): MARPAT 122:133690
GI



I



II



III

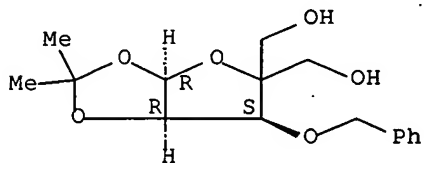
AB The title compds. I (R1, R2 = H, OH; R1R2 may form ring; B = purine or pyrimidine bases; n = 0, 1, 3) or their esters, ethers, or salts are prepared by (deprotection and) deoxidn. of sugars III (R5-8 = protective group; R9 = H, protective group) followed by reaction of resulting sugars II (R4 = acyloxy, halo; R5-7 = same as III) with (silylated) (acylated) nucleic acid bases and optional deprotection and derivatization. Pharmaceutical compns. containing I and ≥1 inert supports and/or diluents are also claimed (no data). N6-benzoyladenine was silylated by Me3SiCl in Me3SiNHSiMe3 under reflux overnight, mixed with 1,2-diacetyl-3,5-dibenzyl-4-methyl-β-D-ribofuranose (preparation given), 1,2-dichloroehtane, and SnCl4, and heated at 60° for 4 h to give 71% N6-benzoyl-2'-acetyl-3',5'-dibenzyl-4'-methyladenosine, deprotection of which gave 4'-methyladenosine.

IT *63593-03-3*
RL: ***RCT (Reactant)***; ***RACT (Reactant or reagent)***
(benzylation of)

RN 63593-03-3 HCAPLUS

CN α-D-erythro-Pentofuranose, 4-C-(hydroxymethyl)-1,2-O-(1-methylethylidene)-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

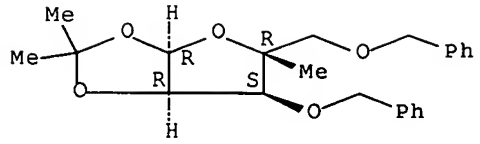


IT *149017-69-6P*
RL: ***RCT (Reactant)***; SPN (Synthetic preparation); PREP (Preparation); ***RACT (Reactant or reagent)***
(preparation and acetylation of)

RN 149017-69-6 HCAPLUS

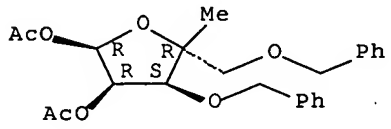
CN α-D-Ribofuranose, 4-C-methyl-1,2-O-(1-methylethylidene)-3,5-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



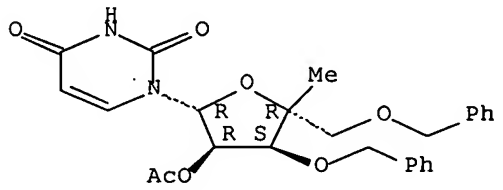
IT *160766-51-8P*
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(preparation and condensation of, with nucleic acid bases)
RN 160766-51-8 HCAPLUS
CN α-D-Ribofuranose, 4-C-methyl-3,5-bis-O-(phenylmethyl)-, diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



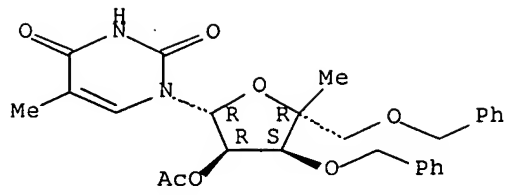
IT *153186-24-4P*, 2'-Acetyl-3',5'-dibenzyl-4'-methyluridine
153186-25-5P, 2'-Acetyl-3',5'-dibenzyl-4'-methyl-β-D-ribofuranosylthymine *153186-30-2P*
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(preparation and hydrolysis of)
RN 153186-24-4 HCAPLUS
CN Uridine, 4'-C-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



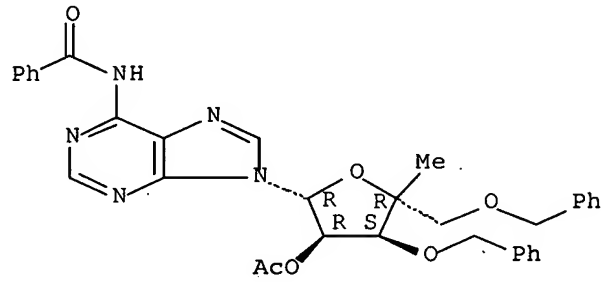
RN 153186-25-5 HCAPLUS
CN Uridine, 5-methyl-4'-C-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



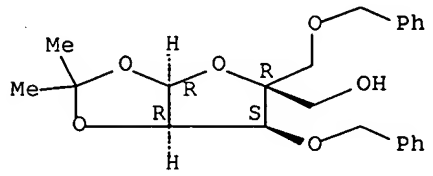
RN 153186-30-2 HCAPLUS
CN Adenosine, N-benzoyl-4'-C-methyl-3',5'-bis-O-(phenylmethyl)-, 2'-acetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



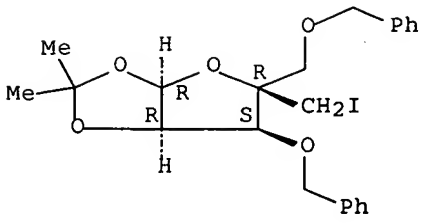
IT *153186-10-8P*
RL: *RCT (Reactant)*; SPN (Synthetic preparation); PREP (Preparation); *RACT (Reactant or reagent)*
(preparation and iodination of)
RN 153186-10-8 HCAPLUS
CN β-L-Lyxofuranose, 1,2-O-(1-methylethylidene)-4-C-[(phenylmethoxy)methyl]-3-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



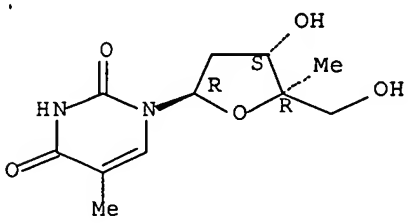
IT *153186-14-2P*
RL: *RCT (Reactant)*; SPN (Synthetic preparation); PREP (Preparation); *RACT (Reactant or reagent)*
(preparation and reduction of)
RN 153186-14-2 HCAPLUS
CN α-D-Ribofuranose, 4-C-(iodomethyl)-1,2-O-(1-methylethylidene)-3,5-bis-O-(phenylmethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



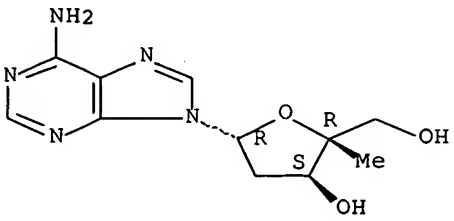
IT *139925-84-1P*, 4'-Methylthymidine *152540-77-7P*,
2'-Deoxy-4'-methyladenosine
RL: *SPN (Synthetic preparation)*; *PREP (Preparation)*
(preparation of, as virucide and neoplasm inhibitor)
RN 139925-84-1 HCAPLUS
CN Thymidine, 4'-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



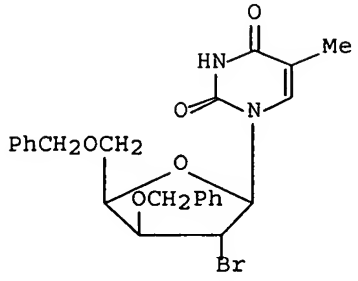
RN 152540-77-7 HCAPLUS
CN Adenosine, 2'-deoxy-4'-C-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L59 ANSWER 11 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:213422 HCAPLUS Full-text
DOCUMENT NUMBER: 118:213422
TITLE: First chemical synthesis of deuterated 3'-azido-3'-deoxythymidine (AZT)
AUTHOR(S): Gurjar, M. K.; Lalitha, S. V. S.; Sharma, P. A.; Rao, A. V. Rama
CORPORATE SOURCE: Indian Inst. Chem. Technol., Hyderabad, 500 007, India
SOURCE: Tetrahedron Letters (*1992*), 33(51), 7945-8

CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



I

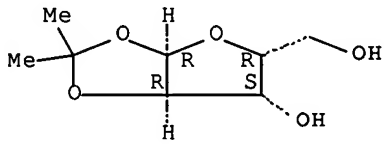
AB (2'R)-2'-Deutero-3'-azido-3'-deoxythymidine was prepared from 1,2-O-isopropylidene-α-D-xylofuranose in 9 steps via reductive deuteration of the bromide I.

IT *20031-21-4*
RL: ***RCT (Reactant)***; ***RACT (Reactant or reagent)***
(benzylation and deisopropylidenation of)

RN 20031-21-4 HCAPLUS

CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

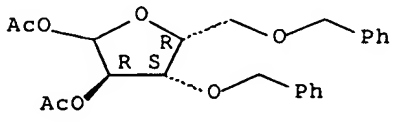


IT *146986-45-0P*
RL: ***RCT (Reactant)***; ***SPN (Synthetic preparation)***; ***PREP (Preparation)***; ***RACT (Reactant or reagent)***
(preparation and coupling of, with thymine)

RN 146986-45-0 HCAPLUS

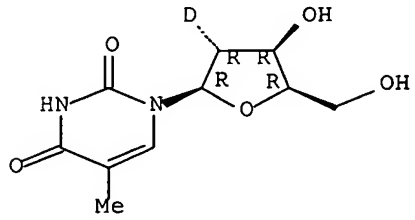
CN D-Xylofuranose, 3,5-bis-O-(phenylmethyl)-, diacetate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



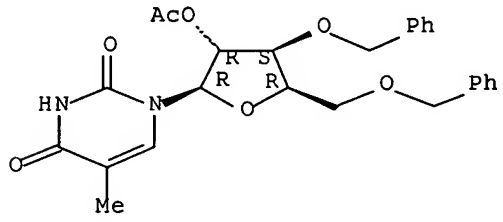
IT *147199-93-7P*
RL: RCT (Reactant); *SPN (Synthetic preparation)*; *PREP (Preparation)*; RACT (Reactant or reagent)
(preparation and tritylation of)
RN 147199-93-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-D-threo-pentofuranosyl-2-d)-5-methyl-, (2'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

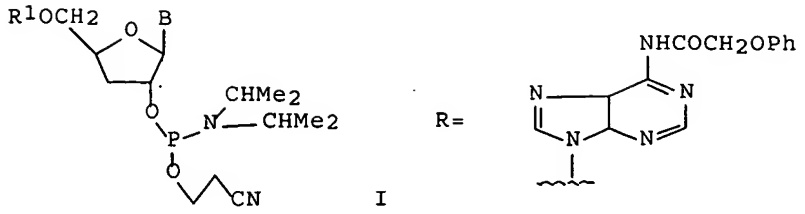


IT *134953-44-9P*
RL: *RCT (Reactant)*; *SPN (Synthetic preparation)*; *PREP (Preparation)*; *RACT (Reactant or reagent)*
(preparation, deacetylation, and mesylation of)
RN 134953-44-9 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-[2-O-acetyl-3,5-bis-O-(phenylmethyl)-β-D-xylofuranosyl]-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L59 ANSWER 12 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:592225 HCAPLUS Full-text
DOCUMENT NUMBER: 117:192225
TITLE: 3'-Deoxy-2'-phosphoramidites of adenosine and 5-methyluridine used for the solid phase synthesis of unnatural 3'-deoxy-2'-5''-oligonucleotides
AUTHOR(S): Rizzo, Carmelo J.; Dougherty, Joseph P.; Breslow, Ronald
CORPORATE SOURCE: Dep. Chem., Columbia Univ., New York, NY, 10027, USA
SOURCE: Tetrahedron Letters (*1992*), 33(29), 4129-32
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
GI



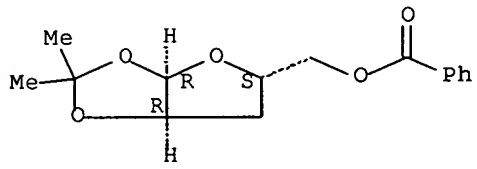
AB Protected phosphoramidites of 3'-deoxyadenosine I (B = R, R1 = 4,4'-dimethoxytrityl) and 3'-deoxy-5-methyluridine I (B = thymine) have been synthesized, and used in solid phase synthesis of 3'-deoxyoligonucleotides with the unusual 2'-5'' linkage.

IT *4105-29-7P*
RL: ***RCT (Reactant)***; SPN (Synthetic preparation); PREP (Preparation); ***RACT (Reactant or reagent)***
(preparation and acetolysis of)

RN 4105-29-7 HCAPLUS

CN α-D-erythro-Pentofuranose, 3-deoxy-1,2-O-(1-methylethylidene)-, benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

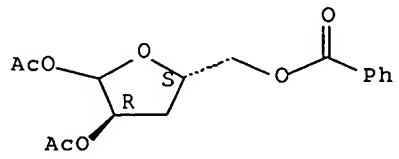


IT *4613-71-2P*
RL: ***RCT (Reactant)***; ***SPN (Synthetic preparation)***; ***PREP (Preparation)***; ***RACT (Reactant or reagent)***
(preparation and coupling of, with pyrimidine derivative)

RN 4613-71-2 HCAPLUS

CN D-erythro-Pentofuranose, 3-deoxy-, 1,2-diacetate 5-benzoate (7CI, 8CI, 9CI) (CA INDEX NAME)

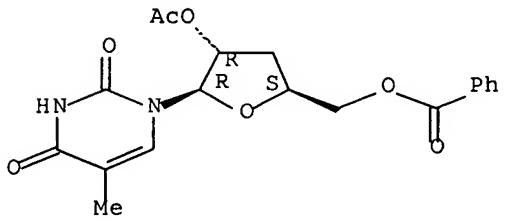
Absolute stereochemistry.



IT *143653-60-5P*
RL: ***RCT (Reactant)***; ***SPN (Synthetic preparation)***; ***PREP (Preparation)***; ***RACT (Reactant or reagent)***

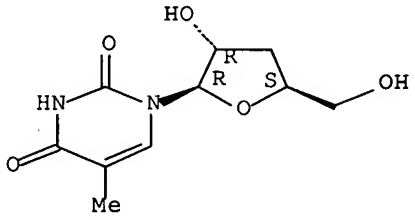
(preparation and deblocking of)
RN 143653-60-5 HCAPLUS
CN Uridine, 3'-deoxy-5-methyl-, 2'-acetate 5'-benzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



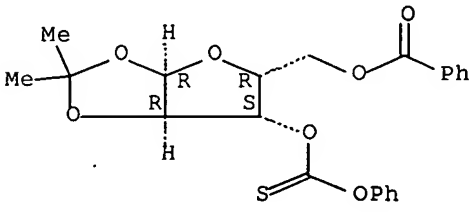
IT *7084-29-9P*
RL: RCT (Reactant); ***SPN (Synthetic preparation)***; ***PREP (Preparation)***; RACT (Reactant or reagent)
(preparation and partial tritylation of)
RN 7084-29-9 HCAPLUS
CN Uridine, 3'-deoxy-5-methyl- (7CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



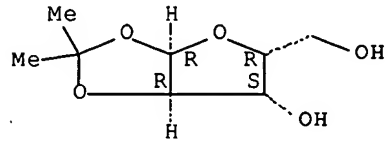
IT *143653-59-2P*
RL: ***RCT (Reactant)***; SPN (Synthetic preparation); PREP (Preparation); ***RACT (Reactant or reagent)***
(preparation and reductive deoxygenation of)
RN 143653-59-2 HCAPLUS
CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)-, 5-benzoate 3-(O-phenyl carbonothioate) (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *20031-21-4*
RL: *RCT (Reactant); RACT (Reactant or reagent)*
(sequential partial benzoylation and thiocarbonylation of)
RN 20031-21-4 HCAPLUS
CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

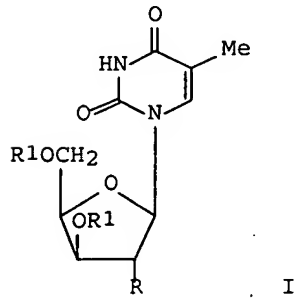
Absolute stereochemistry. Rotation (-).



L59 ANSWER 13 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1989:478550 HCAPLUS Full-text
DOCUMENT NUMBER: 111:78550
TITLE: Preparation of 1-(3,5-di-O-benzoyl-2-deoxy-β-D-threo-pentofuranosyl)thymine as an intermediate for 3'-azido-2',3'-dideoxythymidine (AZT).
INVENTOR(S): Hrebanecky, Hubert; Holy, Antonin
PATENT ASSIGNEE(S): Ceskoslovenska Akademie Ved, Czech.
SOURCE: Eur. Pat. Appl., 8 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 301908	A2	19890201	EP 1988-307060	19880729 <--
EP 301908	A3	19901128		
EP 301908	B1	19940209		
R: CH, DE, FR, GB, LI				
CS 265967	B1	19891114	CS 1987-5688	19870729 <--

PRIORITY APPLN. INFO.: CS 1987-5688 A 19870729
OTHER SOURCE(S): CASREACT 111:78550
GI



I

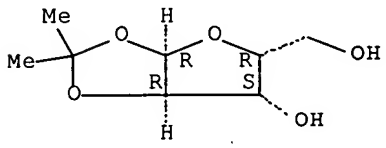
AB The title compound (I; R = H, R1 = Bz) (II) useful as an intermediate for 3'-azido-2',3'-dideoxythymidine (AZT, Retrovir, Zidovudine), was prepared Benzoylation of 244g 1,2-O-isopropylidene-α-D-xylofuranose (III) with 450 g BzCl in pyridine followed by acetolysis in 650 Ac2O and 2.5 L AcOH containing 225 mL concentrated H2SO4 under ice-cooling gave 540 g (60%) 1,2-di-O-acetyl-3,5-di-O-benzoyl-D-tylose (IV) which (1.22 mol) was treated overnight with 330 g (1.22 mol) 2,2-bis(trimethylsiloxy)-5-methyl- pyrimidine (V) in 2L ClCH2Cl2Cl containing 200 mL SuCl4 at room temperature to give 605 g I (R = OAc, R1 = Bz) (VI). Treatment of VI in a mixture of 4 L MeCN and 1 M aqueous H2SO4 at 75° gave I (R = OH, R1 = Bz) (VII) which was refluxed with SOCl2 in MeCN to give, after recrystn. from MeOH 310 g 52.5% I (R = Cl, R1 = Bz) (VIII). A mixture of 0.64 mol VIII, 2 L phase, and 1.12 L 1 M Bu3SnH in PhMe containing 7.5 g bisazoisobutyronitrile is stirred 2 h at 85° to give 279-280 g II.

IT *20031-21-4*
RL: ***RCT (Reactant)***; ***RACT (Reactant or reagent)***
(benzoylation of, by benzoyl chloride)

RN 20031-21-4 HCAPLUS

CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

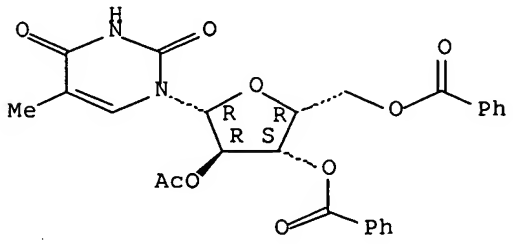


IT *117257-96-2P*
RL: ***RCT (Reactant)***; ***SPN (Synthetic preparation)***; ***PREP (Preparation)***; ***RACT (Reactant or reagent)***
(preparation and deacetylation of)

RN 117257-96-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-O-acetyl-3,5-di-O-benzoyl-β-D-xylofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

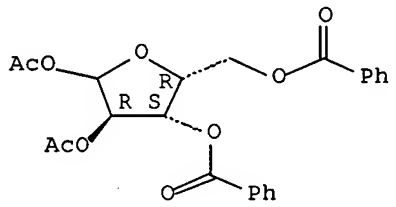
Absolute stereochemistry.



IT *85026-60-4P*
RL: ***RCT (Reactant)***; ***SPN (Synthetic preparation)***; ***PREP (Preparation)***; ***RACT (Reactant or reagent)***
(preparation and glycosidation of, with bis(trimethylsiloxy)methylpyrimidine

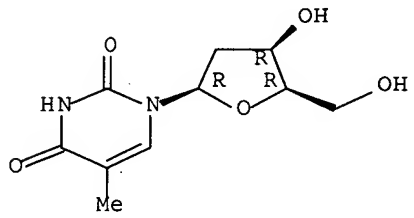
)
RN 85026-60-4 HCAPLUS
CN D-Xylofuranose, 1,2-diacetate 3,5-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *16053-52-4P*
RL: ***SPN (Synthetic preparation); PREP (Preparation)***
(preparation of, as intermediate for azidodideoxythymidine)
RN 16053-52-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-D-threo-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L59 ANSWER 14 OF 14 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:132423 HCAPLUS Full-text
DOCUMENT NUMBER: 102:132423
TITLE: Oligonucleotides, and their application as mediators of the action of interferon
INVENTOR(S): Imbach, Jean Louis; Gosselin, Gilles J. M.
PATENT ASSIGNEE(S): Centre National de la Recherche Scientifique, Fr.
SOURCE: U.S., 28 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 4476301	A	19841009	US 1982-390878	19820622 <--
PRIORITY APPLN. INFO.:			GB 1982-12458	A 19820429

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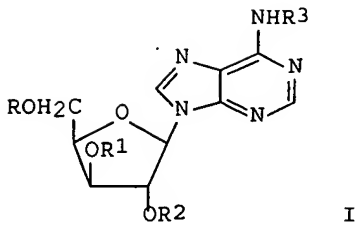


I

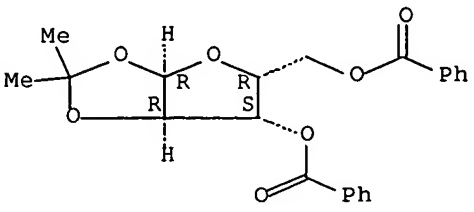
AB (2'→5')-Oligonucleotides containing 2-10 identical or different nucleosidic units with ≥1 being xyloadenosine and the linking group containing ≥1 P atom were prepared The oligonucleotides are useful as mediators of the action of interferon (no data). Thus, acetolysis of 3,5-di-O-benzoyl-1,2-O-isopropylidene-α-D-xylofuranose gave 1,2-di-O-acetyl-3,5-di-O-benzoyl-D-xylofuranose, which was condensed with adenine in presence of SnCl4 to give I (R = R1 = Bz, R2 = Ac, R3 = H). The latter compound was deacetylated to give I (R2 = H) which was tert-butyldimethylsilylatled, debenzoylated, and monomethoxytritylated to give I (R = mMTr, R1 = R3 = H, R2 = SiMe2CMe3). The latter compound was benzoylated and desilylated to give I (R = mMtr, R1 = R3 = Bz, R2 = H) (II), which was benzoylated and detritylated to give I (R = H, R1-R3 = Bz) (III). II was phosphorylated with 2-chlorophenyl phosphorobis(1,2,4-triazolide) and then treated with aqueous Et3N to give I [R = mMTr, R1 = R3 = Bz, R2 = 2-ClC6H4OP(O)O-Et3N+H] (IV) which was coupled with III in presence of 1-(mesitylenesulfonyl)-3-nitro-1,2,4-triazole (MSNT) to give the totally protected dimer which was detritylated and coupled with IV in presence of MSNT to give the totally protected trimer. This protected trimer was completely deblocked to give xyloadenylyl-(2'→5')- xyloadenylyl-(2'→5')-xyloadenosine, which was hydrolyzed by Crotalus durissus terrificus phosphodiesterase at a rate 4 times less than the natural ribose analog.

IT *6893-67-0*
RL: *RCT (Reactant); RACT (Reactant or reagent)*
(acetolysis of)

RN 6893-67-0 HCAPLUS

CN α-D-Xylofuranose, 1,2-O-(1-methylethylidene)-, dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

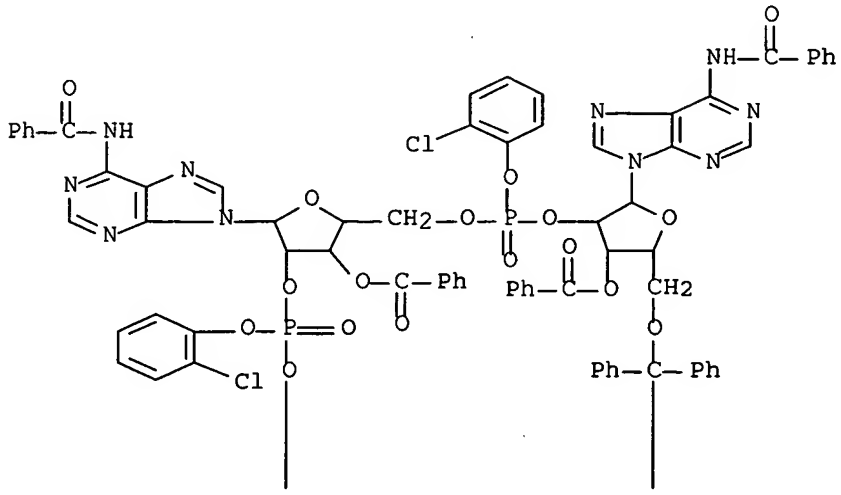


IT *95530-64-6P 95530-65-7P 95530-67-9P*
95530-69-1P
RL: *SPN (Synthetic preparation); PREP (Preparation)*
(preparation and complete deblocking of)

RN 95530-64-6 HCAPLUS

CN β-D-xylo-Adenosine, [P(S)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-5'-O-[(4-methoxyphenyl)diphenylmethyl]-β-D-xylo-adenylyl-(2'→5')-[P(R)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)

PAGE 1-A



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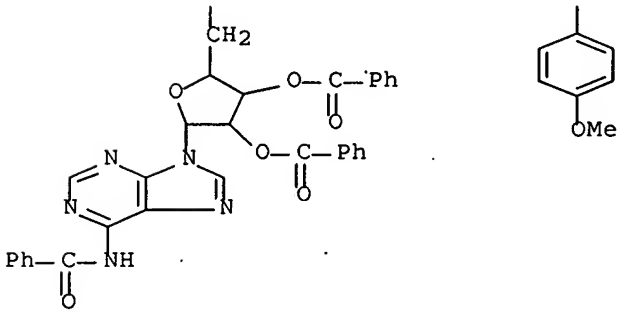
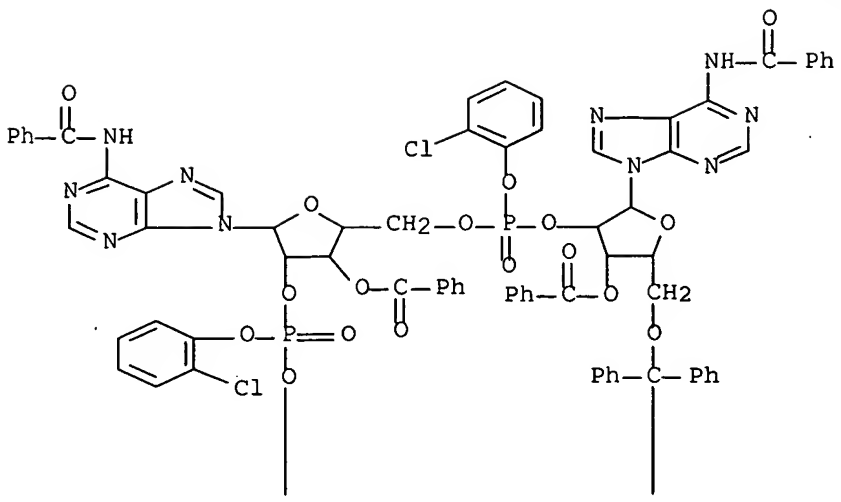


RN 95530-65-7 HCAPLUS

CN β-D-xylo-Adenosine, [P(S)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-5'-O-[(4-methoxyphenyl)diphenylmethyl]-β-D-xylo-adenylyl-(2'→5')-[P(S)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)

PAGE 1-A



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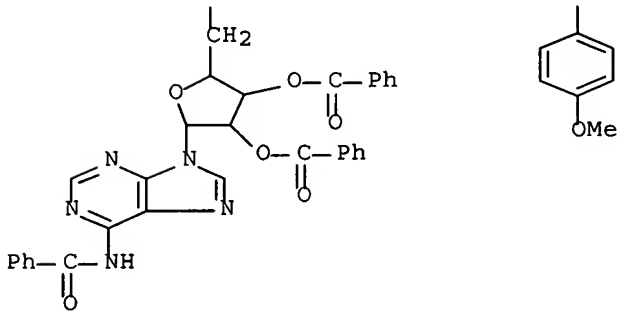
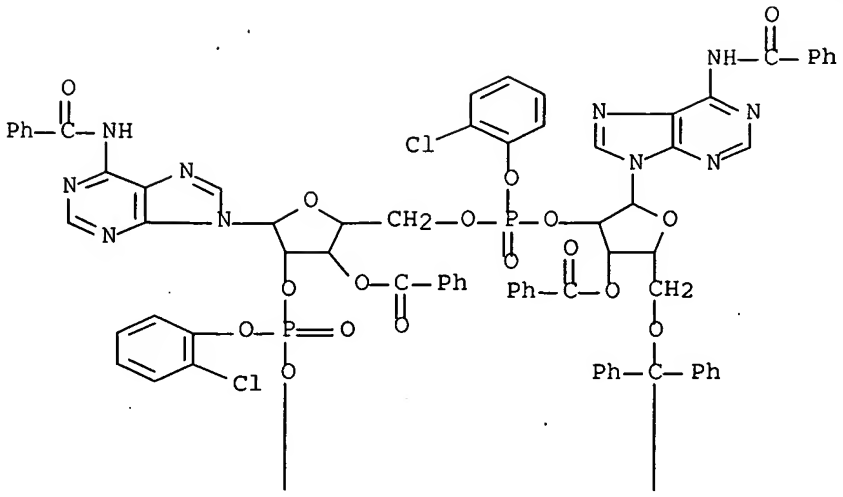


RN 95530-67-9 HCAPLUS

CN β-D-xylo-Adenosine, [P(R)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-5'-O-[(4-methoxyphenyl)diphenylmethyl]-β-D-xylo-adenylyl-(2'→5')-[P(R)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)

PAGE 1-A



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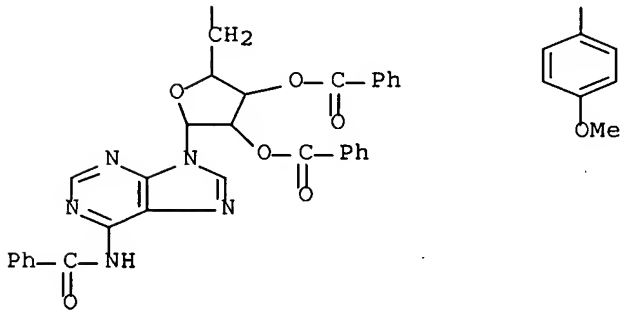
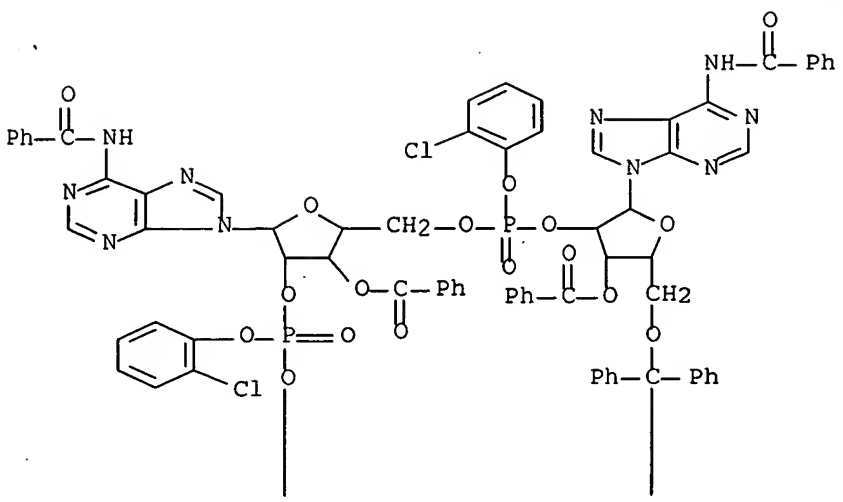


RN 95530-69-1 HCAPLUS

CN β-D-xylo-Adenosine, [P(R)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-5'-O-[(4-methoxyphenyl)diphenylmethyl]-β-D-xylo-adenylyl-(2'→5')-[P(S)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)

PAGE 1-A



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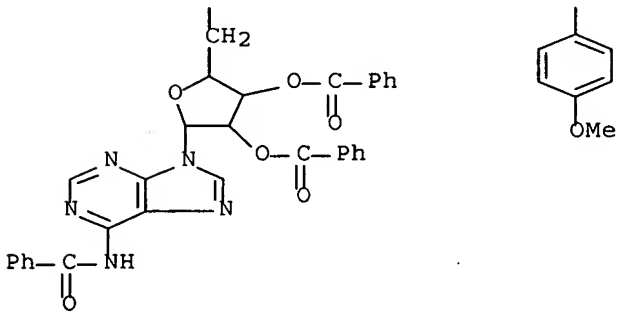
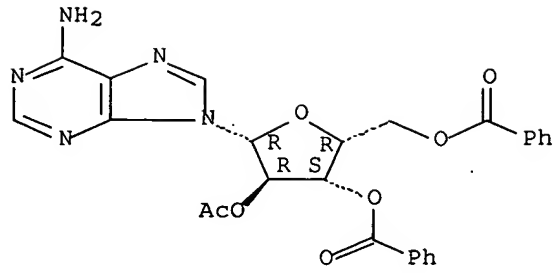


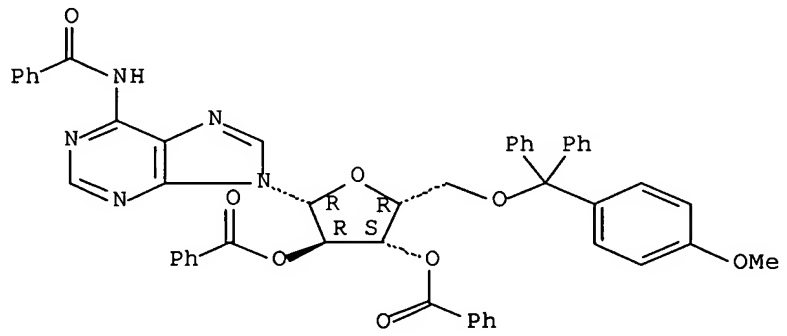
IT *83373-05-1P*
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(preparation and deacylation of)
RN 83373-05-1 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-O-acetyl-3,5-di-O-benzoyl-β-D-xylofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *31079-97-7P 81352-51-4P*
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(preparation and detritylation of)
RN 31079-97-7 HCAPLUS
CN Benzamide, N-[9-[2,3-di-O-benzoyl-5-O-[(4-methoxyphenyl)diphenylmethyl]-β-D-xylofuranosyl]-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

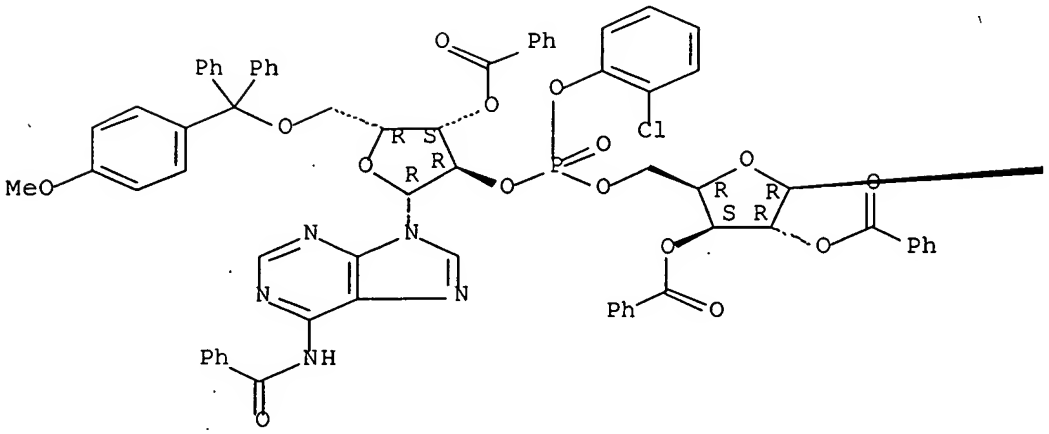
Absolute stereochemistry.



RN 81352-51-4 HCAPLUS
CN β-D-xylo-Adenosine, N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-5'-O-[(4-methoxyphenyl)diphenylmethyl]-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



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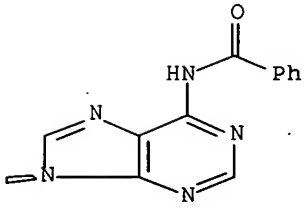
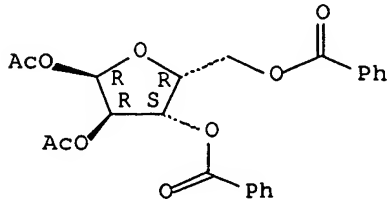


IT *83434-58-6P 95530-07-7P*
RL: *RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)*
(preparation and glycosylation by, of adenine)

RN 83434-58-6 HCAPLUS

CN α-D-Xylofuranose, 1,2-diacetate 3,5-dibenzoate (9CI) (CA INDEX NAME)

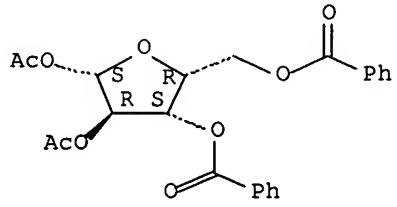
Absolute stereochemistry.



RN 95530-07-7 HCAPLUS

CN β-D-Xylofuranose, 1,2-diacetate 3,5-dibenzoate (9CI) (CA INDEX NAME)

Absolute stereochemistry.

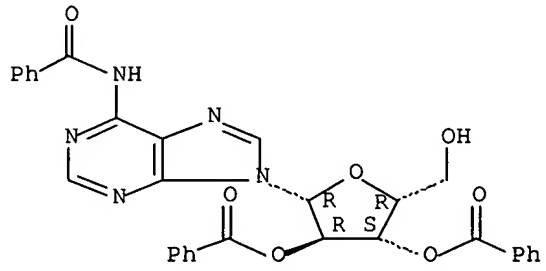


IT *31079-98-8P 95530-66-8P 95530-68-0P*
RL: ***RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)***
(preparation and nucleotide coupling of, with xylofuranosyladenine 2'-phosphate derivative)

RN 31079-98-8 HCAPLUS

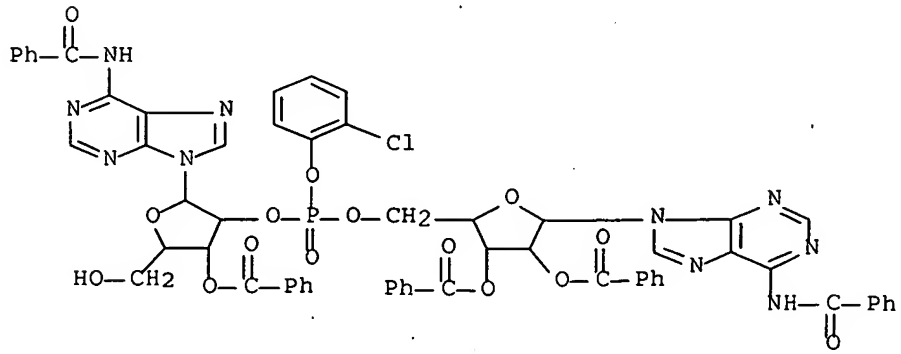
CN Benzamide, N-[9-(2,3-di-O-benzoyl-β-D-xylofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

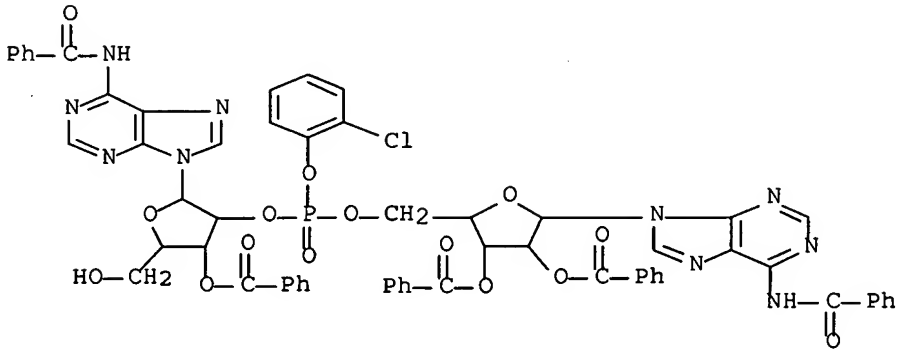


RN 95530-66-8 HCAPLUS

CN β-D-xylo-Adenosine, [P(R)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)

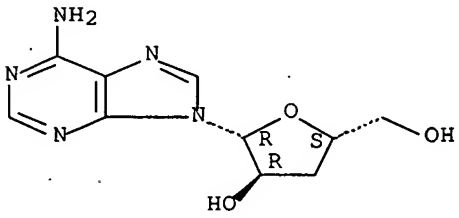


RN 95530-68-0 HCAPLUS
CN β-D-xylo-Adenosine, [P(S)]-N-benzoyl-3'-O-benzoyl-P-(2-chlorophenyl)-β-D-xylo-adenylyl-(2'→5')-N-benzoyl-, 2',3'-dibenzoate (9CI) (CA INDEX NAME)



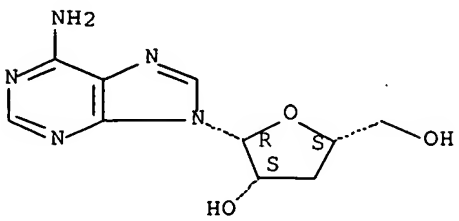
IT *73-03-0DP*, oligonucleotides containing *6998-75-0DP*, oligonucleotides containing
RL: *SPN (Synthetic preparation); PREP (Preparation)*
(preparation of, as interferon mediators)
RN 73-03-0 HCAPLUS
CN Adenosine, 3'-deoxy- (8CI, 9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 6998-75-0 HCAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-β-D-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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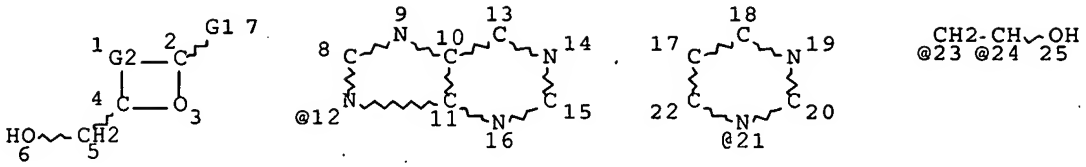

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DEFAULT ECLEVEL IS LIMITED

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RING(S) ARE ISOLATED OR EMBEDDED
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L67           84 SEA FILE=REGISTRY ABB=ON  PLU=ON  L64 AND L66
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L71          72 L70 NOT L59

=> d l71 ibib ab hitstr 1-72

L71  ANSWER 1 OF 72  HCAPLUS  COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:         2001:408048  HCAPLUS  Full-text
DOCUMENT NUMBER:          134:367141
TITLE:                    Preparation of nucleoside analogs as parasiticides and
                          antitumor agents
INVENTOR(S):              Weis, Alexander L.; Pulenthiran, Kirupathevy; Gero,
                          Annette M.
PATENT ASSIGNEE(S):       Unisearch Limited, Australia; Lipitek International
                          Inc.
SOURCE:                   U.S., 44 pp., Cont.-in-part of U.S. 6,025,335.
                          CODEN: USXXAM
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:
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PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6242428	B1	20010605	US 1998-219947	19981223
US 6025335	A	20000215	US 1995-531875	19950921
CA 2206878	AA	19970327	CA 1996-2206878	19960923 <--
CA 2322494	AA	19990916	CA 1999-2322494	19990311 <--
WO 9945935	A1	19990916	WO 1999-US5360	19990311 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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PRIORITY APPLN. INFO.:			US 1995-531875	A2 19950921
			US 1998-38647	A 19980311
			US 1998-219947	A 19981223
			US 1998-220307	A 19981223
			WO 1999-US5360	W 19990311

OTHER SOURCE(S): MARPAT 134:367141

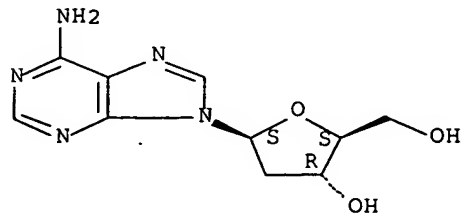
AB Nucleosides and nucleoside dimers I-III containing an L-sugar in at least one of the nucleosides wherein the sugar residue is β-D-, β-L- and α-L-nucleoside and wherein at least one the sugar residue must be α-L-nucleoside; R1 and R2 are purine or pyrimidine bases; and wherein R1 and R2 are the same or a different base and wherein the internucleotide binding agent X consisting of phosphodiester, phosphorothioate, methoxy phosphotriesters, methylphosphonates, were prepared as parasiticides and antitumor agents. Thus, 3'-O-(2'-deoxy- α-L-cytidinyl)-β-D-5-fluoro-2'-deoxyuridine was prepared and tested for its biol. activities against Plasmodium falciparum malaria parasiticide (EC50 = 17 μM) and antitumor agent against murine P388 leukemia (EC50 = 0.7 nM).

IT *14365-45-8P 22837-44-1P 179112-93-7P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)

RN 14365-45-8 HCAPLUS

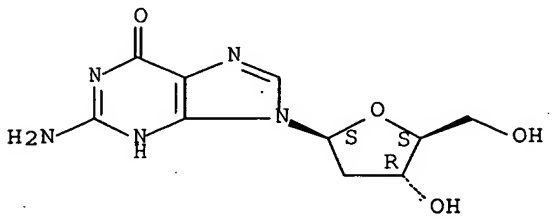
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



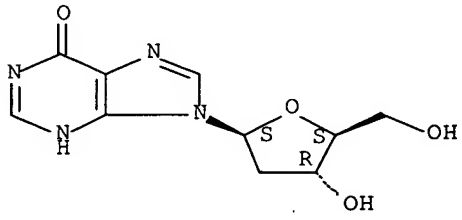
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



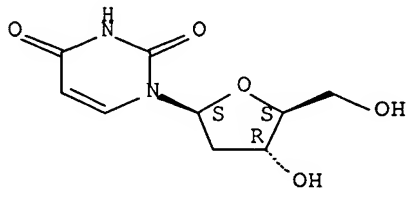
RN 179112-93-7 HCAPLUS
CN 6H-Purin-6-one, 9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



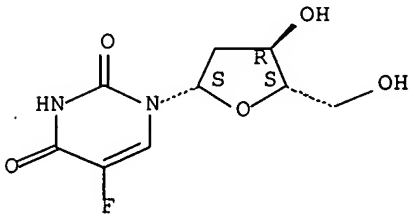
IT *31501-19-6 77180-78-0 189074-86-0*
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



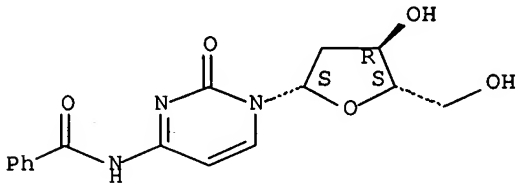
RN 77180-78-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



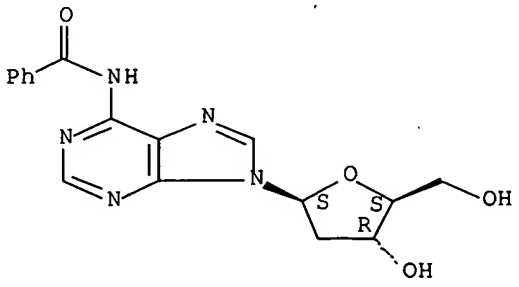
RN 189074-86-0 HCAPLUS
CN Benzamide, N-[1-(2-deoxy-β-L-erythro-pentofuranosyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *137157-40-5P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)
RN 137157-40-5 HCAPLUS
CN Benzamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 2 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:222005 HCAPLUS Full-text
DOCUMENT NUMBER: 134:237753
TITLE: Preparation of nucleoside analogs as parasiticides and antitumor agents
INVENTOR(S): Weis, Alexander L.; Pulenthiran, Kirupathevy
PATENT ASSIGNEE(S): Lipitek International, Inc., USA
SOURCE: U.S., 40 pp., Cont.-in-part of U.S. 6,025,335.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6207649	B1	20010327	US 1998-220307	19981223
US 6025335	A	20000215	US 1995-531875	19950921
CA 2206878	AA	19970327	CA 1996-2206878	19960923 <--
CA 2322494	AA	19990916	CA 1999-2322494	19990311 <--
WO 9945935	A1	19990916	WO 1999-US5360	19990311 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9930808	A1	19990927	AU 1999-30808	19990311 <--
EP 1069903	A1	20010124	EP 1999-912434	19990311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002506036	T2	20020226	JP 2000-535350	19990311

PRIORITY APPLN. INFO.:

US 1995-531875	A2	19950921
US 1998-38647	A	19980311
US 1998-219947	A	19981223
US 1998-220307	A	19981223
WO 1999-US5360	W	19990311

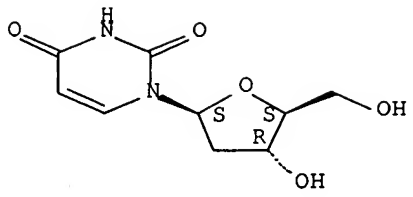
OTHER SOURCE(S): MARPAT 134:237753

AB Nucleosides and nucleoside dimers I-III containing an L-sugar in at least one of the nucleosides wherein the sugar residue is β-D-, β-L- and α-L-nucleoside and wherein at least one the sugar residue must be α-L-nucleoside; R1 and R2 are purine or pyrimidine bases; and wherein R1 and R2 are the same or a different base and wherein the internucleotide binding agent X consisting of phosphodiester, phosphorothioate, methoxy phosphotriesters, methylphosphonates, were prepared as parasiticides and antitumor agents. Thus, 3'-O-(2'-deoxy- α-L-cytidinyl)-β-D-5-fluoro-2'-deoxyuridine was prepared and tested for its biol. activities against Plasmodium falciparum malaria parasiticide (EC50 = 17 μM) and antitumor agent against murine P388 leukemia (EC50 = 0.7 nM).

IT *31501-19-6 77180-78-0 189074-86-0*
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)

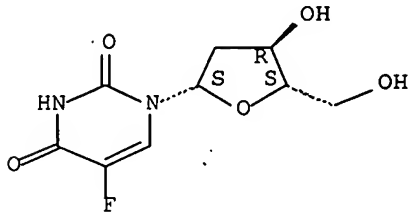
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



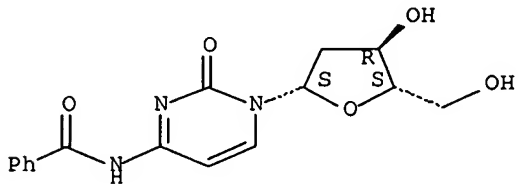
RN 77180-78-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



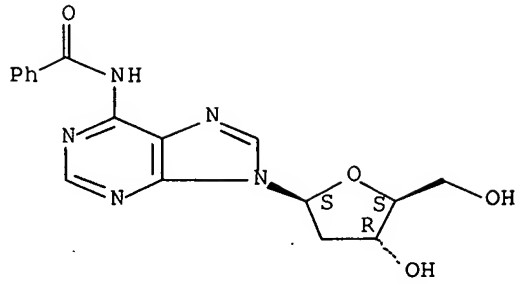
RN 189074-86-0 HCAPLUS
CN Benzamide, N-[1-(2-deoxy-β-L-erythro-pentofuranosyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *137157-40-5P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)
RN 137157-40-5 HCAPLUS
CN Benzamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 3 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:234187 HCAPLUS Full-text
DOCUMENT NUMBER: 133:59015
TITLE: Synthesis of peracylated derivatives of L-ribofuranose from D-ribose and their use for the preparation of β-L-ribonucleosides
AUTHOR(S): Mikhailopulo, Igor A.; Sivets, Grigorii G.
CORPORATE SOURCE: Institute of Bioorganic Chemistry, National Academy of Sciences, Minsk, 220141, Belarus
SOURCE: Collection Symposium Series (*1999*), 2(Chemistry of Nucleic Acid Components), 53-56
CODEN: CSYSFN
PUBLISHER: Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
DOCUMENT TYPE: Journal
LANGUAGE: English

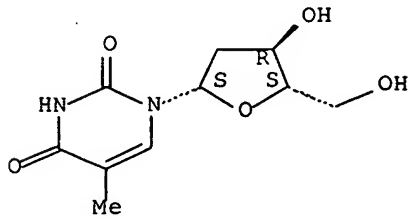
AB Practical synthesis of peracylated derivs. of β-L-ribofuranose from D-ribose was accomplished in 6 steps (30-45%; combined). Compound I was employed for the preparation of 1-(β-L-ribofuranosyl)thymine, which was transformed to 3'-deoxy- 2',3'-didehydro-β-L-thymidine (β-L-d4T) and 3'-deoxy-3'-fluoro-β-L-thymidine (β-L-FLT) employing previously described methods for the corresponding D-enantiomer.

IT *3424-98-4P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of peracylated derivs. of L-ribofuranose from D-ribose and use for preparation of β-L-ribonucleosides)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 4 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2000:71428 HCAPLUS Full-text
DOCUMENT NUMBER: 132:194597
TITLE: Enantioselective synthesis and biological evaluation of 5-o-carboranyl pyrimidine nucleosides
AUTHOR(S): Mourier, Nicolas S.; Eleuteri, Alessandra; Hurwitz, Selwyn J.; Tharnish, Phillip M.; Schinazi, Raymond F.
CORPORATE SOURCE: Department of Pediatrics, Emory University School of Medicine, Atlanta, GA, 30322, USA
SOURCE: Bioorganic & Medicinal Chemistry (*1999*), 7(12), 2759-2766
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

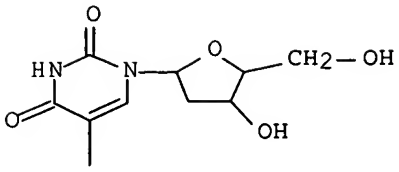
AB Base-modified carborane-containing nucleosides such as 5-o-carboranyl-2'-deoxyuridine (CDU) when combined with neutrons have potential for the treatment of certain malignancies. Lack of toxicity in various cells, high accumulation in cancer cells and intracellular phosphorylation are desirable characteristics for modified nucleosides used in boron neutron capture therapy (BNCT) for brain tumors and other malignancies. The aim of this work was to synthesize the two β-enantiomers of several 5-o-carboranyl-containing nucleosides. These derivs. may possess favorable properties such as high lipophilicity, high transport-ability, the ability to be phosphorylated, and resistance to catabolism. β-Isomers of 2',3'-dihydroxynucleosides and analogs containing a heteroatom in the sugar moiety were also synthesized. Carboranyl pyrimidine nucleosides were prepared either from the parent β-D-nucleoside, β-L-nucleoside, or by a coupling reaction. A dioxolane derivative was prepared by a coupling reaction between protected 5-o-carboranyluracil (CU) and the corresponding protected heterocycle. Specific catalysts were used during the N-glycosylation process to favor the formation of the β-isomer. Biol. evaluation of these new chiral 5-o-carboranyl pyrimidine derivs. indicated that most of these compds. have low toxicity in a variety of normal and malignant cells and achieved high cellular levels in a lymphoblastoid cell line. Increasing the number of hydroxyl groups on the sugar moiety decreased the cellular accumulation and serum binding to different extents. Five compds. were identified for further biol. evaluation as potential agents for BNCT.

IT *259856-92-3P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(enantioselective synthesis and biol. evaluation of carboranyl pyrimidine nucleosides)

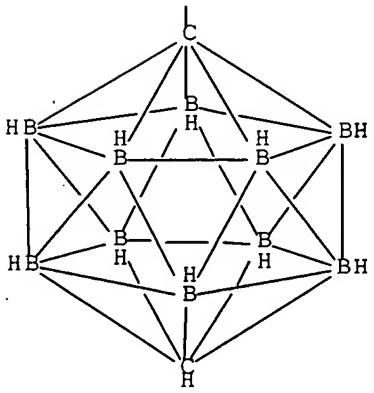
RN 259856-92-3 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-(1,12-dicarbadodecaboran(12)-1-yl)- (9CI) (CA INDEX NAME)

PAGE 1-A



PAGE 2-A



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 5 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:809998 HCAPLUS Full-text
DOCUMENT NUMBER: 132:148403
TITLE: Low enantioselectivities of human deoxycytidine kinase and human deoxyguanosine kinase with respect to 2'-deoxyadenosine, 2'-deoxyguanosine and their analogs
AUTHOR(S): Gaubert, Gilles; Gosselin, Gilles; Boudou, Valerie; Imbach, Jean-Louis; Eriksson, Staffan; Maury, Georges
CORPORATE SOURCE: Laboratoire de Chimie Bioorganique, UMR 5625 du CNRS, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Biochimie (*1999*), 81(11), 1041-1047
CODEN: BICMBE; ISSN: 0300-9084
PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal
LANGUAGE: English

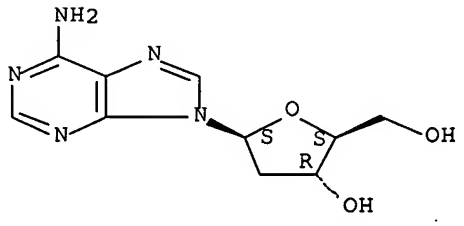
AB The antiviral activity of L-nucleoside analogs depends in part on the enantioselectivity of nucleoside kinases which catalyze their monophosphorylation. The substrate properties of human recombinant deoxycytidine kinase (dCK) and human recombinant deoxyguanosine kinase (dGK) with respect to L-adenosine and L-guanosine analogs, in the presence of saturating amts. of ATP and relatively high concns. of substrates, demonstrated a marked lack of enantioselectivity of both these enzymes. Human dCK catalyzed the phosphorylation of D- and L-enantiomers of β-dA, β-araA, and β-dG with enantioselectivities favoring the unnatural enantiomer for the adenosine derivs. and the natural enantiomer for 2'-deoxyguanosine. No other tested L-adenosine or L-guanosine analog was a substrate of dCK. Similarly, D- and L-enantiomers of β-dA, β-araA, and β-dG were substrates of human dGK but with different enantioselectivities compared to dCK, especially concerning β-dA. The present results indicate that human dCK and dGK have similar properties including substrate properties, relaxed enantioselectivities, and possibly catalytic cycles.

IT ***14365-45-8 22837-44-1***
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(human deoxycytidine kinase and human deoxyguanosine kinase exhibit low enantioselectivities with respect to 2'-deoxyadenosine, 2'-deoxyguanosine and their analogs)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

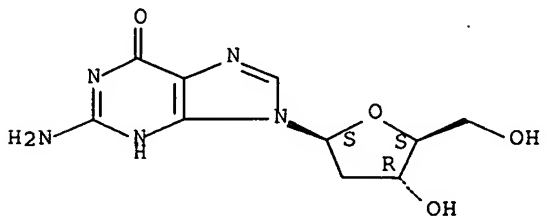
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

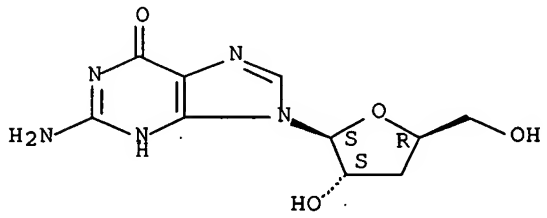
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *170157-96-7*
RL: PRP (Properties)
(human deoxycytidine kinase and human deoxyguanosine kinase exhibit low enantioselectivities with respect to 2'-deoxyadenosine, 2'-deoxyguanosine and their analogs)
RN 170157-96-7 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(3-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 6 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:794325 HCAPLUS Full-text
DOCUMENT NUMBER: 132:30814
TITLE: Methods of treatment of viral infections using carbocyclic deoxyguanosine analogs
INVENTOR(S): Montgomery, John A.; Secrist, John A., III; Bennett, L. Lee; Parker, William B.; Shealy, Y. Fumer; Scheer, David I.
PATENT ASSIGNEE(S): Southern Research Institute, USA
SOURCE: U.S., 22 pp., Cont.-in-part of U.S. Ser. No. 776,895.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

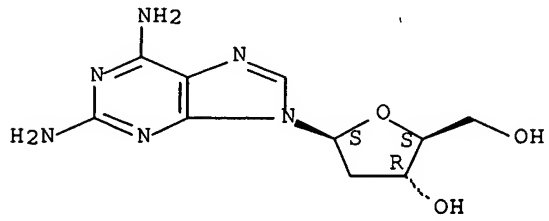
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6001840	A	19991214	US 1993-20220	19930219 <--
US 6080746	A	20000627	US 1991-776895	19911016
WO 9418979	A2	19940901	WO 1994-US1783	19940222 <--
W: CA, JP				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
EP 684822	A1	19951206	EP 1994-909709	19940222 <--
EP 684822	B1	20020508		
R: DE, FR, GB				

PRIORITY APPLN. INFO.:
US 1990-489458 B1 19900306
US 1991-776895 A2 19911016
US 1993-20220 A 19930219
WO 1994-US1783 W 19940222

OTHER SOURCE(S): MARPAT 132:30814
AB A method for prophylaxis and treatment of a viral infections is characterized by the administration of a composition comprising a substantial molar excess of the D-stereoisomer of 2'-CdG over the L-stereoisomer.

IT *244097-87-8P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction; carbocyclic deoxyguanosine analog for treatment of viral infections)
RN 244097-87-8 HCAPLUS
CN 9H-Purine-2,6-diamine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 83 THERE ARE 83 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 7 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:757866 HCAPLUS Full-text
DOCUMENT NUMBER: 132:89912
TITLE: Stereoisomeric Selectivity of Human Deoxyribonucleoside Kinases
AUTHOR(S): Wang, Jianghai; Choudhury, Devapriya; Chattopadhyaya, Jyoti; Eriksson, Staffan
CORPORATE SOURCE: Department of Veterinary Medical Chemistry, Swedish University of Agricultural Sciences The Biomedical Centre, Uppsala, S-751 23, Swed.
SOURCE: Biochemistry (*1999*), 38(51), 16993-16999
CODEN: BICHAW; ISSN: 0006-2960
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Deoxynucleoside kinases catalyze the 5'-phosphorylation of 2'-deoxyribonucleosides with nucleoside triphosphates as phosphate donors. One of the cellular kinases, deoxycytidine kinase (dCK), has been shown to phosphorylate several L-nucleosides that are efficient antiviral agents. In this study the authors investigated the potentials of stereoisomers of the natural deoxyribonucleoside to serve as substrates for the recombinant cellular deoxynucleoside kinases. The cytosolic thymidine kinase exhibited a strict selectivity and phosphorylated only β-D-Thd, while the mitochondrial thymidine kinase (TK2) and deoxyguanosine kinase (dGK) as well as dCK all had broad substrate specificities. TK2 phosphorylated Thd and dCyd stereoisomers in the order: β-D- ≥ β-L- » α-D- ≥ α-L-isomer. DCK activated both enantiomers of β-dCyd, β-dGuo, and β-dAdo with similar efficiencies, and α-D-dCyd also served as a substrate. DGK phosphorylated the β-dGuo enantiomers with no preference for the ribose configuration; α-L-dGuo was also phosphorylated, and β-L-dAdo and β-L-dCyd were substrates but showed reduced efficiencies. The anomers of the 2',3'-dideoxy-D-nucleosides (ddNs) were tested, and TK2 and dCK retained their low selectivities. Unexpectedly, α-dideoxycytidine (ddC) was a 3-fold better substrate for dCK than β-ddC. Similarly, α-dideoxythymidine

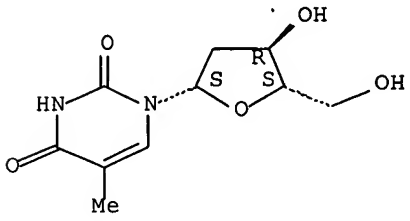
(ddT) was a better substrate for TK2 than β-ddT. dGK did not accept any D-ddNs. Thus, TK2, dCK, and dGK, similar to herpes simplex virus type 1 thymidine kinase (HSV-1 TK), showed relaxed stereoselectivities, and these results substantiate the functional similarities within this enzyme family. Docking simulations with the Thd isomers and the active site of HSV-1 TK showed that the viral enzyme may in some respects serve as a model for studying the substrate specificities of the cellular enzymes.

IT *3424-98-4*, β-L-Thymidine *14365-45-8* *22837-44-1* *40093-94-5*
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(stereoisomeric selectivity of human deoxyribonucleoside kinases)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

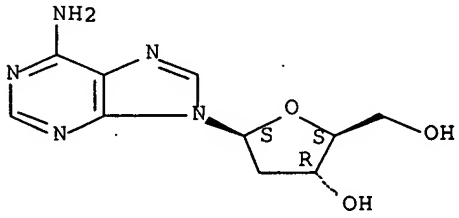
Absolute stereochemistry. Rotation (+).



RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

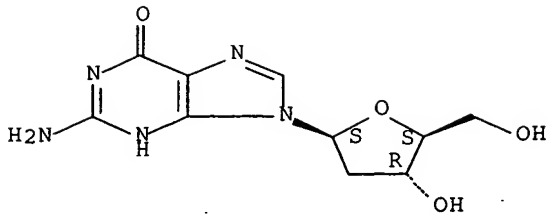
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

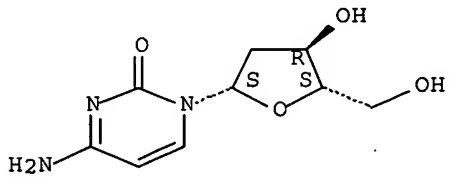
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 8 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:594951 HCAPLUS Full-text
DOCUMENT NUMBER: 131:199940
TITLE: Preparation of nucleoside analogs as parasiticides and antitumor agents
INVENTOR(S): Weis, Alexander L.; Pulenthiran, Kirupathevy; Gero, Annette M.
PATENT ASSIGNEE(S): Lipitek International, Inc., USA
SOURCE: PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9945935	A1	19990916	WO 1999-US5360	19990311 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
US 5939402	A	19990817	US 1998-38647	19980311 <--
US 6207649	B1	20010327	US 1998-220307	19981223
US 6242428	B1	20010605	US 1998-219947	19981223

CA 2322494	AA	19990916	CA 1999-2322494	19990311 <--
AU 9930808	A1	19990927	AU 1999-30808	19990311 <--
EP 1069903	A1	20010124	EP 1999-912434	19990311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002506036	T2	20020226	JP 2000-535350	19990311
PRIORITY APPLN. INFO.:			US 1998-38647	A 19980311
			US 1998-219947	A 19981223
			US 1998-220307	A 19981223
			US 1995-531875	A2 19950921
			WO 1999-US5360	W 19990311

OTHER SOURCE(S): MARPAT 131:199940

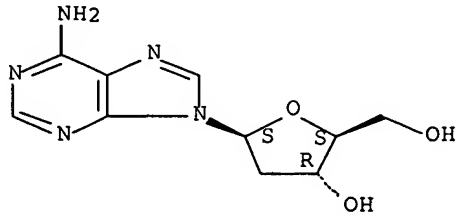
AB Nucleosides and nucleoside dimers I-III containing an L-sugar in at least one of the nucleosides wherein the sugar residue is β-D-, β-L- and α-L-nucleoside and wherein at least one the sugar residue must be α-L-nucleoside; R1 and R2 are purine or pyrimidine bases; and wherein R1 and R2 are the same or a different base and wherein the internucleotide binding agent X consisting of phosphodiester, phosphorothioate, methoxy phosphotriesters, methylphosphonates, were prepared as parasiticides and antitumor agents. Thus, 3'-O-(2'-deoxy- α-L-cytidinyl)-β-D-5-fluoro-2'-deoxyuridine was prepared and tested for its biol. activities against Plasmodium falciparum malaria parasiticide (EC50 = 17 μM) and antitumor agent against murine P388 leukemia (EC50 = 0.7 nM).

IT ***14365-45-8P 22837-44-1P 179112-93-7P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

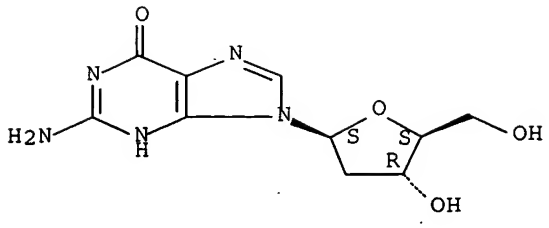
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

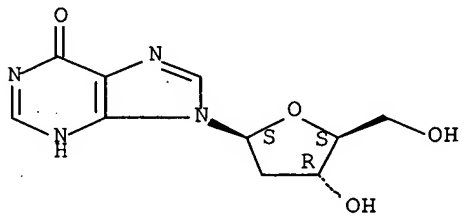
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



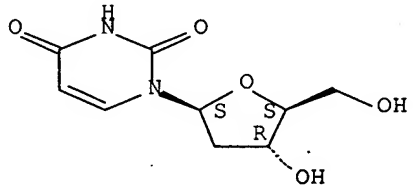
RN 179112-93-7 HCAPLUS
CN 6H-Purin-6-one, 9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



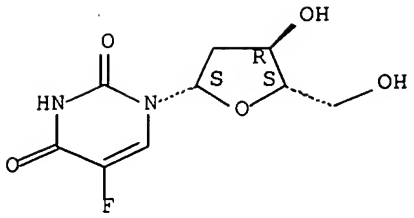
IT *31501-19-6 77180-78-0 189074-86-0*
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



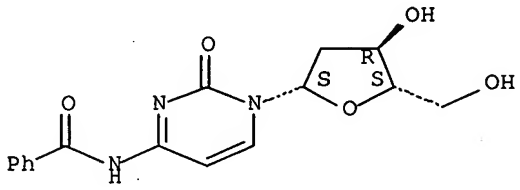
RN 77180-78-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



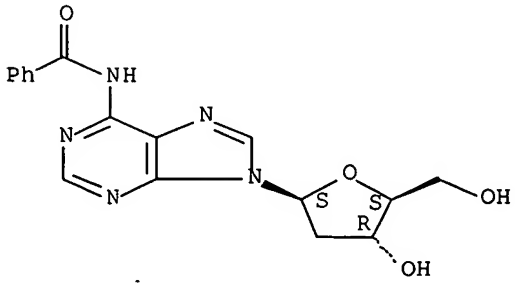
RN 189074-86-0 HCAPLUS
CN Benzamide, N-[1-(2-deoxy-β-L-erythro-pentofuranosyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *137157-40-5P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of nucleoside dimer analogs as parasiticides and antitumor agents)
RN 137157-40-5 HCAPLUS
CN Benzamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 9 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:593858 HCAPLUS Full-text
DOCUMENT NUMBER: 131:348341
TITLE: Study of human deoxycytidine kinase binding properties

using intrinsic fluorescence or new fluorescent ligands

AUTHOR(S): Shafiee, Manijeh; Gosselin, Gilles; Imbach, Jean-Louis; Divita, Gilles; Eriksson, Staffan; Maury, Georges

CORPORATE SOURCE: Laboratoire de Chimie Bioorganique, UMR 5625 du CNRS, Departement de chimie organique fine, Case courrier 006, Universite Montpellier II des Sciences et Techniques du Languedoc, Place Bataillon, Montpellier, 34095, Fr.

SOURCE: European Journal of Medicinal Chemistry (*1999*), 34(5), 423-431
CODEN: EJMCA5; ISSN: 0223-5234

PUBLISHER: Editions Scientifiques et Medicales Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB A series of D- and L-enantiomers of cytidine or adenosine analogs and fluorescent N-methylanthraniloyl (MeNHBz) cytidine derivs. regiospecifically synthesized from cytidine or deoxycytidine were used to quantify the enantioselectivity of human deoxycytidine kinase (dCK) and to characterize its binding states. Both D- and L-enantiomers of these compds. induced significant bimodal quenchings of the intrinsic fluorescence of the enzyme. The ratios of dissociation consts. KdD/KdL for the high affinity binding of non fluorescent cytidine derivs. were remarkably similar. β-D- And β-L-ATP gave monophasic titration curves and the enzyme displayed a preference for the natural enantiomer. This demonstrates the lack of enantioselectivity of dCK in the substrate binding steps of its mechanism. The results of other fluorescence expts. with MeNHBz-cytidine derivs. were consistent with an enzyme mechanism in which nucleotide binding precedes nucleoside binding.

IT ***14365-45-8 40093-94-5***

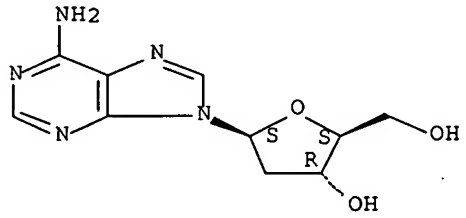
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)

(study of human deoxycytidine kinase binding properties using intrinsic fluorescence or new fluorescent ligands)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

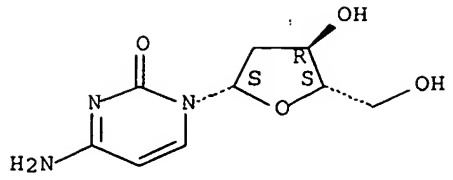
Absolute stereochemistry. Rotation (+).



RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 10 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:518286 HCAPLUS Full-text
DOCUMENT NUMBER: 131:144793
TITLE: Preparation of nucleoside analogs and uses as antitumors and parasiticides
INVENTOR(S): Weis, Alexander L.; Pulenthiran, Kirupathevy
PATENT ASSIGNEE(S): Lipitek International, Inc., USA
SOURCE: U.S., 33 pp., Cont.-in-part of U.S. Ser. No. 531,875.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5939402	A	19990817	US 1998-38647	19980311 <--
US 6025335	A	20000215	US 1995-531875	19950921
CA 2206878	AA	19970327	CA 1996-2206878	19960923 <--
CA 2322494	AA	19990916	CA 1999-2322494	19990311 <--
WO 9945935	A1	19990916	WO 1999-US5360	19990311 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9930808	A1	19990927	AU 1999-30808	19990311 <--
EP 1069903	A1	20010124	EP 1999-912434	19990311
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 2002506036	T2	20020226	JP 2000-535350	19990311

PRIORITY APPLN. INFO.:	US 1995-531875	A2	19950921
	US 1998-38647	A	19980311
	US 1998-219947	A	19981223
	US 1998-220307	A	19981223
	WO 1999-US5360	W	19990311

OTHER SOURCE(S): MARPAT 131:144793

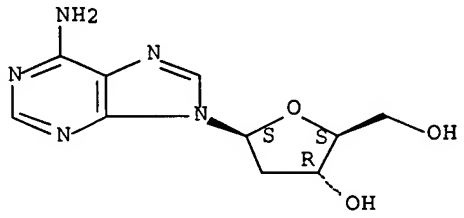
AB Nucleosides and nucleoside dimers containing an L-sugar in at least one of the nucleosides I wherein; sugar residues are each selected from the group consisting of β-D-, β-L- and α-L-nucleosides and wherein at least one of them must be β-L- or α-L-nucleoside; R1 and R2 are selected from the group of purine and pyrimidine bases consisting of cytosine, thymine, uracil, adenine, guanine, inosine, 5 fluorouridine (5 FUdR) and other 5-halopyrimidine bases;

and wherein R1 and R2, are the same or a different base, X is selected from the linking groups consisting of phosphodiester, phosphorothioate, methoxy phosphotriesters, methylphosphonates, phosphorodithioates, phosphorothioates, silyl ethers, sulfonates and ethylenedioxy ethers, were prepared and tested against the protozoan P. falciparum. Thus, 3'-O-(β-D-5-fluoro-2'-deoxyuridinyl)- α-L-5-fluoro-2'-deoxyuridine was prepared and tested for its activity against the protozoan P. falciparum in vitro culture (EC50 = 29 μM).

IT ***14365-45-8P 22837-44-1P 179112-93-7P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of nucleosides and nucleoside dimers containing an L-sugar and uses
as antitumors and parasiticides)

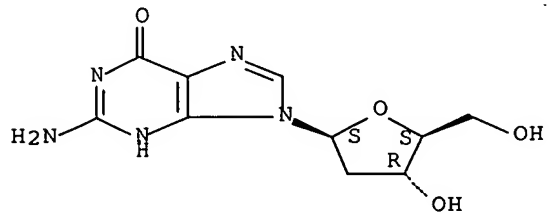
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



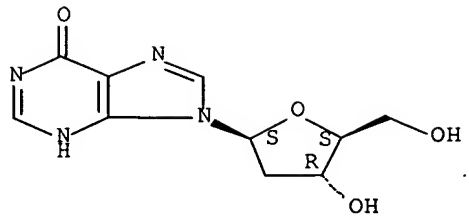
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



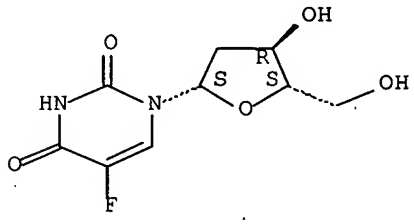
RN 179112-93-7 HCAPLUS
CN 6H-Purin-6-one, 9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



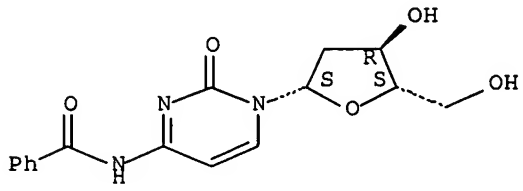
IT *77180-78-0 189074-86-0*
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of nucleosides and nucleoside dimers containing an L-sugar and uses
as antitumors and parasiticides)
RN 77180-78-0 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 189074-86-0 HCAPLUS
CN Benzamide, N-[1-(2-deoxy-β-L-erythro-pentofuranosyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 11 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:497821 HCAPLUS Full-text
DOCUMENT NUMBER: 131:299636
TITLE: Stereospecific synthesis of unnatural β-L-enantiomers of 2-chloroadenine pentofuranonucleoside derivatives

AUTHOR(S): Marchand, Arnaud; Lioux, Thierry; Mathe, Christophe; Imbach, Jean-Louis; Gosselin, Gilles
CORPORATE SOURCE: U.M.R. CNRS 5625, Laboratoire de Chimie Organique Biomoleculaire de Synthese, Universite Montpellier II, Sciences et Techniques du Languedoc, Montpellier, 34095, Fr.
SOURCE: Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (*1999*), (16), 2249-2254
CODEN: JCPRB4; ISSN: 0300-922X
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:299636

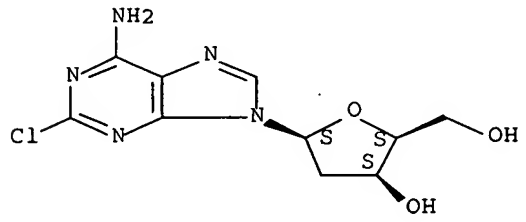
AB 2',3'-Dideoxy-, 2',3'-unsatd.-, 2',3'-dideoxy-3'-fluoro-, 3'-azido-2',3'-dideoxy- and 2'-deoxy- β-L-ribofuranonucleosides of 2-chloroadenine have been synthesized and their antiviral properties examined All these derivs. were stereospecifically prepared by glycosylation of 2,6-dichloropurine with a suitable peracylated L-xylo-furanose. Treatment of the resulting protected β-L-nucleoside with methanolic ammonia followed by appropriate chemical modifications gave 2-chloro-9-(2-deoxy-β-L-threo-pentofuranosyl)adenine. Its 5'-O-benzoyl derivative was then converted to nucleosides via radical deoxygenation reaction or base-promoted β-elimination of the corresponding mesyl ester. Addnl., compds. were obtained from the 5'-O-benzoyl derivative either by reaction with (diethylamino)sulfur trifluoride or via Mitsunobu reactions using diphenylphosphoryl azide or benzoic acid as incoming nucleophiles. The prepared compds. were tested for their activity against HIV and HBV viruses, but they did not show significant antiviral activity nor cytotoxicity.

IT *162303-28-8P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(stereospecific synthesis of unnatural β-L-enantiomers of chloroadenine pentofuranonucleoside derivs.)

RN 162303-28-8 HCAPLUS

CN 9H-Purin-6-amine, 2-chloro-9-(2-deoxy-β-L-threo-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

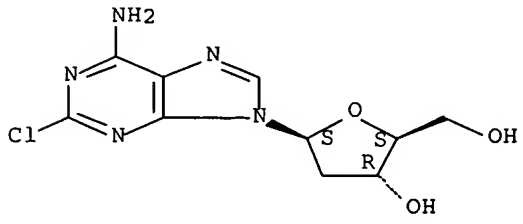


IT *244097-84-5P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(stereospecific synthesis of unnatural β-L-enantiomers of chloroadenine pentofuranonucleoside derivs.)

RN 244097-84-5 HCAPLUS

CN 9H-Purin-6-amine, 2-chloro-9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 32 THERE ARE 32 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 12 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:448660 HCAPLUS Full-text

DOCUMENT NUMBER: 131:239721

TITLE: Derivatives of L-adenosine and L-guanosine as substrates for human deoxycytidine kinase

AUTHOR(S): Gaubert, G.; Gosselin, G.; Imbach, J.-L.; Eriksson, S.; Maury, G.

CORPORATE SOURCE: Laboratoire de Chimie Bioorganique, UMR 5625 du CNRS, Universite Montpellier II, Montpellier, 34095, Fr.

SOURCE: Nucleosides & Nucleotides (*1999*), 18(4 & 5), 857-860
CODEN: NUNUD5; ISSN: 0732-8311

PUBLISHER: Marcel Dekker, Inc.

DOCUMENT TYPE: Journal

LANGUAGE: English

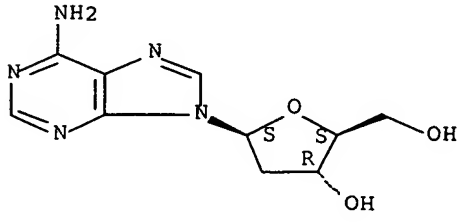
AB A series of analogs of L-adenosine and of L-guanosine, including β-L-dA, β-L-Ado, β-L-araA, and β-L-dG, have been shown to be substrates of human deoxycytidine kinase thus demonstrating the complete lack of enantioselectivity of this enzyme.

IT *14365-45-8 22837-44-1 170157-96-7*
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(derivs. of adenosine and guanosine as substrates for human deoxycytidine kinase and lack of enantioselectivity)

RN 14365-45-8 HCAPLUS

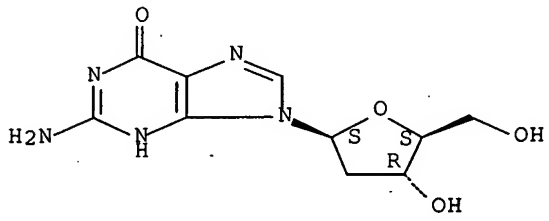
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



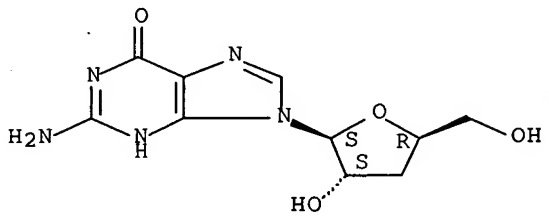
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170157-96-7 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(3-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 13 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:448646 HCAPLUS Full-text
DOCUMENT NUMBER: 131:237481
TITLE: The enantioselectivity of the cellular deoxynucleoside kinases
AUTHOR(S): Wang, Jianghai; Chattopadhyaya, Jyoti; Eriksson, Staffan
CORPORATE SOURCE: Department of Veterinary Medical Chemistry, The Biomedical Center, Swedish University of Agricultural Sciences, Uppsala, S-75123, Swed.
SOURCE: Nucleosides & Nucleotides (*1999*), 18(4 & 5), 807-810
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Cytosolic thymidine kinase (TK1) and deoxycytidine kinase (dCK) and the mitochondrial thymidine kinase (TK2) and deoxyguanosine kinase (dGK) phosphorylate deoxynucleosides and their analogs. Recombinant human TK1 only

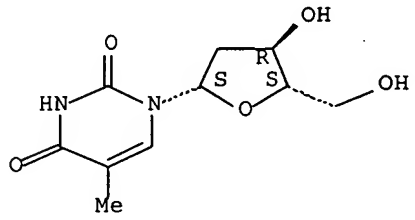
phosphorylated β-D Thd, but recombinant TK2, dCK and dGK all phosphorylated equally well β-D and β-L as well as to some extent α-D and α-L deoxynucleosides.

IT *3424-98-4*, β-L-Thymidine *14365-45-8*
22837-44-1 40093-94-5
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(enantioselectivity of cellular deoxynucleoside kinases)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

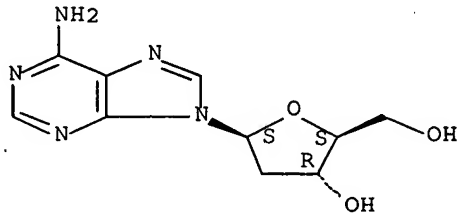
Absolute stereochemistry. Rotation (+).



RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

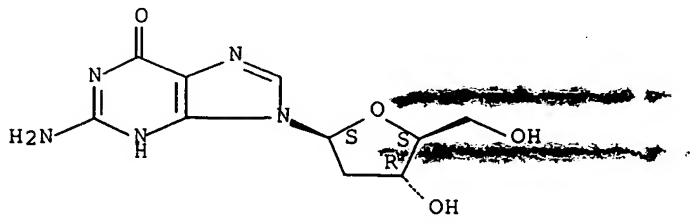
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

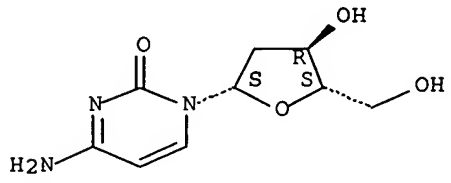
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

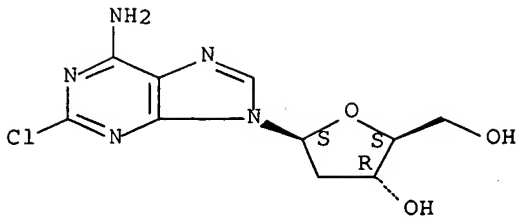
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

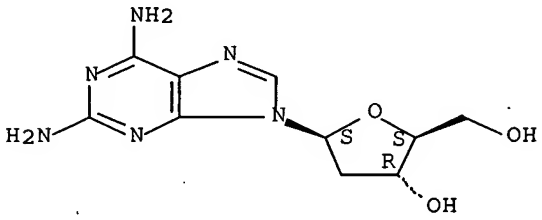
L71 ANSWER 14 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:448641 HCAPLUS Full-text
DOCUMENT NUMBER: 131:223117
TITLE: Gene therapy of cancer: activation of nucleoside prodrugs with E. coli purine nucleoside phosphorylase
AUTHOR(S): Secrist, John A., III; Parker, William B.; Allan, Paula W.; Bennett, L. Lee, Jr.; Waud, William R.; Truss, Jackie W.; Fowler, Anita T.; Montgomery, John A.; Ealick, Steven E.; Wells, Alan H.; Gillespie, G. Yancey; Gadi, V. K.; Sorscher, Eric J.
CORPORATE SOURCE: Southern Research Institute, Birmingham, AL, USA
SOURCE: Nucleosides & Nucleotides (*1999*), 18(4 & 5), 745-757
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB During the last few years, many gene therapy strategies have been developed for various disease targets. The development of anticancer gene therapy strategies to selectively generate cytotoxic nucleoside or nucleotide analogs is an attractive goal. One such approach involves the delivery of herpes simplex virus thymidine kinase followed by the acyclic nucleoside analog ganciclovir. We have developed another gene therapy methodol. for the treatment of cancer that has several significant attributes. Specifically, our approach involves the delivery of E. coli purine nucleoside phosphorylase, followed by treatment with a relatively non-toxic nucleoside prodrug that is cleaved by the enzyme to a toxic compound This presentation describes the concept, details our search for suitable prodrugs, and summarizes the current biol. data.
IT *244097-84-5 244097-87-8*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(substrate activity of nucleosides with purified E. coli purine nucleoside phosphorylase in relation to gene therapy of cancer)
RN 244097-84-5 HCAPLUS
CN 9H-Purin-6-amine, 2-chloro-9-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 244097-87-8 HCAPLUS
CN 9H-Purine-2,6-diamine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

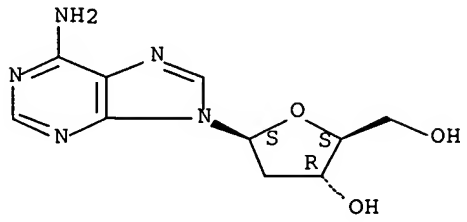


REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 15 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:448631 HCAPLUS Full-text
DOCUMENT NUMBER: 131:239580
TITLE: Synthesis of new fluorescent nucleoside analogues and application to the study of human deoxycytidine kinase
AUTHOR(S): Shafiee, M.; Gosselin, G.; Imbach, J.-L.; Eriksson, S.; Maury, G.
CORPORATE SOURCE: Laboratoire de Chimie Bioorganique, UMR 5625 du CNRS, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1999*), 18(4 & 5), 717-719
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB We have determined the affinity of human deoxycytidine kinase with respect to new fluorescent N-methylanthraniloyl cytidine derivs. or non-fluorescent enantiomeric cytidine analogs. New results regarding the enantioselectivity and the mechanism of the enzyme are presented.
IT *14365-45-8 40093-94-5*
RL: BPR (Biological process); BSU (Biological study, unclassified); NUU (Other use, unclassified); BIOL (Biological study); PROC (Process); USES (Uses)
(synthesis of new fluorescent nucleoside analogs and application to the study of human deoxycytidine kinase)
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA

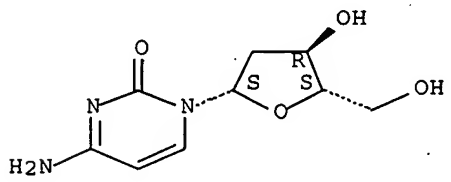
INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 16 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:448591 HCAPLUS Full-text
DOCUMENT NUMBER: 131:130191
TITLE: A new and convenient approach for the synthesis of ribo- and 2'-deoxyribo-β-L-furanonucleosides starting from β-L-xylofuranonucleosides
AUTHOR(S): Boudou, V.; Gosselin, G.; Imbach, J.-L.
CORPORATE SOURCE: UMR CNRS-USTL 5625, Laboratoire de Chimie Bioorganique, Universite des Sciences et Techniques du Languedoc, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1999*), 18(4 & 5), 607-609
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 131:130191

AB Ribo- and 2'-deoxyribo-β-L-furanosyladenine have been synthesized. Although these compds. have been already reported in the literature, it seemed to us that a more convenient approach for their synthesis deserved to be developed. Intramol. substitution as well as Mitsunobu reaction were used to invert the configuration of carbon 3' of starting β-L-xylofuranosyl intermediates.

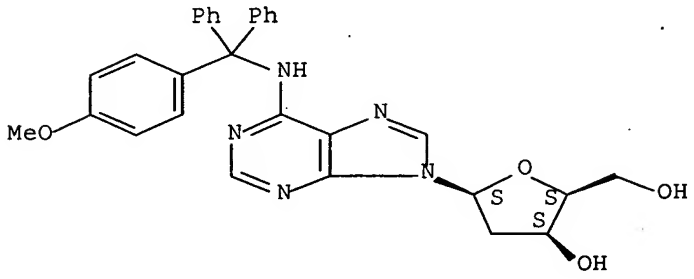
IT *233681-08-8P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)
(synthesis of ribo- and deoxyribo-β-L-furanonucleosides via Mitsunobu epimerization starting from β-L-xylofuranonucleosides)

RN 233681-08-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-threo-pentofuranosyl)-N-[(4-methoxyphenyl)diphenylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



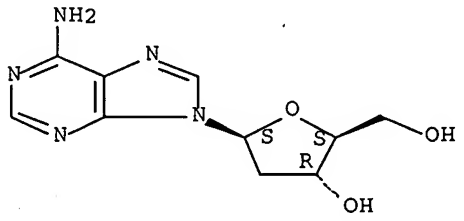
IT *14365-45-8P*

RL: SPN (Synthetic preparation); PREP (Preparation)
(synthesis of ribo- and deoxyribo-β-L-furanonucleosides via Mitsunobu epimerization starting from β-L-xylofuranonucleosides)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 17 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:188137 HCAPLUS Full-text

DOCUMENT NUMBER: 131:2141

TITLE: Molecular basis for the enantioselectivity of HIV-1 reverse transcriptase: role of the 3'-hydroxyl group of the L-(β)-ribose in chiral discrimination between D- and L-enantiomers of deoxy- and dideoxy-nucleoside triphosphate analogs

AUTHOR(S): Maga, Giovanni; Amacker, Mario; Hubscher, Ulrich; Gosselin, Gilles; Imbach, Jean-Luis; Mathe, Christophe; Faraj, Abdesslem; Sommadossi, Jean-Pierre; Spadari, Silvio

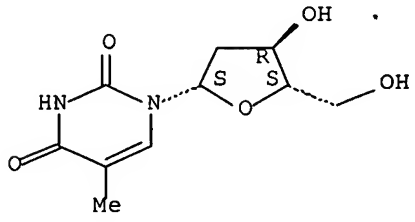
CORPORATE SOURCE: Institute of Biochemical and Evolutionary Genetics, National Research Council, Pavia, I-27100, Italy
SOURCE: Nucleic Acids Research (*1999*), 27(4), 972-978
CODEN: NARHAD; ISSN: 0305-1048
PUBLISHER: Oxford University Press
DOCUMENT TYPE: Journal
LANGUAGE: English

AB In order to identify the basis for the relaxed enantioselectivity of human immunodeficiency virus type 1 (HIV-1) reverse transcriptase (RT) and to evaluate possible cross-resistance patterns between L-nucleoside-, D-nucleoside- and non-nucleoside RT inhibitors, to be utilized in anti-HIV-1 combination therapy, we applied an in vitro approach based on the utilization of six recombinant HIV-1 RT mutants containing single amino acid substitutions known to confer Nevirapine resistance in treated patients. The mutants were compared on different RNA/DNA and DNA/DNA substrates to the wild type (wt) enzyme for their sensitivity towards inhibition by the D- and L-enantiomers of 2'-deoxy-and 2',3'-dideoxynucleoside triphosphate analogs. The results showed that the 3'-hydroxyl group of the L-(β)-2'-deoxyribose moiety caused an unfavorable steric hindrance with critical residues in the HIV-1 RT active site and this steric barrier was increased by the Y181I mutation. Elimination of the 3'-hydroxyl group removed this hindrance and significantly improved binding to the HIV-1 RT wt and to the mutants. These results demonstrate the critical role of both the tyrosine 181 of RT and the 3'-position of the sugar ring, in chiral discrimination between D- and L-nucleoside triphosphates. Moreover, they provide an important rationale for the combination of D- and L-(β)-dideoxy-nucleoside analogs with non-nucleoside RT inhibitors in anti-HIV chemotherapy, since non-nucleoside inhibitors resistance mutations did not confer cross-resistance to dideoxynucleoside analogs.

IT ***3424-98-4 40093-94-5***
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(mol. basis for enantioselectivity of HIV-1 reverse transcriptase)

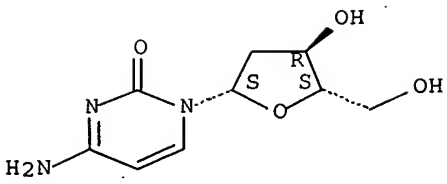
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

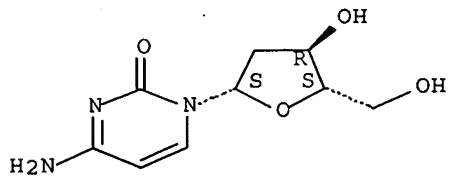
Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 18 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:784375 HCAPLUS Full-text
DOCUMENT NUMBER: 130:110530
TITLE: The synthesis of 2'-deoxy-L-cytidine-3'-phosphate
AUTHOR(S): Kozlov, Igor A.; Orgel, Leslie E.
CORPORATE SOURCE: The Salk Institute for Biological Studies, San Diego, CA, 92138, USA
SOURCE: Nucleosides & Nucleotides (*1998*), 17(12), 2249-2254
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Improvements in the synthesis of 2'-deoxy-L-cytidine-3'-phosphate from L-arabinose are described.
IT ***40093-94-5P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and reaction of in the synthesis of 2'-deoxy-L-cytidine-3'-phosphate)
RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 19 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:727262 HCAPLUS Full-text
DOCUMENT NUMBER: 130:77831
TITLE: A comparison of the enantioselectivities of human deoxycytidine kinase and human cytidine deaminase
AUTHOR(S): Shafiee, Manijeh; Griffon, Jean-Francois; Gosselin, Gilles; Cambi, Alessandra; Vincenzetti, Silvia; Vita,

Alberto; Eriksson, Staffan; Imbach, Jean-Louis; Maury, Georges

CORPORATE SOURCE: LABORATOIRE DE CHIMIE BIOORGANIQUE, UMR 5625 DU CNRS, CASE 008, UNIVERSITE MONTPELLIER II, MONTPELLIER, 34095, Fr.

SOURCE: Biochemical Pharmacology (*1998*), 56(9), 1237-1242
CODEN: BCPCA6; ISSN: 0006-2952
PUBLISHER: Elsevier Science Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

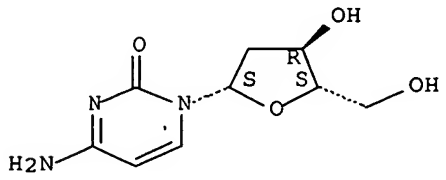
AB The stereoselectivities of recombinant human deoxycytidine kinase (EC 2.7.1.74) (dCK) and of recombinant human cytidine deaminase (EC 3.5.4.5) (CDA) were investigated with respect to a series of cytidine analogs, most of them having the unnatural L-stereochem. The enantioselectivity of dCK was always low and generally favored the L-enantiomers in the case of β-2',3'-dideoxycytidine (β-ddC), 5-fluoro-β-2',3'- dideoxycytidine (β-FddC) and β-cytidine (β-riboC). Concerning β-2'-deoxycytidine, dCK showed a preference for the D-enantiomer. All other examined β-L-cytidine analogs, [1-β-L-lyxofuranosyl cytosine (β-L-lyxoC), 1-β-L- xylofuranosyl cytosine (β-L-xyloC), and 5-fluoro-1-β-L- xylofuranosyl cytosine (β-L-Fxylo C)], were substrates of dCK regardless of the nature of the pentose. None of the studied α-L-anomers (α-L-riboC, α-L-araC, α-L-lyxoC, or α-L-xyloC) was a substrate of dCK. Contrasting with the relaxed enantioselectivity of dCK, CDA had a strict requirement for D-cytidine analogs since none of the already listed β-L- or α-L analogs was a substrate or an inhibitor of the enzyme. The conjunction of the preceding stereochem. properties of dCK and CDA confers to L-cytidine analogs important potentialities in antiviral and anticancer therapies.

IT *40093-94-5*
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(a comparison of the enantioselectivities of human deoxycytidine kinase and human cytidine deaminase)

RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 20 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:667121 HCAPLUS Full-text

DOCUMENT NUMBER: 130:32710

TITLE: Unnatural β-L-enantiomers of nucleoside analogs as potent anti-hepatitis B virus agents

AUTHOR(S): Gosselin, G.; Boudou, V.; Griffon, J.-F.; Pavia, G.;

Pierra, C.; Imbach, J.-L.; Faraj, A.; Sommadossi, J.-P.
CORPORATE SOURCE: Laboratoire Chimie Bioorganique, UMR CNRS 5625, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1998*), 17(9-11), 1731-1738
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

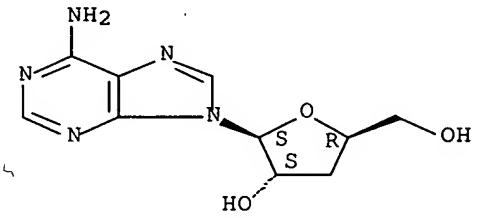
AB Several 2'- or 3'- substituted 2',3'-dideoxy-β-L-nucleosides bearing adenine as the base were stereospecifically synthesized and their antiviral properties examined Two of them, namely 2'-azido- and 3'-azido-2',3'-dideoxy-β-L-adenosine had some antihepatitis B virus activity in cell cultures.

IT *170157-95-6P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of unnatural β-L-enantiomers of nucleoside analogs as anti-hepatitis B virus agents)

RN 170157-95-6 HCAPLUS

CN 9H-Purin-6-amine, 9-(3-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 21 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:298848 HCAPLUS Full-text
DOCUMENT NUMBER: 128:316918
TITLE: Newly Synthesized L-Enantiomers of 3'-Fluoro-Modified ss-2'-Deoxyribonucleoside 5'-Triphosphates Inhibit Hepatitis B DNA Polymerases But Not the Five Cellular DNA Polymerases α, β, γ, δ, and ε Nor HIV-1 Reverse Transcriptase
AUTHOR(S): von Janta-Lipinski, Martin; Costisella, Burkhardt; Ochs, Hansueli; Huebscher, Ulrich; Hafkemeyer, Peter; Matthes, Eckart
CORPORATE SOURCE: Max-Delbrueck-Centrum fuer Molekulare Medizin, Berlin, D-13125, Germany
SOURCE: Journal of Medicinal Chemistry (*1998*), 41(12), 2040-2046
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

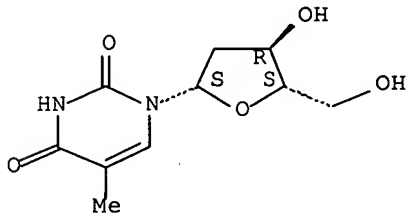
AB Novel β-L-2',3'-dideoxy-3'-fluoronucleosides were synthesized and further converted to their 5'-triphosphates I and II (R = H, Me). Their inhibitory activities against hepatitis B virus (HBV) and duck hepatitis B virus (DHBV) DNA polymerases, human immunodeficiency virus (HIV) reverse transcriptase (RT), and the cellular DNA polymerases α, β, γ, δ, and ε were investigated and compared with those of the corresponding 3'-fluoro-modified β-D-analogs. The 5'-triphosphates I (R = Me) and II (R = H, Me) emerged as effective inhibitors of HBV/DHBV DNA polymerases (IC50 = 0.25-10.4 μM). They were either equally I or less II effective than their β-D- counterparts. Also β-L-thymidine 5'-triphosphate was shown to be a strong inhibitor of these two viral enzymes (IC50 = 0.46/1.0 μM). However, all prepared triphosphates were inactive against HIV-RT, a result which contrasts sharply with the high efficiency of the β-D-isomers against this polymerase. Between the cellular DNA polymerases only the β and γ enzymes displayed a critical susceptibility to β-D-isomers which is largely abolished by the β-L-enantiomers. These results recommend β-L-2,3-dideoxy-3-fluoronucleosides for further evaluation as selective inhibitors of HBV replication at the cellular level.

IT ***3424-98-4***, L-Thymidine ***31501-19-6***
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of fluorodeoxyribonucleoside triphosphate enantiomers that inhibit hepatitis B DNA polymerases but not cellular DNA polymerases or HIV reverse transcriptase)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

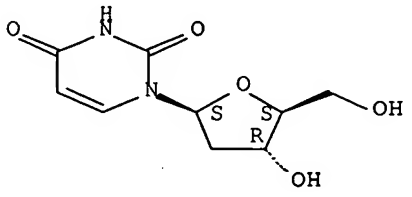
Absolute stereochemistry. Rotation (+).



RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 43 THERE ARE 43 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

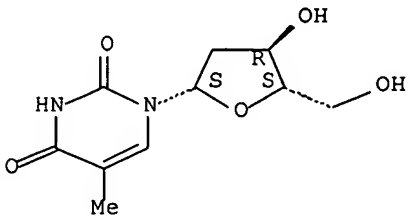
L71 ANSWER 22 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:109124 HCAPLUS Full-text
DOCUMENT NUMBER: 128:192876
TITLE: The quantitation of the competing energetics of the stereoelectronic and steric effects of the 3'-OH and the aglycon in the α- versus β-D- and -L-2'-deoxyribonucleosides by 1H-NMR
AUTHOR(S): Thibaudeau, Christophe; Foldesi, Andras; Chattopadhyaya, Jyoti
CORPORATE SOURCE: Dep. Bioorganic Chemistry, Biomedical Center, Univ. Uppsala, Uppsala, S-751 23, Swed.
SOURCE: Tetrahedron (*1998*), 54(9), 1867-1900
CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB By comparative NMR study of 2',3'-dideoxy-nucleosides (see ref 1) with α-D- or L-2'-deoxynucleosides, we have been able to quantify for the first time the competing medium-dependent influences of the 3'-OH promoted gauche and the aglycon-configuration dependent anomeric effects that result in the overall drive of the sugar conformation in 2'-deoxynucleosides. It has been shown that although the pKas of the nucleobases in α- and β-D-2-deoxynucleosides are identical, the transmission of the free-energy of protonation-deprotonation equilibrium to steer the sugar conformation is not the same, indeed it is finely tuned by the balance between the 3'-gauche and anomeric effect. It has emerged that the counteracting 3'-OH gauche effect reduces the influence of the pH-dependent anomeric effect, thereby limiting the conformational flexibility of β-D-2'-deoxynucleosides with respect to the corresponding β-D-2',3'-dideoxy-nucleosides.

IT *3424-98-4 14365-45-8 22837-44-1 40093-94-5*
RL: PRP (Properties)
(the quantitation of the competing energetics of the stereoelectronic and steric effects of the 3'-OH and the aglycon in the α vs. β-D- and L-deoxyribonucleosides by 1H-NMR)

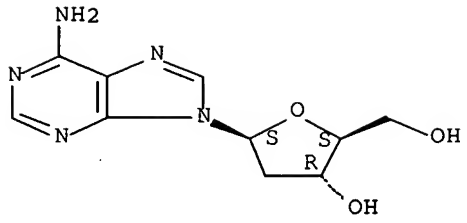
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



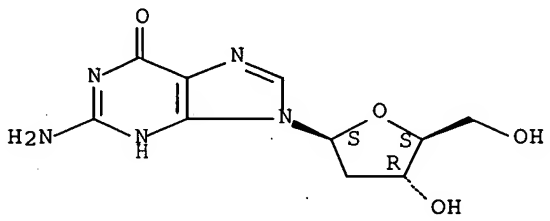
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



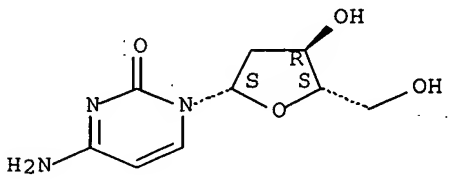
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 23 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:801518 HCAPLUS Full-text
DOCUMENT NUMBER: 128:136253
TITLE: Relaxed enantioselectivity of human mitochondrial thymidine kinase and chemotherapeutic uses of L-nucleoside analogs
AUTHOR(S): Verri, Annalisa; Priori, Giuseppina; Spadari, Silvio; Tondelli, Luisa; Focher, Federico
CORPORATE SOURCE: Istituto di Genetica Biochimica ed Evoluzionistica, Consiglio Nazionale delle Ricerche, Pavia, 27100,

Italy
SOURCE: Biochemical Journal (*1997*), 328(1), 317-320
CODEN: BIJOAK; ISSN: 0264-6021
PUBLISHER: Portland Press Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

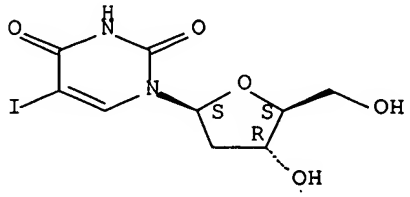
AB Our discovery that Herpes virus thymidine kinase (TK) and cellular deoxycytidine kinase lack enantioselectivity, being able to phosphorylate both D- and L-enantiomers of the substrate, suggested the use of unnatural L-nucleoside analogs as antiviral drugs (Herpes, hepatitis and immunodeficiency viruses). Several L-nucleoside analogs have displayed a short-term cytotoxicity much lower than their corresponding D-counterpart. Since the delayed cytotoxicity of a drug often depends on its effects on mitochondrial metabolism, we have investigated the degree of enantioselectivity of human mitochondrial thymidine kinase (mt-TK). We demonstrate that mt-TK does not show an absolute enantioselectivity, being able to recognize, although with lower efficiency, the L-enantiomers of thymidine, deoxycytidine and modified deoxyuridines, such as (E)-5-(2-bromovinyl)-2'-deoxyuridine and 5-iodo-2'-deoxyuridine. Interestingly, the reported neg. co-operativity of mt-TK phosphorylating β-D-2'-deoxythymidine (D-Thd), disappears when the deoxyribose moiety has the inverted configuration, resulting in the preferential phosphorylation of D-Thd even in the presence of high concns. of the L-enantiomer. This, coupled with the higher Km for β-L-2'- deoxythymidine (L-Thd), makes mt-TK resistant to high concns. of L-Thd and L-Thd analogs, minimizing the mitochondria-dependent delayed cytotoxicity that might be caused by the administration of L- nucleoside analogs as antivirals.

IT ***162239-35-2 166735-83-7***, (E)-5-(2-Bromovinyl)-L-2'-deoxyuridine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(relaxed enantioselectivity of human mitochondrial thymidine kinase and chemotherapeutic uses of L-nucleoside analogs)

RN 162239-35-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-iodo- (9CI) (CA INDEX NAME)

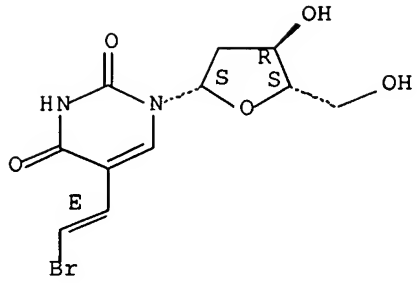
Absolute stereochemistry. Rotation (-).



RN 166735-83-7 HCAPLUS

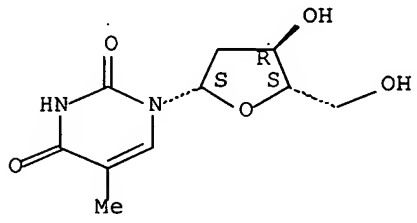
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(1E)-2-bromoethenyl]-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



IT *3424-98-4*, L-Thymidine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(relaxed enantioselectivity of human mitochondrial thymidine kinase and chemotherapeutic uses of L-nucleoside analogs)
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

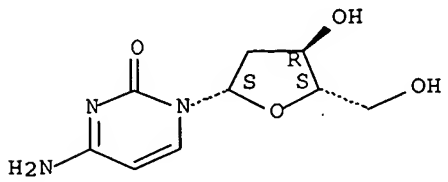


REFERENCE COUNT: 27 THERE ARE 27 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 24 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:758580 HCAPLUS Full-text
DOCUMENT NUMBER: 128:112225
TITLE: Study of the enantioselectivity of enzymes involved in nucleoside analog metabolism: deoxycytidine kinase
AUTHOR(S): Shafiee, M.; Boudoua, V.; Griffon, J.-F.; Pompon, A.; Gosselin, G.; Eriksson, S.; Imbach, J.-L.; Maury, G.
CORPORATE SOURCE: Laboratoire de Chimie Bioorganique, UM 5625 du CNRS, Ddpartement de Chimie Organique Fine, Universite Montpellier 2, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1997*), 16(7-9), 1767-1770
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The substrate properties of recombinant human deoxycytidine kinase (dCK) with regard to a series of D- or L-enantiomers of cytidine, 2'-deoxycytidine, and 2',3'-dideoxycytidine analogs were studied using HPLC anal. Our results suggest that dCK has a remarkably relaxed enantioselectivity with respect to a large number of cytidine derivs. in the β configuration.

IT *40093-94-5*
RL: BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(study of the enantioselectivity of deoxycytidine kinase)
RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



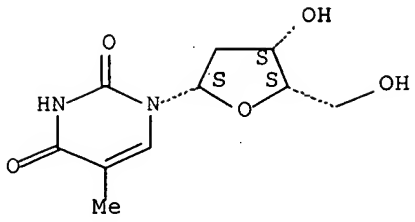
REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 25 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:757941 HCAPLUS Full-text
DOCUMENT NUMBER: 128:97335
TITLE: New unnatural L-nucleoside enantiomers: from their stereospecific synthesis to their biological activities
AUTHOR(S): Gosselin, G.; Boudou, V.; Griffon, J.-F.; Pavia, G.; Pierra, C.; Imbach, J.-L.; Aubertin, A.-M.; Schinazi, R. F.; Faraj, A.; Sommadossi, J.-P.
CORPORATE SOURCE: Laboratoire Chimie Bioorganique, UMR CNRS 5625, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1997*), 16(7-9), 1389-1398
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Marcel Dekker, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Several purine and pyrimidine β-L-dideoxynucleosides were stereospecifically synthesized and their antiviral properties examined Two of them, namely β-L-2',3'-dideoxyadenosine (β-L-ddA) and its 2',3'-didehydro derivative (β-L-d4A) were found to have significant anti-human immunodeficiency virus (HIV) and anti-hepatitis B virus (HBV) activities in cell culture.

IT *201295-39-8P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antiviral activity of several purine and pyrimidine β-L-dideoxynucleosides)
RN 201295-39-8 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-threo-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 49 THERE ARE 49 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

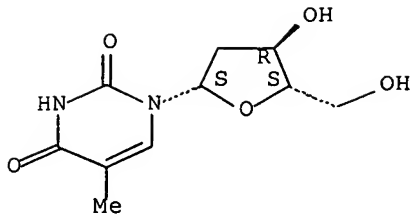
L71 ANSWER 26 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:591197 HCAPLUS Full-text
DOCUMENT NUMBER: 127:262963
TITLE: The discovery of intramolecular stereoelectronic forces that drive the sugar conformation in nucleosides and nucleotides
AUTHOR(S): Thibaudeau, C.; Chattopadhyaya, J.
CORPORATE SOURCE: Dep. Bioorganic Chem., Biomedical Centre, Uppsala Univ., Uppsala, S-751 23, Swed.
SOURCE: Nucleosides & Nucleotides (*1997*), 16(5 & 6), 523-529
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English

AB This report summarizes our results on how the determination of the thermodn. of the two state North (N,C2'-exo-C3'-endo) and South (S,C2'-endo-C3'-exo) pseudo-rotational equilibrium in aqueous solution (pD 0.6 - 12.0) basing on 1H-NMR spectra measured at 500 from 278K to 358K yields an exptl. energy inventory of the unique stereoelectronic forces that dictate the conformation of the sugar moiety in β-D-ribonucleosides (rNs), β,D-nucleotides, in the mirror-image β-D- vs. β-L-2'-deoxynucleosides (dNs) as well as in α-D- or L- vs. β-D- or L-2'-dNs. Our work shows for the first time that the free-energies of the inherent internal flexibilities of β-D- vs. β-L-2'-dNs and α-D- vs. α-2'-dNs are identical, whereas the aglycon promoted tunability of the constituent sugar conformation is grossly affected in the α-nucleosides compared to the β-counterparts.

IT *3424-98-4 14365-45-8 22837-44-1*
40093-94-5
RL: PRP (Properties)
(intramol. stereoelectronic forces that drive the sugar conformation in nucleosides and nucleotides)

RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

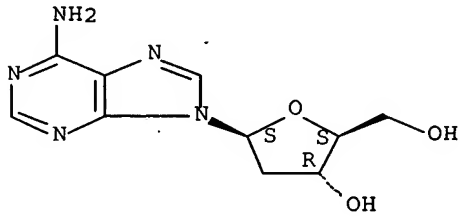
Absolute stereochemistry. Rotation (+).



RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

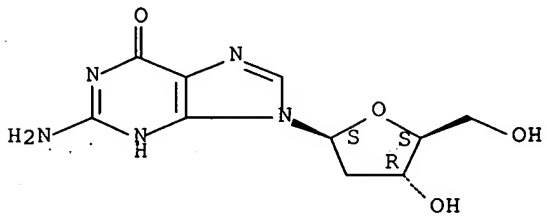
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

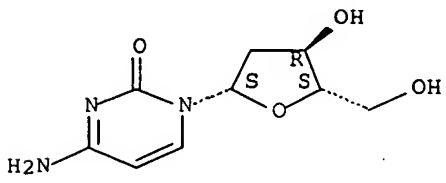
Absolute stereochemistry.



RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



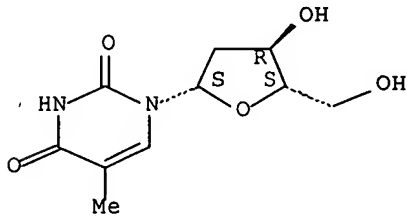
REFERENCE COUNT: 44 THERE ARE 44 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 27 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:520729 HCAPLUS Full-text
DOCUMENT NUMBER: 127:174743
TITLE: Plasmodium falciparum: transport of enantiomers of nucleosides into Sendai-treated trophozoites
AUTHOR(S): Gero, Annette M.; Hall, Simone T.
CORPORATE SOURCE: School of Biochemistry and Molecular Genetics, University of New South Wales, Sydney, 2052, Australia
SOURCE: Experimental Parasitology (*1997*), 86(3), 228-231
CODEN: EXPAAA; ISSN: 0014-4894
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors demonstrate that the transport of both L-adenosine and L-thymidine into intact Plasmodium falciparum-infected erythrocytes is blocked by NPPB, furosemide and niflumate, suggesting that these compds. enter malarial-infected cells via the channel proposed by Kirk et al. Addnl., the authors compared the transport of both L-adenosine and L-thymidine into Sendai-treated trophozoite-infected erythrocytes. The Sendai virus specifically perforates the host cell membrane, while not permeabilizing that of the intraerythrocytic parasite, thus exposing the external medium to the parasite membranes. The authors demonstrate considerable differences in the inhibition of nucleoside transport in the Sendai-treated parasites compared to that of the intact infected cells.

IT *3424-98-4*, L-Thymidine
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(nucleoside transport into Sendai-treated Plasmodium falciparum trophozoites and Plasmodium falciparum infected erythrocytes)
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 28 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:344802 HCAPLUS Full-text
DOCUMENT NUMBER: 126:343812
TITLE: Preparation of L-2',3'-dideoxy nucleoside analogs as anti-hepatitis B (HBV) and anti-HIV agents

INVENTOR(S): Lin, Tai-shun; Cheng, Yung-chi
PATENT ASSIGNEE(S): Yale University, USA
SOURCE: U.S., 29 pp., Cont.-in-part of U.S. Ser. No. 67,299.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5627160	A	19970506	US 1993-98650	19930728 <--
CA 2163520	AA	19941208	CA 1994-2163520	19940523 <--
WO 9427616	A1	19941208	WO 1994-US5790	19940523 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9470430	A1	19941220	AU 1994-70430	19940523 <--
AU 693795	B2	19980709		
EP 707481	A1	19960424	EP 1994-919207	19940523 <--
EP 707481	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08510747	T2	19961112	JP 1995-500872	19940523 <--
AT 195423	E	20000915	AT 1994-919207	19940523
ES 2150993	T3	20001216	ES 1994-919207	19940523
PT 707481	T	20010228	PT 1994-919207	19940523
CN 1100303	A	19950322	CN 1994-106188	19940524 <--
CN 1076021	B	20011212		
US 5561120	A	19961001	US 1995-456635	19950601 <--
US 5631239	A	19970520	US 1995-544650	19951018 <--
US 5830881	A	19981103	US 1996-724138	19960930 <--
HK 1013257	A1	20010202	HK 1998-114607	19981222
GR 3034379	T3	20001229	GR 2000-402067	20000908
JP 2004244422	A2	20040902	JP 2004-106919	20040331

PRIORITY APPLN. INFO.:

US 1993-67299	A2	19930525
US 1993-98650	A	19930728
JP 1995-500872	A3	19940523
WO 1994-US5790	W	19940523
US 1995-456635	A3	19950601

OTHER SOURCE(S): MARPAT 126:343812

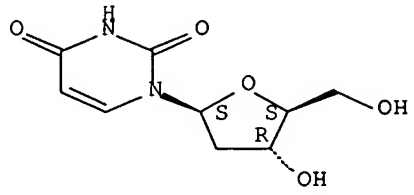
AB The present invention relates to the surprising discovery that certain dideoxynucleoside analogs which contain a dideoxy ribofuranosyl moiety having an L-configuration (as opposed to the naturally occurring D-configuration) exhibit unexpected activity against hepatitis B virus (HBV). In particular, the compds. according to the present invention show potent inhibition of the replication of the virus in combination with very low toxicity to the host cells (i.e., animal or human tissue). Compds. according to the present invention exhibit primary utility as agents for inhibiting the growth or replication of HBV, HIV and other retroviruses, most preferably HBV. The compound 1-(2,3-dideoxy-beta-L-ribofuranosyl)-5- fluorocytosine is shown to be a potent anti-HIV agent with low toxicity to host cells.

IT ***31501-19-6***
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of L-2',3'-dideoxy nucleoside analogs as anti-hepatitis B and anti-HIV agents)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



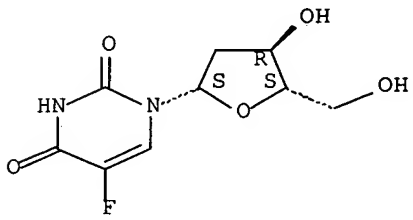
L71 ANSWER 29 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1997:332381 HCAPLUS Full-text
DOCUMENT NUMBER: 126:305741
TITLE: Preparation of phosphate-linked L-nucleoside dimers as antitumors
INVENTOR(S): Weis, Alexander L.; Goodhue, Charles T.; Pulenthiran, Kirupathevy
PATENT ASSIGNEE(S): Lipitek International, Inc., USA; Weis, Alexander L.; Goodhue, Charles T.; Pulenthiran, Kirupathevy
SOURCE: PCT Int. Appl., 84 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 5
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9711087	A1	19970327	WO 1996-US15115		19960923 <--
W: AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA					
US 6025335	A	20000215	US 1995-531875		19950921
CA 2206878	AA	19970327	CA 1996-2206878		19960923 <--
AU 9670773	A1	19970409	AU 1996-70773		19960923 <--
AU 713715	B2	19991209			
EP 793669	A1	19970910	EP 1996-931661		19960923 <--
R: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE					
JP 10509464	T2	19980914	JP 1996-512922		19960923 <--
PRIORITY APPLN. INFO.:			US 1995-531875	A	19950921
			WO 1996-US15115	W	19960923

OTHER SOURCE(S): MARPAT 126:305741
AB Phosphate-linked nucleoside dimers containing L-sugar in at least one of the nucleosides were prepared as antitumors. Thus, phosphate-linked dimer I was prepared and tested as antitumor agent in L1210 leukemia cells (IC50 = 0.41 nM).
IT *77180-78-0 189074-86-0*
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of phosphate-linked L-nucleoside dimers as antitumors)
RN 77180-78-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

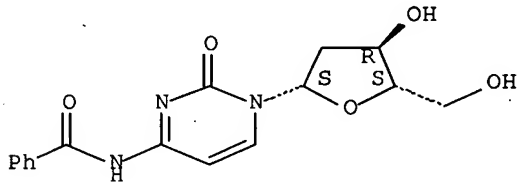
Absolute stereochemistry. Rotation (-).



RN 189074-86-0 HCAPLUS

CN Benzamide, N-[1-(2-deoxy-β-L-erythro-pentofuranosyl)-1,2-dihydro-2-oxo-4-pyrimidinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 30 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:64015 HCAPLUS Full-text

DOCUMENT NUMBER: 126:180842

TITLE: Lack of enantiospecificity of human 2'-deoxycytidine kinase: relevance for the activation of β-L-deoxycytidine analogs as antineoplastic and antiviral agents

AUTHOR(S): Verri, Annalisa; Focher, Federico; Priori, Giuseppina; Gosselin, Gilles; Imbach, Jean-Louis; Capobianco, Massimo; Garbesi, Anna; Spadari, Silvio

CORPORATE SOURCE: Istituto di Genetica Biochimica ed Evoluzionistica, Consiglio Nazionale delle Ricerche, Pavia, I-27100, Italy

SOURCE: Molecular Pharmacology (*1997*), 51(1), 132-138
CODEN: MOPMA3; ISSN: 0026-895X

PUBLISHER: Williams & Wilkins

DOCUMENT TYPE: Journal

LANGUAGE: English

AB The authors demonstrate that human 2'-deoxycytidine kinase (dCK) is a nonenantioselective enzyme because it phosphorylates β-D-2'- deoxycytidine (D-dCyd), the natural substrate, and β-L-2'- deoxycytidine (L-dCyd), its enantiomer, with the same efficiency. Kinetic studies showed that L-dCyd is a competitive inhibitor of the phosphorylation of D-dCyd with a Ki value of 0.12 μM, which is lower than the Km value for D-dCyd (1.2 μM). Chemical

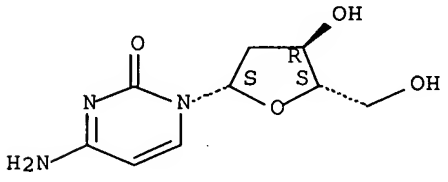
modification of either the base or the pentose ring strongly decreases the inhibitory potency of L-dCyd. L-dCyd is resistant to cytidine deaminase and competes in cell cultures with the natural D-dCyd as substrate for dCK, thus reducing the incorporation of exogenous [3H]dCyd into DNA. L-dCyd had no effect on the pool of dTTP deriving from the salvage or from the de novo synthesis, does not inhibit short term RNA and protein syntheses, and shows little or no cytotoxicity. The results indicate a catalytic similarity between human dCK and herpetic thymidine kinases, enzymes that also lack stereospecificity. This functional analogy underlines the potential role of dCK as activator of L-deoxycytidine analogs as antiviral and antineoplastic agents and lends support to the hypothesis that herpesvirus thymidine kinase might have evolved from a captured cellular dCK gene, developing the ability to phosphorylate thymidine and retaining that to phosphorylate deoxycytidine.

IT *40093-94-5*
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(lack of enantiospecificity of human 2'-deoxycytidine kinase and relevance for activation of β-L-deoxycytidine analogs as antineoplastic and antiviral agents in relation to cytidine deaminase and DNA formation and effect on cell growth)

RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

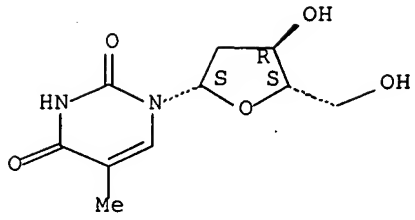


IT *3424-98-4 14365-45-8 22837-44-1*
31501-19-6 162239-35-2 166735-83-7
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(lack of enantiospecificity of human 2'-deoxycytidine kinase and relevance for activation of β-L-deoxycytidine analogs as antineoplastic and antiviral agents in relation to cytidine deaminase and DNA formation and effect on cell growth)

RN 3424-98-4 HCAPLUS

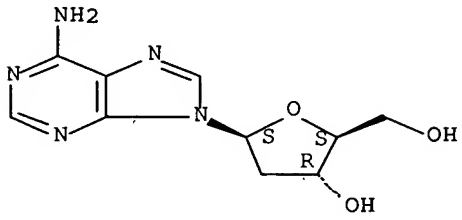
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



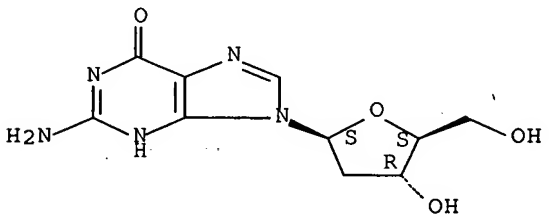
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



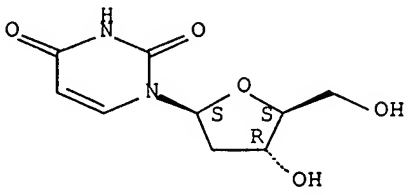
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



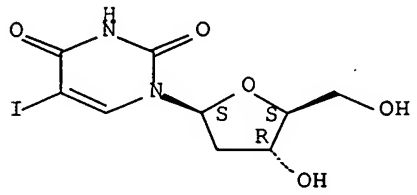
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



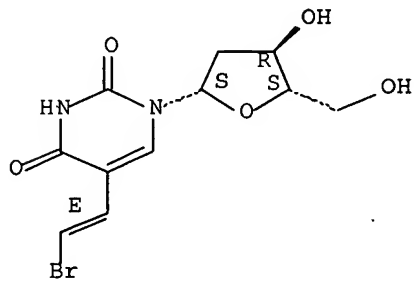
RN 162239-35-2 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 166735-83-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(1E)-2-bromoethenyl]-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



REFERENCE COUNT: 36 THERE ARE 36 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L71 ANSWER 31 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:457811 HCAPLUS Full-text
DOCUMENT NUMBER: 125:115093
TITLE: Preparation of L-ribofuranosyl nucleosides as antitumors and virucides
INVENTOR(S): Weis, Alexander L.; Goodhue, Charles T.; Shanmuganathan, Kirupathevy
PATENT ASSIGNEE(S): Genencor International, Inc., USA; Lipitek, Inc.
SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9613512 A2 19960509 WO 1995-US13716 19951024 <--
WO 9613512 A3 19970206
W: CA, JP, MX
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
US 5559101 A 19960924 US 1994-328304 19941024 <--
CA 2203672 AA 19960509 CA 1995-2203672 19951024 <--
EP 788506 A2 19970813 EP 1995-938871 19951024 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
JP 10507772 T2 19980728 JP 1995-514695 19951024 <--
PRIORITY APPLN. INFO.: US 1994-328304 A 19941024
WO 1995-US13716 W 19951024

OTHER SOURCE(S): MARPAT 125:115093

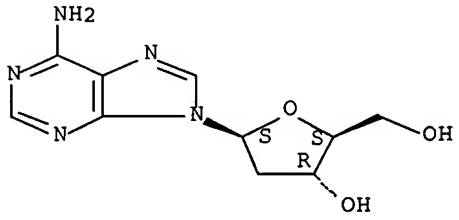
AB α And β-L-ribofuranosyl nucleosides I [B = adenine, cytosine, guanine, thymine, uracil, hypoxanthine; R = H, acyl, phosphate, SO3H; R1,R2 = H, halogen, OH, ester, (un)substituted aryl; R3,R4 = B, H, OH, acyl, phosphate] were prepared as virucides. Thus, I (B1 = uracil, cytosine, 5-fluorouracil) were prepared and tested for their antiviral and antitumor activities.

IT *14365-45-8*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of L-ribofuranosyl nucleosides as antitumors and virucides)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

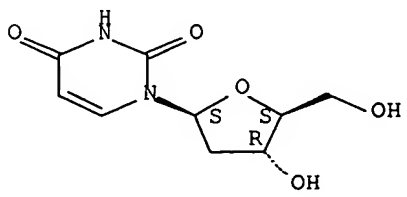


IT *31501-19-6P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of L-ribofuranosyl nucleosides as antitumors and virucides)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

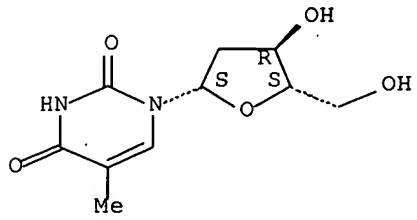


IT *3424-98-4P 40093-94-5P 77180-78-0P*
179112-85-7P 179112-93-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of L-ribofuranosyl nucleosides as antitumors and virucides)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

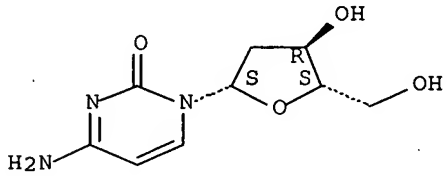
Absolute stereochemistry. Rotation (+).



RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

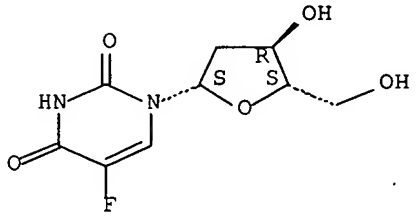
Absolute stereochemistry. Rotation (+).



RN 77180-78-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

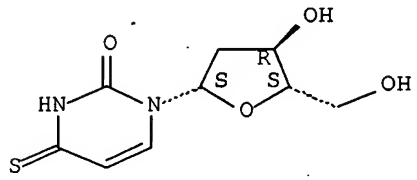
Absolute stereochemistry. Rotation (-).



RN 179112-85-7 HCAPLUS

CN 2(1H)-Pyrimidinone, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-3,4-dihydro-4-thioxo- (9CI) (CA INDEX NAME)

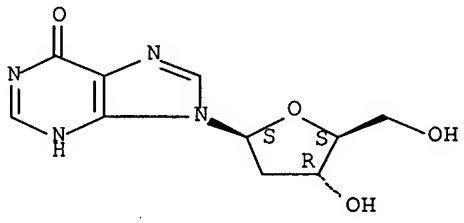
Absolute stereochemistry.



RN 179112-93-7 HCAPLUS

CN 6H-Purin-6-one, 9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 32 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1996:341254 HCAPLUS Full-text

DOCUMENT NUMBER: 125:108579

TITLE: Effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii

AUTHOR(S): el Kouni, Mahmoud H.; Naguib, Fardos N. M.; Panzica, Raymond P.; Otter, Brian A.; Chu, Shih-Hsi; Gosselin, Gilles; Chu, Chung K.; Schinazi, Raymond F.; Shealy, Y. Fulmer; et al.

CORPORATE SOURCE: Dep. Pharmacol. Toxicol., Univ. Alabama Birmingham, Birmingham, AL, 35294, USA

SOURCE: Biochemical Pharmacology (*1996*), 51(12), 1687-1700
CODEN: BCPCA6; ISSN: 0006-2952

PUBLISHER: Elsevier

DOCUMENT TYPE: Journal

LANGUAGE: English

AB One hundred and fifty analogs of uridine, with various modifications to the uracil and pentose moieties, have been tested and compared with uridine with respect to their potency to bind to uridine phosphorylase (UrdPase, EC 2.4.2.3) from Toxoplasma gondii. The effects of the α- and β-anomers, the L- and D-enantiomers, as well as restricted syn and anti rotamers, on binding were examined Pseudo-, lyxo-, 2,3'-anhydro-2'-deoxy-, 6,5'-cyclo-, 6,3'-methano-, O5',6-methano- and carbocyclic uridines did not bind to the enzyme. Ribosides bound better than the corresponding xylosides, which were better than the deoxyribosides. The binding of deoxyribosides was in the following manner: 2',3'-dideoxynucleosides > 2',5'-dideoxynucleosides > 2'-

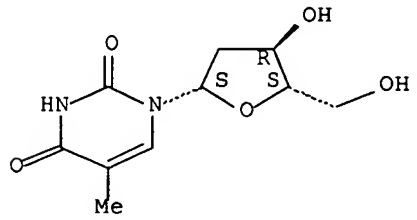
deoxyribosides > 3'- and 5'-deoxyribosides. The α-2'- deoxyribosides bound to the enzyme, albeit less tightly than the corresponding β-anomers. The acyclo- and 2,2'-anhydrouridines bound strongly, with the 2,2'-anhydro-derivs. being the better ligands. 2,5'-Anhydrouridine bound to UrdPase less effectively than 2,2'-anhydrouridine and acylouridine,. Arabinosyluracil was at best a very poor ligand, but bound better if a benzyl group was present at the 5-position of the pyrimidine ring. This binding was enhanced further by adding a 5-benzyloxybenzyl group. A similar enhancement of the binding by increased hydrophobicity at the 5-position of the pyrimidine ring was observed with ribosides, α- and β-anomers of the 2'-deoxyribosides, acyclonucleosides, and 2,2'-anhydronucleosides. Among all the compds. tested, 5-(benzyloxybenzyl)-2,2'-anhydrouridine was identified as the best ligand of T. gondii UrdPase with an apparent Ki value of 60 ± 3 nM. It is concluded that the presence of an N-glycosyl bond is a prerequisite for a nucleoside ligand to bind to T. gondii UrdPase. On the other hand, the presence of a 2'-, 3'-, or 5'-hydroxyl group, or an N-glycosyl bond in the β-configuration, enhanced but was not essential for binding. Furthermore, the potency of the binding of 2,2'-anhydrouridines (fixed high syn isomers), and the complete lack of binding of the 6,5'-cyclo, O5',6-methano- and 6,3'-methanouridines (fixed anti isomers), and the complete lack of binding of the 6,5'-cyclo, O5',6-methano- and 6,3'-methanouridines (fixed anti isomers) to T. gondii UrdPase indicate that the binding of ligands to this enzyme is in the syn/high syn conformation around the N-glycosyl bond. The results also indicate that the parasite but not the mammalian host UrdPase can participate in hydrogen bonding with N3 of the pyrimidine ring of nucleoside ligands. T. gondii UrdPase also has a larger hydrophobic pocket adjacent to the C5 of the pyrimidine moiety than the host enzyme, and can accommodate modifications in the pentose moiety which cannot be tolerated by the host enzyme. Most prominent among these modifications is the absence and/or lack of the ribo orientation of the 3'-hydroxyl group, which is a requirement for a ligand to bind to mammalian UrdPase. These differences between the parasite and host enzymes can be useful in designing specific inhibitors or subversive substrates for T. gondii UrdPase.

IT ***3424-98-4***, β-L-Thymidine ***31501-19-6***, β-L-2'-Deoxyuridine
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); PRP (Properties); BIOL (Biological study); PROC (Process)
(effects of modifications in the pentose moiety and conformational changes on the binding of nucleoside ligands to uridine phosphorylase from Toxoplasma gondii)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

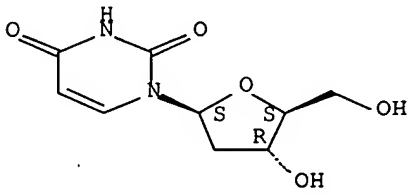
Absolute stereochemistry. Rotation (+).



RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 33 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1996:202940 HCAPLUS Full-text
DOCUMENT NUMBER: 124:343946
TITLE: Design and Synthesis of 2',3'-Dideoxy-2',3'-didehydro-β-L-cytidine (β-L-d4C) and 2',3'-Dideoxy-2',3'-didehydro-β-L-5-fluorocytidine (β-L-Fd4C), Two Exceptionally Potent Inhibitors of Human Hepatitis B Virus (HBV) and Potent Inhibitors of Human Immunodeficiency Virus (HIV) in Vitro
AUTHOR(S): Lin, Tai-Shun; Luo, Mei-Zhen; Liu, Mao-Chin; Zhu, Yong-Lian; Gullen, Elizabeth; Dutschman, Ginger E.; Cheng, Yung-Chi
CORPORATE SOURCE: School of Medicine, Yale University, New Haven, CT, 06520-8066, USA
SOURCE: Journal of Medicinal Chemistry (*1996*), 39(9), 1757-9
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Dideoxydidehydrocytidines I (R = H = F) have been synthesized and evaluated in vitro as potential anti-HBV and anti-HIV agents. The key intermediate 3',5'-dibenzoyl-2'-deoxy-β-L-uridin, which was synthesized from L-arabinose, was reacted with silylated 5-fluorouracil using trimethylsilyl trifluoromethanesulfonate as a catalyst to afford a mixture of the α and β anomers, 3',5'-dibenzoyl-2'-deoxy-α- L-5-fluorouridine and 3',5'-dibenzoyl-2'-deoxy-β-L-5-fluorouridine. I and II along with the known antiviral compds. β-D-ddC, β-D-d4C, β-L-FddC and β-L-SddC, were tested for their antiviral activities in vitro. Among these nucleoside analogs, II was found to be most active against HBV followed in decreasing activity by I; β-L-SddC; β-L-FddC. In addition, the compds. exhibiting activity against HIV in decreasing antiviral activity were: II; β-L-FddC; β-D-d4C; I; β-D-ddC; β-L-SddC. Since patients receiving long-term, anti-HBV or anti-HIV nucleoside therapy have experienced delayed toxicity, which may be linked to the drugs inhibition of mitochondrial DNA synthesis, the effect of I and II in decreasing the mitochondrial DNA content in cells upon long-term exposure to these two drugs was also studied. Both compds. showed no effect on mitochondrial DNA content of CEM cells after a 6 day exposure at 10 μM, which is a much higher concentration required to inhibit HBV in culture. To the best of our knowledge, II appears to be the most potent and selective compound against HBV

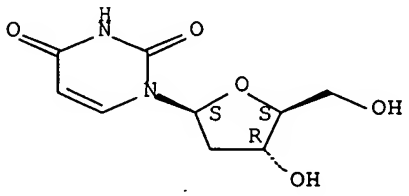
reported to date. Thus, these two compds. merit further development as potential anti-HBV and anti-HIV agents.

IT *31501-19-6P 77180-78-0P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of dideoxydidehydrocytidines)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

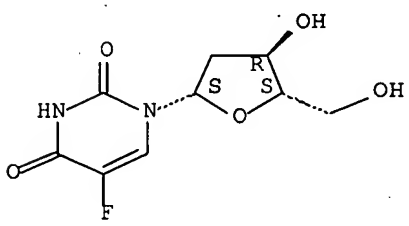
Absolute stereochemistry.



RN 77180-78-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L71 ANSWER 34 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1995:874681 HCAPLUS Full-text

DOCUMENT NUMBER: 123:286530

TITLE: synthesis of 2',3'-dideoxy-β-L-pentafuranonucleosides as virucides

INVENTOR(S): Gosselin, Gilles; Imbach, Jean-Louis; Aubertin, Anne-Marie; Sommadossi, Jean-Pierre; Schinazi, Raymond F.

PATENT ASSIGNEE(S): Center National de la Recherche-Scientifique (CNRS), Fr.

SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: French

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 9507287	A1	19950316	WO 1994-FR1066	19940909 <--
W: JP, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
FR 2709754	A1	19950317	FR 1993-10798	19930910 <--
FR 2709754	B1	19951201		
EP 717748	A1	19960626	EP 1994-926973	19940909 <--
EP 717748	B1	19971217		
R: DE, FR, GB				
US 2002120130	A1	20020829	US 2001-953187	20010914
US 2005101776	A1	20050512	US 2003-672585	20030926

PRIORITY APPLN. INFO.:	FR 1993-10798	A	19930910
	WO 1994-FR1066	W	19940909
	US 1997-612965	B1	19970729
	US 2001-953187	B1	20010914

OTHER SOURCE(S): MARPAT 123:286530

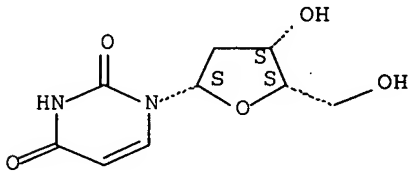
AB 2',3'-Dideoxy-β-L-pentafuanonucleosides I (R,R1 = H, OH; B = purine or pyrimidine nucleobase) were stereospecifically synthesized as virucides. Thus, I [R = R1 = H, B = cytosine, 5-fluorocytosine (II)] was prepared from L-xylose via stereoselective glycosidation of 1,2-di-O-acetyl-3,5-di-O-benzoyl-L-xylofuranose with uracil. These compds., and particularly II, showed a strong antiviral activity (ED50 = 3 x 10-7 M).

IT ***169527-96-2P 169527-98-4P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(synthesis of dideoxyblpentafuranonucleosides as virucides via stereoselective glycosidation of xylofuranose with uracil)

RN 169527-96-2 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

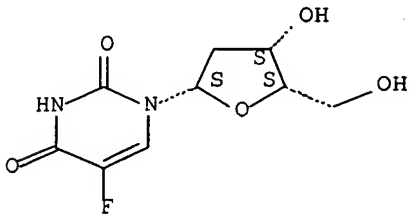
Absolute stereochemistry.



RN 169527-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-threo-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



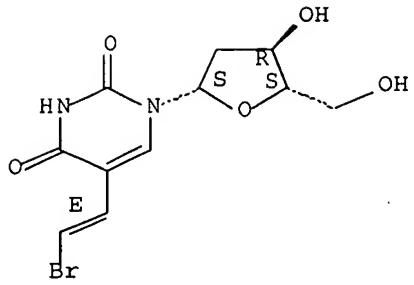
L71 ANSWER 35 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:673097 HCAPLUS Full-text
DOCUMENT NUMBER: 123:132093
TITLE: 5-Iodo-2'-deoxy-L-uridine and (E)-5-(2-bromovinyl)-2'-deoxy-L-uridine: selective phosphorylation by herpes simplex virus type 1 thymidine kinase, antiherpetic activity, and cytotoxicity studies
AUTHOR(S): Spadari, Silvio; Ciarrocchi, Giovanni; Focher, Federico; Verri, Annalisa; Maga, Giovanni; Arcamone, Federico; Iafrate, Elisabetta; Manzini, Stefano; Garbesi, Anna; et al.
CORPORATE SOURCE: Istituto Genetica Biochimica Evoluzionistica, Consigli Nazionale Ricerche, Pavia, I-27100, Italy
SOURCE: Molecular Pharmacology (*1995*), 47(6), 1231-8
CODEN: MOPMA3; ISSN: 0026-895X
PUBLISHER: Williams & Wilkins
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 5-Iodo-2'-deoxy-L-uridine (L-IdU) and (E)-5-(2-bromovinyl)2'-deoxy-L- uridine (L-BVdU) have been prepared and found to inhibit herpes simplex virus type 1 (HSV-1) thymidine kinase (TK) with activities comparable to those of their analogs with the natural D-sugar configuration. The mechanism of inhibition is purely competitive for L-IdU(Ki -0.24 μM) and mixed-type for L-BVdU (Ki = 0.13 μM). High performance liquid chromatog. anal. of the reaction products demonstrated that the viral enzyme phosphorylates both L-enantiomers to their corresponding monophosphates with efficiency comparable to that for D-enantiomers. Neither L-enantiomer inhibits the human cytosolic TK. In contrast to their D-enantiomers, L-IdU and L-BVdU have no effect on human thymidylate synthase, either in HeLa cells or in TK-deficient HeLa a cells transformed with the HSV-1 TK gene. Both L-enantiomers (i) have no effect on HeLa cell growth, (ii) are 1000-fold less cytotoxic toward TK-deficient HeLa cells transformed with the HSV-1 TK gene than are their D-enantiomers, (iii) in contrast to their D-enantiomers, are fully resistant to hydrolysis by nucleoside phosphorylase, and, (i.v.) in spite of their much lower cytotoxicity, most probably due to the very low affinity of L-BVdU monophosphate and L-IdU-monophosphate for thymidylate synthase, are only 1 or 2 orders of magnitude less potent than their D-enantiomers in inhibiting viral growth, with potency comparable to that of acyclovir.

IT *166735-83-7P*
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); RACT (Reactant or reagent); USES (Uses)
(selective phosphorylation by herpes simplex virus type 1 thymidine kinase and antiherpetic activity and cytotoxicity studies with deoxyuridine derivs.)

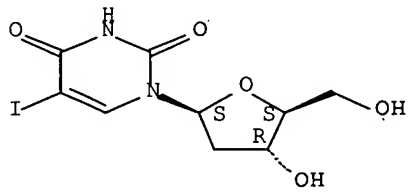
RN 166735-83-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-[(1E)-2-bromoethenyl]-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



IT *162239-35-2P*
RL: BAC (Biological activity or effector, except adverse); BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(selective phosphorylation by herpes simplex virus type 1 thymidine kinase and antiherpetic activity and cytotoxicity studies with deoxyuridine derivs.)
RN 162239-35-2 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



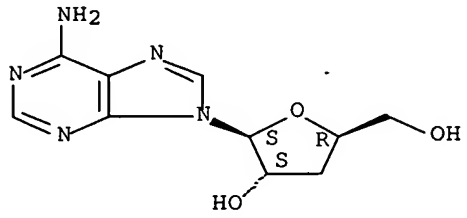
L71 ANSWER 36 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:631035 HCAPLUS Full-text
DOCUMENT NUMBER: 123:340687
TITLE: Synthesis and antiviral evaluation of 3'-deoxy-β-L-erythro-pentofuranosyl nucleosides of the five naturally occurring nucleic acid bases
AUTHOR(S): Mathe, C.; Gosselin, G.; Bergogne, M.-C.; Aubertin, A.-M.; Obert, G.; Kirn, A.; Imbach, J.-L.
CORPORATE SOURCE: Laboratoire Chimie Bio-Organique, Universite Montpellier II, Montpellier, 34095, Fr.
SOURCE: Nucleosides & Nucleotides (*1995*), 14(3-5), 549-50
CODEN: NUNUD5; ISSN: 0732-8311
PUBLISHER: Dekker
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Title compds. I (B = adenine, cytosine, guanine, thymine, uracil) were stereospecifically synthesized by glycosidation of pyrimidine and purine aglycons with a suitably peracylated 3'-deoxy-β-L-erythro- pentofuranose, followed by removal of the protecting groups. All the prepared compds. were

tested for their ability to inhibit the replication of a variety of DNA and RNA viruses (including HIV), but they did not show significant antiviral activity.

IT *170157-95-6P 170157-96-7P 170421-82-6P*
170421-83-7P 170421-84-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and antiviral evaluation of deoxy-β-L-erythropentofuranosyl nucleosides of the five naturally occurring nucleic acid bases)

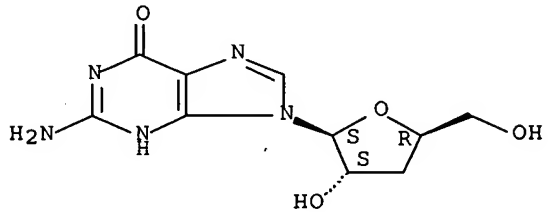
RN 170157-95-6 HCAPLUS
CN 9H-Purin-6-amine, 9-(3-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



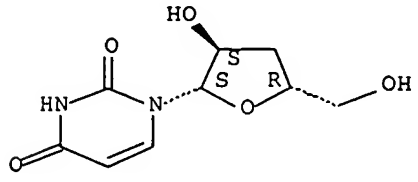
RN 170157-96-7 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(3-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



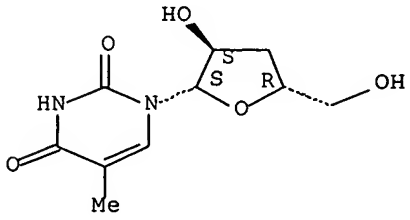
RN 170421-82-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



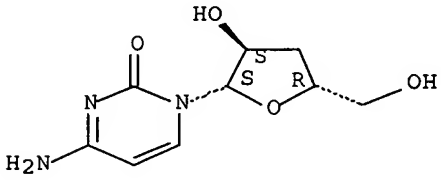
RN 170421-83-7 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(3-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 170421-84-8 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(3-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 37 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:556530 HCAPLUS Full-text
DOCUMENT NUMBER: 123:341
TITLE: Stereochemical aspects of the anti-HCMV activity of cytidine nucleoside analogs
AUTHOR(S): Mansour, T. S.; Cimpoia, A. R.; Jin, H.; Hunter, P. J.; Evans, C. A.; Tse, H. L. A.; Gillard, J. W.; Borthwick, A. D.; Knight, D. J.; Coates, J. A. V.
CORPORATE SOURCE: BioChem Therapeutic, Inc., Laval, QC, H7V 4A7, Can.
SOURCE: Antiviral Chemistry & Chemotherapy (*1995*), 6(3), 138-42
CODEN: ACCHEH; ISSN: 0956-3202
PUBLISHER: Blackwell
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The remarkable selectivity of the β-L enantiomers of 2',3'-dideoxycytidine analogs against the viral polymerases of HIV and HBV has stimulated our interest in targeting β-L enantiomers of anti-HCMV cytidine analogs. Indeed, Ara-C, FIAC and DMDC are cytidine analogs with β-D configuration that show significant potency as anti-HCMW agents but lack selectivity. β-L enantiomers have therefore been synthesized and evaluated together with four other

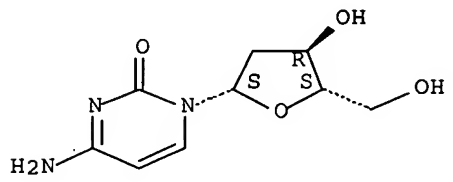
nucleoside analogs, and the β-L enantiomers were found not to be inhibitory to HCMV replication. However, the three α-L isomers, α-L-Ara-C, α-L-Xylo-C and α-L-FMAU, emerged with activity against HCMV and have provided new approaches for the treatment of viral diseases with nucleoside analogs possessing the unusual L-configuration.

IT *40093-94-5*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stereochem. aspects of the anti-HCMV activity of cytidine nucleoside analogs)

RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 38 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:448387 HCAPLUS Full-text
DOCUMENT NUMBER: 122:255520
TITLE: Search for New Purine- and Ribose-Modified Adenosine Analogs as Selective Agonists and Antagonists at Adenosine Receptors
AUTHOR(S): Siddiqi, Suhaib M.; Jacobson, Kenneth A.; Esker, John L.; Olah, Mark E.; Ji, Xiao-duo; Melman, Neli; Tiwari, Kamal N.; Secrist, John A., III; Schneller, Stewart W.; et al.
CORPORATE SOURCE: Laboratory of Bioorganic Chemistry, National Institute of Diabetes and Digestive and Kidney Diseases, Bethesda, MD, 20892-0810, USA
SOURCE: Journal of Medicinal Chemistry (*1995*), 38(7), 1174-88
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The binding affinities at rat A1, A2a, and A3 adenosine receptors of a wide range of derivs. of adenosine have been determined Sites of modification include the purine moiety (1-, 3-, and 7-deaza; halo, alkyne, and amino substitutions at the 2- and 8-positions; and N6-CH2-ring, -hydrazino, and -hydroxylamino) and the ribose moiety (2'-, 3'-, and 5'-deoxy; 2'- and 3'-O-methyl; 2'-deoxy 2'-fluoro; 6'-thio; 5'-uronamide; carbocyclic; 4'- and 3'-methyl; and inversion of configuration). (-)- And (+)-5'-noraristeromycin were 48- and 21-fold selective, resp., for A2a vs A1 receptors. 2-Chloro-6'-thioadenosine displayed a Ki value of 20 nM at A2a receptors (15-fold selective vs A1). 2-Chloroadenine-9-(β-L-2'- deoxy-6'-lyxofuranoside) displayed a Ki value of 8 μM at A1 receptors and appeared to be an antagonist, on the basis of the absence of a GTP-induced shift in binding vs a

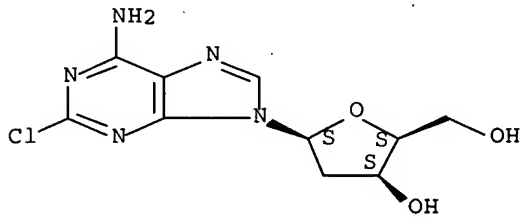
radiolabeled antagonist (8-cyclopentyl-1,3-dipropylxanthine). 2-Chloro-2'-deoxyadenosine and 2-chloroadenine-9-(β-D-6'-thioarabinoside) were putative partial agonists at A1 receptors, with Ki values of 7.4 and 5.4 μM, resp. The A2a selective agonist 2-(1-hexynyl)-5'-(N-ethylcarbamoyl)adenosine displayed a Ki value of 26 nM at A3 receptors. The 4'-Me substitution was poorly tolerated, yet when combined with other favorable modifications, potency was restored. Thus, N6-benzyl-4'-methyladenosine-5'-(N- methyluronamide) displayed a Ki value of 604 nM at A3 receptors and was 103- and 88-fold selective vs A1 and A2a receptors, resp. This compound was a full agonist in the A3-mediated inhibition of adenylate cyclase in transfected CHO cells. The carbocyclic analog of N6-(3- iodobenzyl)adenosine-5'-(N-methyluronamide) was 2-fold selective for A3 vs A1 receptors and was nearly inactive at A2a receptors.

IT *162303-28-8P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(purine- and ribose-modified adenosine analogs as selective agonists and antagonists at adenosine receptors)

RN 162303-28-8 HCAPLUS

CN 9H-Purin-6-amine, 2-chloro-9-(2-deoxy-β-L-threo-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 39 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

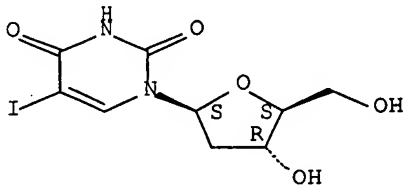
ACCESSION NUMBER:	1995:353510 HCAPLUS Full-text
DOCUMENT NUMBER:	122:240323
TITLE:	Synthesis of several pyrimidine L-nucleoside analogs as potential antiviral agents
AUTHOR(S):	Lin, Tai-Shun; Luo, Mei-Zhen; Liu, Mao-Chin
CORPORATE SOURCE:	Sch. Med., Yale Univ., New Haven, CT, 06520-8066, USA
SOURCE:	Tetrahedron (*1995*), 51(4), 1055-68 CODEN: TETRAB; ISSN: 0040-4020
PUBLISHER:	Elsevier
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB β-L-5-Iodo-2'-deoxyuridine (β-L-IUdR) and 1-[(β-L- arabinofuranosyl)-E-5-(2-bromovinyl)]uracil (β-L-BV-ara-U) have been synthesized via a multi-step synthesis from L-arabinose. Dideoxy-β-L-nucleosides, e.g. I (X = N, Y = O; X = S, Y = CH), were synthesized by direct coupling of 1-O-acetyl-5-O-(tert-butyldimethylsilyl)- 2,3-dideoxy-L-ribofuranose with the corresponding silylated bases, in the presence of EtAlCl2 in CH2Cl2, followed by separation of the α- and β-isomers and deblocking of the 5'-protecting groups. In addition, 2',3'-dideoxy-β-L-5-fluorocytidine, a potent anti-HIV and anti-HBV

agent, was synthesized by an alternative methodol. from 2',3'-dideoxy-β-L-5-fluorouridine via a 4-triazolylpyrimidinone intermediate. These L-nucleoside analogs were tested in vitro against HIV, HBV, HSV-1, and intermediate. These L-nucleoside analogs were tested in vitro against HIV, HBV, HSV-1, and HSV-2. Among these compds., 2',3'-dideoxy-β-L-5-azacytidine was found to show significant activity against HBV in vitro at approx. the same level as 2',3'-dideoxy-β-D-cytidine (ddC), which is known potent anti-HBV agent.

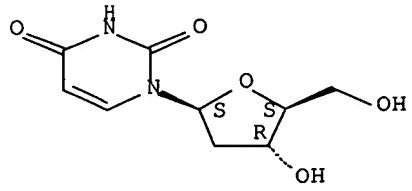
IT ***162239-35-2P***
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(synthesis and antiviral activity of of pyrimidine L-nucleoside analogs)
RN 162239-35-2 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-iodo- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT ***31501-19-6***
RL: RCT (Reactant); RACT (Reactant or reagent)
(synthesis and antiviral activity of of pyrimidine L-nucleoside analogs)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 40 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:347121 HCAPLUS Full-text
DOCUMENT NUMBER: 122:123093
TITLE: L-2-O,3-O-dideoxy nucleoside analogs as antihepatitis B (hbv) and anti-HIV agents
INVENTOR(S): Lin, Tai-Shun; Cheng, Yung-Chi
PATENT ASSIGNEE(S): Yale University, USA
SOURCE: PCT Int. Appl., 54 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9427616	A1	19941208	WO 1994-US5790	19940523 <--
W: AM, AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, GE, HU, JP, KG, KP, KR, KZ, LK, LU, LV, MD, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SI, SK, TJ, TT, UA, UZ, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5627160	A	19970506	US 1993-98650	19930728 <--
CA 2163520	AA	19941208	CA 1994-2163520	19940523 <--
AU 9470430	A1	19941220	AU 1994-70430	19940523 <--
AU 693795	B2	19980709		
EP 707481	A1	19960424	EP 1994-919207	19940523 <--
EP 707481	B1	20000816		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
JP 08510747	T2	19961112	JP 1995-500872	19940523 <--
AT 195423	E	20000915	AT 1994-919207	19940523
US 5830881	A	19981103	US 1996-724138	19960930 <--
HK 1013257	A1	20010202	HK 1998-114607	19981222
GR 3034379	T3	20001229	GR 2000-402067	20000908

PRIORITY APPLN. INFO.:

US 1993-67299	A	19930525
US 1993-98650	A	19930728
WO 1994-US5790	W	19940523
US 1995-456635	A3	19950601

OTHER SOURCE(S): MARPAT 122:123093

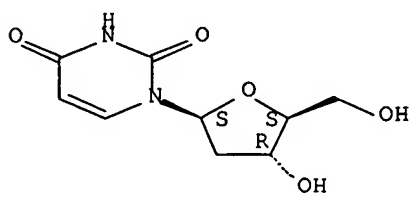
AB The present invention relates to the discovery that certain dideoxynucleoside analogs which contain a dideoxy ribofuranosyl moiety having an L-configuration (as opposed to the naturally occurring D-configuration) exhibit unexpected activity against Hepatitis B virus (HBV). In particular, the compds. according to the present invention show potent inhibition. of the replication of the virus in combination with very low toxicity to the host cells (i.e., animal or human tissue). Compds. according to the present invention exhibit primary utility as agents for inhibiting the growth or replication of HBV, HIV and other retroviruses, most preferably HBV. The compound 1-(2,3-dideoxy-beta-L- ribofuranosyl)-5-fluorocytosine is shown to be a potent anti-HIV agent with low toxicity to host cells.

IT ***31501-19-6P***
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dideoxy nucleoside analogs as antihepatitis B and anti-HIV agents)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 41 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1995:312695 HCAPLUS Full-text
DOCUMENT NUMBER: 122:123095
TITLE: Reverse transcriptase inhibitors containing 2'-deoxy-L-ribonucleoside 5'-triphosphates
INVENTOR(S): Saneyoshi, Minero; Shudo, Koichi
PATENT ASSIGNEE(S): Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 5 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

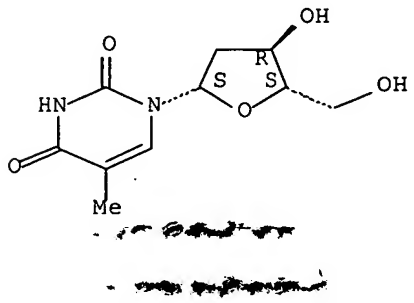
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 06293645	A2	19941021	JP 1993-83391	19930409 <--
JP 3693357	B2	20050907		
PRIORITY APPLN. INFO.:			JP 1993-83391	19930409

AB Reverse transcriptase (I) inhibitors, useful for control of retroviruses and for treatment of AIDS, etc., contain 2'-deoxy-L-ribonucleoside 5'-triphosphates as active ingredients. L-Thymidine was dissolved in tri-Et phosphate and treated with phosphorus oxychloride at 4° for 16 h to give 63% 2'-deoxy-L-thymidine 5'-monophosphate, which was stirred with carbonyldiimidazole in DMF at room temperature for 3.5 h, stirred with addition of MeOH for 30 min, and stirred with pyrophosphoric acid tributylamine salt at room temperature for 24 h to give 2'-deoxy-L-thymidine 5'-triphosphate (II). II (at 50 μM) inhibited I from human immunodeficiency virus 1 by .apprx.85%. II (at 200 μM) did not inhibit DNA polymerase α from calves.

IT *3424-98-4*, L-Thymidine
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction with tri-Et phosphate and phosphorus oxychloride; reverse transcriptase inhibitors containing deoxyribonucleoside triphosphates for control of retroviruses)

RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



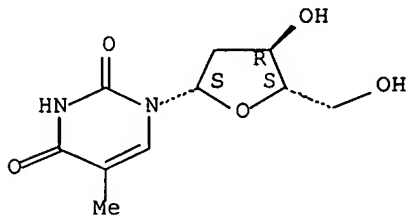
L71 ANSWER 42 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:426126 HCAPLUS Full-text

DOCUMENT NUMBER: 121:26126
TITLE: Effects of the Introduction of L-Nucleotides into DNA. Solution Structure of the Heterochiral Duplex d(G-C-G-(L)T-G-C-G)·d(C-G-C-A-C-G-C) Studied by NMR Spectroscopy
AUTHOR(S): Blommers, M. J. J.; Tondelli, L.; Garbesi, A.
CORPORATE SOURCE: Department of Physics, Ciba-Geigy A.G., Basel, CH-4002, Switz.
SOURCE: Biochemistry (*1994*), 33(25), 7886-96
CODEN: BICHAW; ISSN: 0006-2960
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effect of the substitution of a L-nucleoside for a D-nucleoside in the duplex d(G-C-G-T-G-C-G)·d(C-G-C-A-C-G-C) was studied by UV and NMR spectroscopy. These unnatural oligonucleotides have potential for antisense DNA technol. [Damha, M. J., Giannaris, P. A., & Marfey, P. (1994) Biochem. (preceding paper in this issue)]. The thermal stability of such duplexes is lower than that of the natural one and is dependent on the nucleotide type and/or sequence. Interestingly, inversion of the chirality of thymidine but not adenosine coincides with a large stabilizing enthalpy change. The structure of the heterochiral duplex d(G1-C2-G3-(L)T4-G5-C6-G7)·d(C8-G9-C10-A11-C12-G13-C14), where (L)T denotes the mirror image of the natural thymidine, has been determined by NMR spectroscopy. The sugar conformation was determined using the sum of coupling consts. and the distances using a model free relaxation matrix approach. The torsion angles of the backbone follow from 3JHH, 3JHP, and 4JHP coupling consts. The structure of the duplex was calculated by metric matrix distance geometry followed by simulated annealing. The structure is close to that of B-DNA. The base pair formed by (L)T and A is of the Watson-Crick type. All sugars adopt an S-type pucker. The incorporation of the L-sugar in the duplex is accomplished by changes in the backbone torsion angles around the phosphates and the glycosidic torsion angle of (L)T. The modification induces changes in the natural strand as well. The structure exhibits an unusual interaction between the aromatic rings of the (L)T4·A11 and G3·C12 base pairs, which provides a plausible explanation of the unusual thermodn. properties of the duplex.

IT *3424-98-4*, L-Thymidine
RL: ANST (Analytical study)
(of DNA heptamer, oligonucleotide conformation and stability and base pairing response to)
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

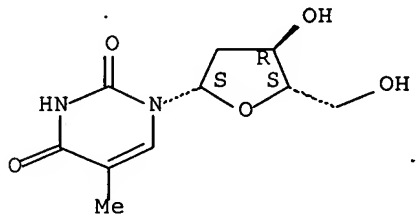
Absolute stereochemistry. Rotation (+).



L71 ANSWER 43 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:210009 HCAPLUS Full-text

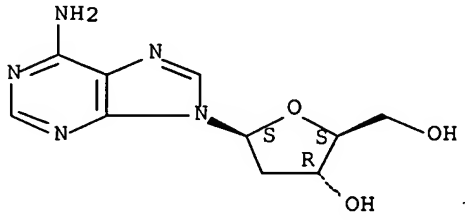
DOCUMENT NUMBER: 120:210009
TITLE: L-DNAs as potential antimessenger oligonucleotides: A reassessment. [Erratum to document cited in CA119(25):264139x]
AUTHOR(S): Garbesi, Anna; Capobianco, Massimo L.; Colonna, Francesco P.; Tondelli, Luisa; Arcamone, Federico; Manzini, Giorgio; Hilbers, Cornelis W.; Aelen, Jan M. E.; Blommers, Marcel J. J.
CORPORATE SOURCE: Ist. ICoCEA, CNR, Ozzano Emilia, I-40064, Italy
SOURCE: Nucleic Acids Research (*1993*), 21(22), 5286
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The errors were not reflected in the abstract or the index entries.
IT ***3424-98-4P 14365-45-8P 22837-44-1P 40093-94-5P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and protection of (Erratum))
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



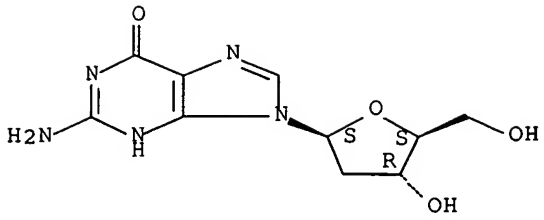
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



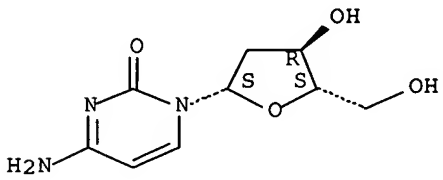
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 44 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:135058 HCAPLUS Full-text
DOCUMENT NUMBER: 120:135058
TITLE: Enantio- and meso-DNAs: preparation, characterization, and interaction with complementary nucleic acids
AUTHOR(S): Hashimoto, Yuichi; Iwanami, Naoko; Fujimori, Shizuyoshi; Shudo, Koichi
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Journal of the American Chemical Society (*1993*), 115(22), 9883-7
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Enantio-DNAs (DNA having 2-deoxy-L-erythro-pentose, the enantiomer of natural 2-deoxy-D-ribose, as the sugar backbone) and meso-DNAs (DNA having an alternating sequence of L-sugars and D-sugars) were prepared by the use of an automated DNA synthesizer. The characteristics of the products were analyzed, focusing on enantio- and meso-dodecadeoxyadenylic acids (designated as D-dA12 and LD-dA12, resp.). Both L-dA12 and LD-dA12 were resistant to the action of phosphodiesterases, though LD-dA12 was decomposed very slowly by snake venom phosphodiesterase. The affinity of these dodecamers for their complementary natural nucleic acids, poly(U) and poly(dT), was analyzer by the UV-mixing curve and melting-temperature measurement methods. Both L-dA12 and LD-dA12 showed affinity for their complementary nucleic acids. L-DA12 showed high selectivity for poly(U) over poly(dT), and a UV-mixing curve anal. suggested that the interaction mode was triplex formation. LD-DA12 showed moderate selectivity for poly(U) over poly(dT). L-DT12, the counterpart of L-dA12, did not show any detectable interaction with its complementary natural nucleic acid.

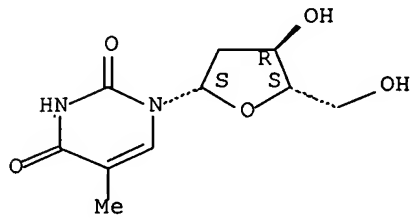
IT *3424-98-4 14365-45-8 22837-44-1 40093-94-5*

RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in synthesis of DNA triplexes)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

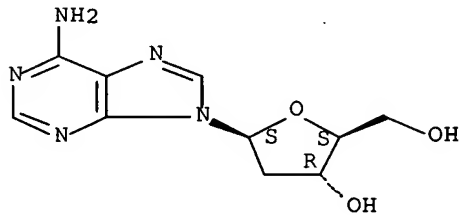
Absolute stereochemistry. Rotation (+).



RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

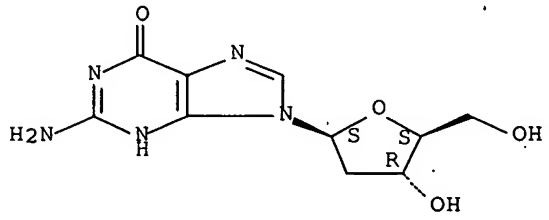
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

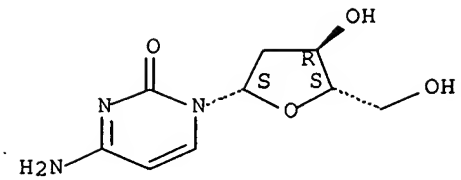
Absolute stereochemistry.



RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

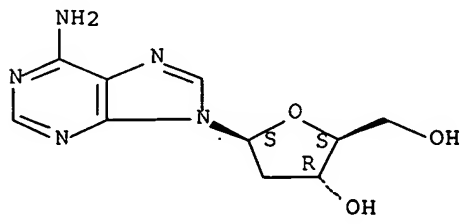


L71 ANSWER 45 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1994:3321 HCAPLUS Full-text
DOCUMENT NUMBER: 120:3321
TITLE: Lack of stereospecificity of suid pseudorabies virus thymidine kinase
AUTHOR(S): Maga, Giovanni; Verri, Annalisa; Bonizzi, Luigi; Ponti, Wilma; Poli, Giorgio; Garbesi, Anna; Niccolai, Daniela; Spadari, Silvio; Focher, Federico
CORPORATE SOURCE: Ist. Genet. Biochim. Evol., CNR, Pavia, I-27100, Italy
SOURCE: Biochemical Journal (*1993*), 294(2), 381-5
CODEN: BIJOAK; ISSN: 0306-3275
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have partially purified suid pseudorabies virus (PRV) thymidine kinase from infected thymidine kinase- mouse cells, and cytosolic swine thymidine kinase, unlike the host enzyme, shows no stereospecificity for D- and L-β-nucleosides. In vitro, unnatural L-enantiomers, except L-deoxycytidine, function as specific inhibitors for the viral enzyme in the order: L-thymidine » L-deoxyguanosine > L-deoxyuridine > L-deoxyadenosine. Contrary to human and swine thymidine kinases and like herpes simplex virus-1 and -2 thymidine kinases, PRV thymidine kinase phosphorylates both the natural (D-) and the unnatural (L-) thymidine enantiomers to their corresponding monophosphates with comparable efficiency. The kinetic parameters Vmax/Km for D- and L-thymidine are 3.7 and 2.3 resp. These results demonstrate that the lack of stereospecificity might be a common feature of the thymidine kinases that are encoded by human and animal herpes viruses. These observations could lead of the development of a novel class of antiviral drugs.

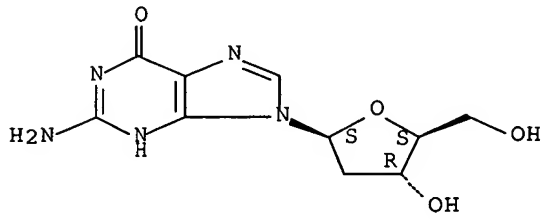
IT *14365-45-8 22837-44-1 31501-19-6*
RL: BIOL (Biological study)
(thymidine kinase of suid pseudorabies virus inhibition by)
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



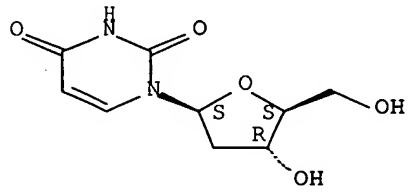
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



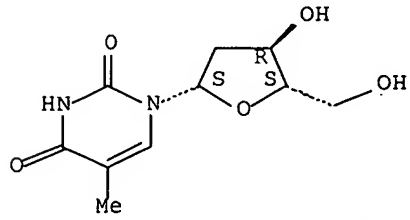
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *3424-98-4*, L-Thymidine
RL: BIOL (Biological study)
(thymidine kinase of suid pseudorabies virus inhibition by, kinetics of)
RN 3424-98-4 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



[redacted]

L71 ANSWER 46 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:664139 HCAPLUS Full-text
DOCUMENT NUMBER: 119:264139

TITLE: L-DNAs as potential antimessenger oligonucleotides: A reassessment

AUTHOR(S): Garbesi, Anna; Capobianco, Massimo L.; Colonna, Francesco P.; Tondelli, Luisa; Arcamone, Federico; Manzini, Giorgio; Hilbers, Cornelis W.; Aelen, Jan M. E.; Blommers, Marcel J. J.

CORPORATE SOURCE: Ist. ICoCEA, CNR, Ozzano Emilia, I-40064, Italy

SOURCE: Nucleic Acids Research (*1993*), 21(18), 4159-65
CODEN: NARHAD; ISSN: 0305-1048

DOCUMENT TYPE: Journal

LANGUAGE: English

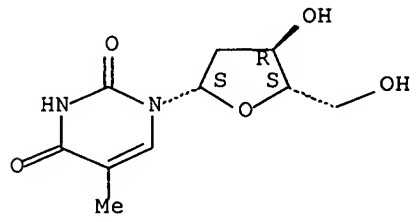
AB Unnatural L-2'-deoxyribonucleosides L-T, L-dC, L-dA and L-dG were prepared from L-arabinose and assembled, by solution or solid phase synthesis, to give L-oligonucleotides (L-DNAs), which contain all four natural bases. The affinity of these modified oligomers for complementary D-ribo- and D-deoxyribo-oligomers was studied with NMR, UV and CD spectroscopies and mobility shift assay on native PAGE. All exptl. results indicate that L-DNAs do not, in general, recognize single-stranded, natural DNA and RNA. Hence, contrary to previous suggestions, it is not possible to envisage their use as wide scope antimessenger agents in the selective control of gene expression.

IT ***3424-98-4P 14365-45-8P 22837-44-1P 40093-94-5P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and protection of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

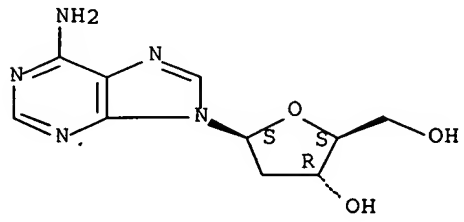
Absolute stereochemistry. Rotation (+).



RN 14365-45-8 HCAPLUS

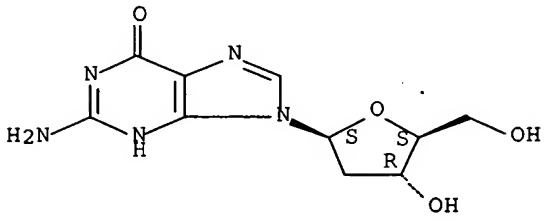
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



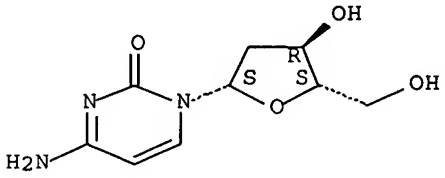
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 47 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1993:39329 HCAPLUS Full-text
DOCUMENT NUMBER: 118:39329
TITLE: Modeling, synthesis, and hybridization properties of (L)-ribonucleic acid
AUTHOR(S): Ashley, Gary W.
CORPORATE SOURCE: Dep. Chem., Northwestern Univ., Evanston, IL, 60208, USA
SOURCE: Journal of the American Chemical Society (*1992*), 114(25), 9731-6
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Calcns. suggest that nucleic acids based on (L)-ribose may hybridize with natural configuration DNA and RNA if a loosely wound, parallel-stranded A-like conformation can be adopted. The tendency of RNA to adopt A-form helices suggest that (L)-nucleic acids may bind more tightly to natural RNA than to natural DNA. Oligomers of (L)-dU and (L)-rU were synthesized to test these models. Neither [(L)-dU]12 nor [(L)-rU]12 mixed with natural poly(dA) shows hyperchromicity indicative of hybridization, nor does a mixture of [(L)-du]12 with poly(rA). Hybridization is observed between[(L)-dU]20 and poly(rA) (Tm = 31° in 10 mM Mg2+), although this is substantially weaker than that observed between [(D)-dU]20 and poly(rA) (Tm = 42° in 10 mM Mg2+). Mixts. of [(L)-rU]12 and poly(rA) show strong hybridization (Tm = 38° in 1.0 mM NaCl), comparable to that observed between [(D)-rU]12 and poly(rA) (Tm = 40° in 1.0

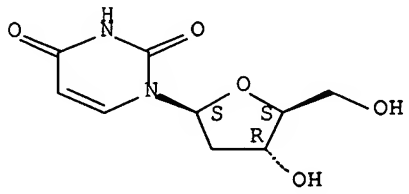
mM NaCl). Both RNA:RNA systems form triplex structures. (L)-RNA is resistant to both purified RNase A and total cell exts. of L-cells.

IT *31501-19-6*
RL: RCT (Reactant); RACT (Reactant or reagent)
(dimethoxytritylation of, in preparation of homooligonucleotides)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 48 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:634462 HCAPLUS Full-text
DOCUMENT NUMBER: 117:234462
TITLE: Virucidal L-2-deoxyuridines
INVENTOR(S): Iotti, Stefano; Colonna, Francesco Paolo; Garbesi, Anna Maria; Spadari, Silvio; Focher, Federico; Ciarrocchi, Giovanni; Arcamone, Federico
PATENT ASSIGNEE(S): Consiglio Nazionale delle Ricerche, Italy; Menarini Ricerche Sud S.p.A.
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9208727	A1	19920529	WO 1991-EP2134		19911109 <--
W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MC, MG, MN, MW, NO, PL, RO, SD, SU, US					
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, ML, MR, NL, SE, SN, TD, TG					
AU 9189232	A1	19920611	AU 1991-89232		19911109 <--
PRIORITY APPLN. INFO.:			IT 1990-22032	A	19901113
			WO 1991-EP2134	A	19911109

OTHER SOURCE(S): MARPAT 117:234462

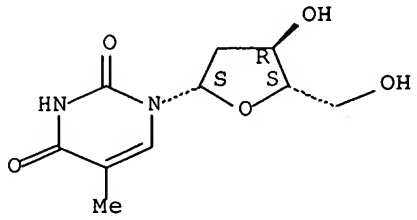
AB L-2'-Deoxyuridines I (R = H, Me, CH2OH, CH2OEt, CH:CHBr; R1 = F, OH, N3) were prepared as virucides. Thus, I (R = H, R1 = OH) treated with CH2O in aq.KOH followed by acid ethanolysis and Pd-catalyzed hydrogenation, gave I (R = Me, R1 = OH; II). II selectively inhibits the phosphorylation of D-thymidine by Herpes-simplex virus-1 thymidine kinase (TK), but not by human TK.

IT *3424-98-4P*, L-Thymidine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antiviral activity of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



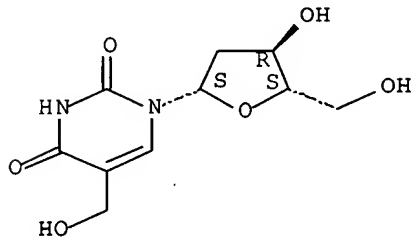
IT *143156-50-7P 143156-51-8P 143234-85-9P*

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 143156-50-7 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-(hydroxymethyl)- (9CI) (CA INDEX NAME)

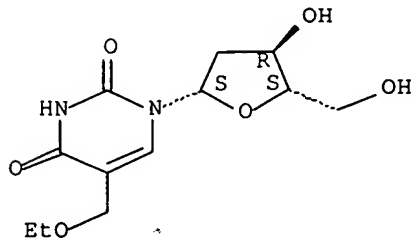
Absolute stereochemistry.



RN 143156-51-8 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-(ethoxymethyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

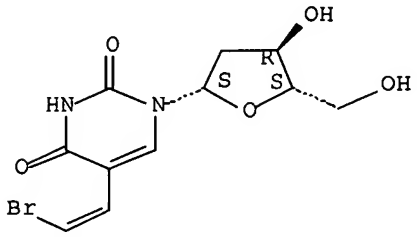


RN 143234-85-9 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 5-(2-bromoethenyl)-1-(2-deoxy-β-L-erythro-

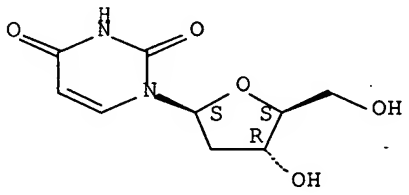
pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry unknown.



IT *31501-19-6*
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in synthesis of L-thymidine)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 49 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:625779 HCAPLUS Full-text
DOCUMENT NUMBER: 117:225779
TITLE: Synthesis and properties of mirror-image DNA
AUTHOR(S): Urata, Hidehito; Ogura, Emiko; Shinohara, Keiko; Ueda, Yoshiaki; Akagi, Masao
CORPORATE SOURCE: Osaka Univ. Pharm. Sci., Matsubara, 580, Japan
SOURCE: Nucleic Acids Research (*1992*), 20(13), 3325-32
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The authors have investigated the conformations of the hexadeoxyribonucleotide, L-d(CGCGCG) composed of L-deoxyribose, the mirror image mol. of natural D-deoxyribose. The synthesis of 4 L-deoxynucleosides and the L-oligonucleotide-ethidium bromide interactions are reported. The L-deoxyribose synthon I was synthesized from L-arabinose with an over all yield of 28.5% via the Barton-McCombie reaction. The L-deoxynucleosides were obtained by a glycosylation of appropriate nucleobase derivs. with I. After derivatization to nucleoside phosphoramidites, L-deoxycytidine and L-deoxyguanosine were incorporated into a hexadeoxynucleotide, L-d(CGCGCG) by a solid-phase β-cyanoethylphosphoramidite method. This L-hexanucleotide was

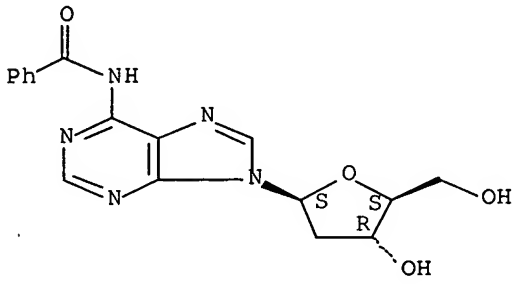
resistant to digestion with nuclease P1. The conformations of L-d(CGCGCG)-ethidium bromide complex were also the mirror images of those of the D-d(CGCGCG)-ethidium bromide complex under both low and high salt conditions. These results suggest that ethidium bromide prefers not a right-handed helical sense, but the base-base stacking geometry of the B-form rather than that of the Z-form. Thus, L-DNA would be a useful tool for studying DNA-drug interactions.

IT *137157-40-5P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and tritylation of)

RN 137157-40-5 HCAPLUS

CN Benzamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-9H-purin-6-yl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

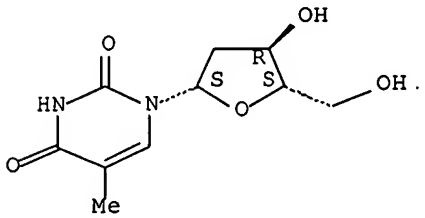


IT *3424-98-4P*, L-Thymidine *22837-44-1P 144396-40-7P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in preparation of mirror image DNA)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

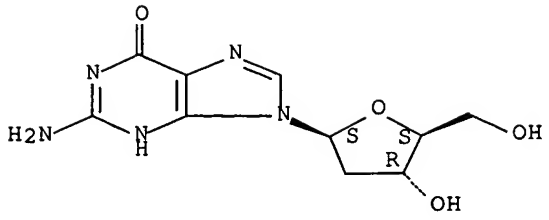
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

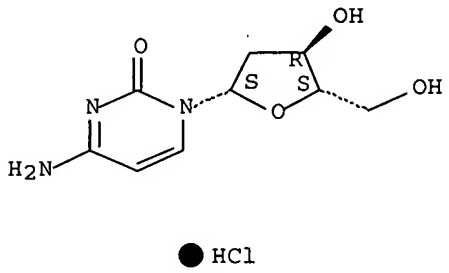
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 144396-40-7 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 50 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:607766 HCAPLUS Full-text
DOCUMENT NUMBER: 117:207766
TITLE: L-Thymidine is phosphorylated by herpes simplex virus type 1 thymidine kinase and inhibits viral growth
AUTHOR(S): Spadari, Silvio; Maga, Giovanni; Focher, Federico; Ciarrocchi, Giovanni; Manservigi, Roberto; Arcamone, Federico; Capobianco, Massimo; Carcuro, Antonio; Colonna, Francesco; et al.
CORPORATE SOURCE: Ist. Genet. Biochim. Evol., CNR, Pavia, Italy
SOURCE: Journal of Medicinal Chemistry (*1992*), 35(22), 4214-20
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB It has been demonstrated that herpes simplex virus 1 (HSV1) thymidine kinase (TK) shows no stereospecificity for D- and L-β-nucleosides. In vitro, L enantiomers are not recognized by human TK, but function as specific substrates for the viral enzyme in the order: L-thymidine (L-T) » 2'-deoxy-L-guanosine (L-dG) > 2'-deoxy-L-uridine (L-dU) > 2'-deoxy-L-cytidine (L-dC) > 2'-deoxy-L-adenosine (L-dA). Here, HSV1 TK phosphorylated both thymidine enantiomers to their corresponding monophospates with identical efficiency and the Ki of L-T (2 μM) was almost identical to the Km for the natural substrate D-T (2.8 μM). The L enantiomer reduced the incorporation of exogenous [3H]T into cellular DNA in HeLa TK-/HSV1 TK+ but not in wild-type HeLa cells, without affecting RNA, protein synthesis, cell growth, and viability. L-T markedly reduced HSV1 multiplication in HeLa cells. These observations could

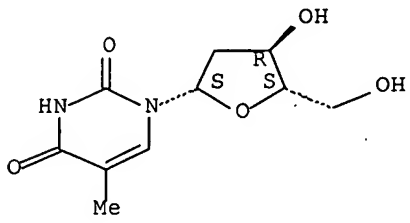
lead to the development of a novel class of antiviral drugs characterized by low toxicity.

IT *3424-98-4*, L-Thymidine
RL: BIOL (Biological study)
(phosphorylation of, by thymidine kinase of herpes simplex virus 1, viral growth inhibition in relation to)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

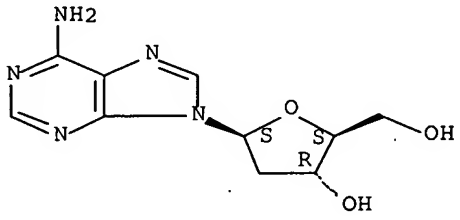


IT *14365-45-8P 22837-44-1P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and thymidine kinase of herpes simplex virus 1 specificity for)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

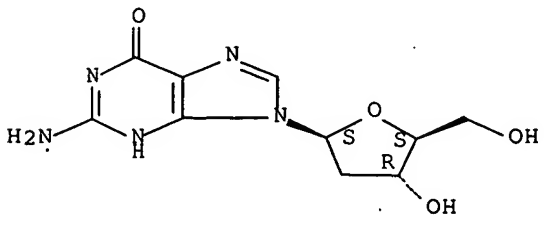
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

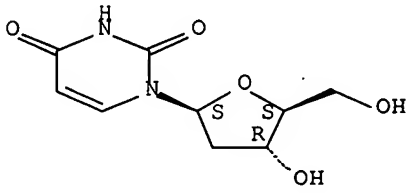
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



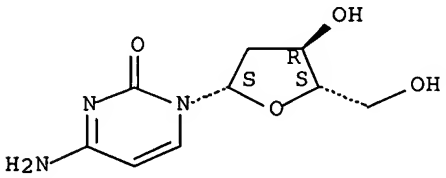
IT *31501-19-6*, 2'-Deoxy-L-uridine *40093-94-5*,
2'-Deoxy-L-cytidine
RL: BIOL (Biological study)
(thymidine kinase of herpes simplex virus 1 specificity for)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 51 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:511955 HCAPLUS Full-text
DOCUMENT NUMBER: 117:111955
TITLE: A convenient and stereoselective synthesis of 2'-deoxy-β-L-ribonucleosides
AUTHOR(S): Fujimori, Shizuyoshi; Iwanami, Naoko; Hashimoto, Yuichi; Shudo, Koichi
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Nucleosides & Nucleotides (*1992*), 11(2-4), 341-9
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 117:111955

AB 2'-Deoxy-β-L-ribonucleosides containing usual bases which are useful as synthons for modified oligodeoxyribonucleotides, were conveniently synthesized by a stereoselective glycosidation of 1-chloro-2-deoxy-3,5-di- O-p-toluoyl-α-

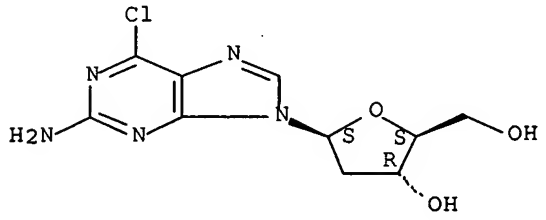
L-erythro-pentofuranose with nucleoside bases. The method is suitable for large-scale prepns.

IT *141771-78-0P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and hydrolysis of)

RN 141771-78-0 HCAPLUS

CN 9H-Purin-2-amine, 6-chloro-9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

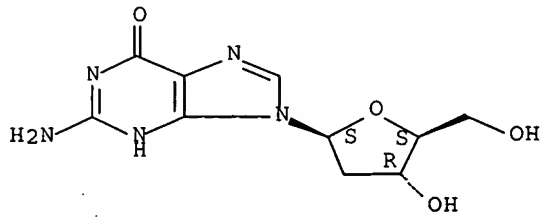


IT *22837-44-1P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and partial etherification of)

RN 22837-44-1 HCAPLUS

CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

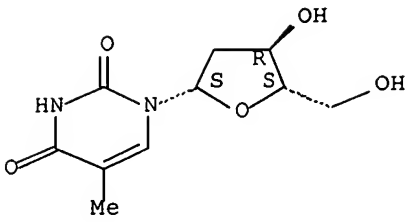


IT *3424-98-4P 14365-45-8P 40093-94-5P*
141771-79-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 3424-98-4 HCAPLUS

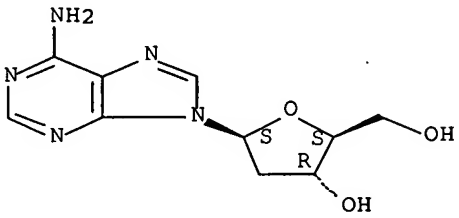
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



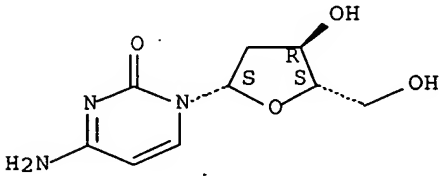
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



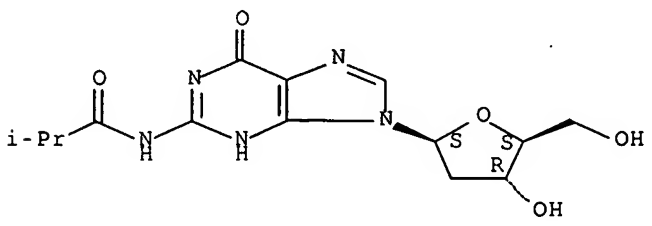
RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 141771-79-1 HCAPLUS
CN Propanamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 52 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1992:427055 HCAPLUS Full-text
DOCUMENT NUMBER: 117:27055
TITLE: Preparation of antisense L- and DL-oligodeoxyribonucleotides
INVENTOR(S): Shudo, Koichi; Hashimoto, Yuichi; Fujimori, Shizuyoshi
PATENT ASSIGNEE(S): Japan
SOURCE: PCT Int. Appl., 61 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9201704	A1	19920206	WO 1991-JP1007		19910726 <--
W: AU, CA, JP, US					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE					
CA 2083445	AA	19920127	CA 1991-2083485		19910726 <--
AU 9182246	A1	19920218	AU 1991-82246		19910726 <--
EP 540742	A1	19930512	EP 1991-913310		19910726 <--
R: CH, DE, ES, FR, GB, IT, LI, NL					
JP 3119871	B2	20001225	JP 1991-512383		19910726
PRIORITY APPLN. INFO.:			JP 1990-198123	A	19900726
			WO 1991-JP1007	A	19910726

OTHER SOURCE(S): MARPAT 117:27055

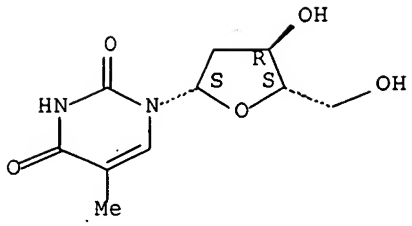
AB The title oligodeoxynucleotides, having 2-deoxy-β-L-erythro- pentofuranose-containing L-nucleosides and/or alternately natural D-nucleosides linked with each other through 3' → 5' phosphodiester linkages, are prepared by the solid phase method using L-nucleoside phosphoramidites [I; B = (un)protected nucleic acid base; R = cyanoethyl, alkyl; X = (un)protected amino; Y = OH-protecting group] (preparation given). The oligodeoxynucleotide combines specifically with a natural oligonucleotide, RNA, or DNA having a complementary base sequence and is useful as an antisense DNA having an activity of inhibiting gene expression and as a virucide. Thus, (L-dA)6, (L-dX)12 (X = A, T, C, G), L-AATACTCATACTCTTC, and (DL-dA)12 were prepared by the solid phase method. (DL-DA)12 was hardly hydrolyzed by bovine and snake venom phosphoesterase in 40 min. PolyU-(DL-dA)12 and polyU-(L-dA)12 complexes showed melting temps. (Tm) of 6.5° and (53.5 and 68.5°), resp., vs. 72.5° for polyU-(D-dA)12 complex.

IT ***3424-98-4P 22837-44-1P 40093-94-5P 141771-78-0P 141771-79-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, as intermediate for antisense L- and DL-oligodeoxyribonucleotides)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

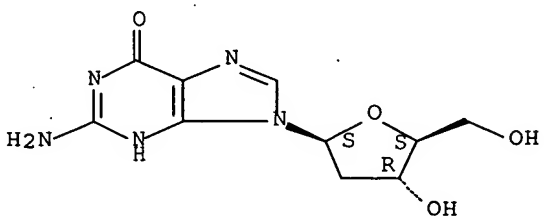
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

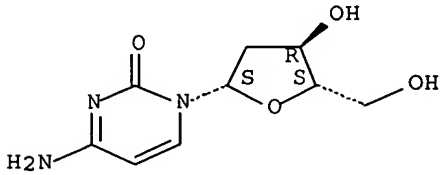
Absolute stereochemistry.



RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

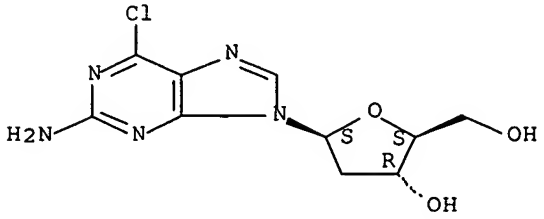
Absolute stereochemistry. Rotation (+).



RN 141771-78-0 HCAPLUS

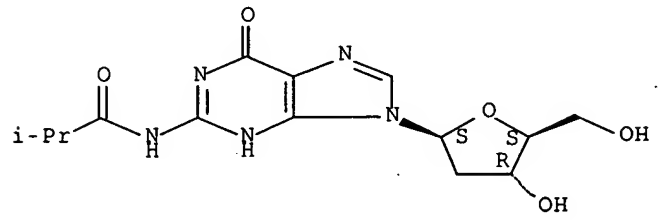
CN 9H-Purin-2-amine, 6-chloro-9-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



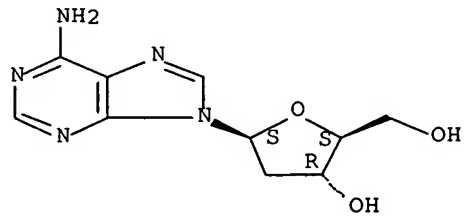
RN 141771-79-1 HCAPLUS
CN Propanamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-6,9-dihydro-6-oxo-1H-purin-2-yl]-2-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT *14365-45-8*
RL: RCT (Reactant); RACT (Reactant or reagent)
(reaction of, in preparation of antisense L- and DL-oligodeoxyribonucleotides)
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 53 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:656552 HCAPLUS Full-text
DOCUMENT NUMBER: 115:256552
TITLE: Synthesis and physicochemical properties of oligonucleotides built with either α-L of β-L nucleotides units and covalently linked to an acridine derivative
AUTHOR(S): Asseline, Ulysse; Hau, Jean Francois; Czernecki, Stanislas; Le Diguarher, Thierry; Perlat, Marie Claude; Valery, Jean Marc; Nguyen, Thanh Thuong
CORPORATE SOURCE: Cent. Biophys. Mol., CNRS, Orleans, 45071, Fr.
SOURCE: Nucleic Acids Research (*1991*), 19(15), 4067-74
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English

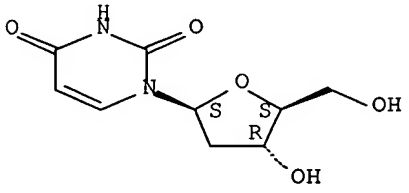
AB Modified deoxynucleosides 2'-deoxy-β-L-uridine, β-L- and α-L-thymidine, 2'-deoxy-β-L- and 2'-deoxy-α-L-adenosine, were synthesized and assembled as homooligomers, resp.: octa-β-L-deoxyuridylates, octa-β- and α-L-thymidylates, and tetra-β- and α-L-deoxyadenylates. These unnatural oligomers were then substituted with an acridine derivative The binding studies of these modified oligonucleotides with D-ribo- and D-deoxyribopolynucleotides were carried out by absorption spectroscopy. While β-L-d(Up)8m5Acr, β-L-(Tp)8m5Acr, α-L-(Tp)8m5Acr (m = CH2) did not interact with poly(rA) and poly(dA), β-L-d(Ap)4m5Acr and α-L-d(Ap)4m5Acr did form double and triple helices with poly(rU) and poly(dT), resp. Their stability towards nuclease digestion was studied through comparison with that of octa-β-D-thymidylate and tetra β-D-deoxyadenylate covalently linked to linked to an acridine derivative β-L- And α-L-oligomers demonstrate a high resistant toward nuclease digestion.

IT *31501-19-6*
RL: RCT (Reactant); RACT (Reactant or reagent)
(methylation of)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

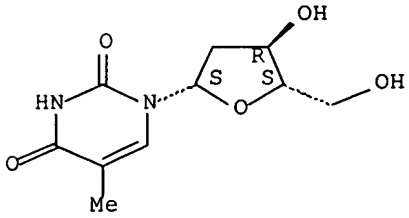


IT *3424-98-4P*
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation and phosphitylation of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

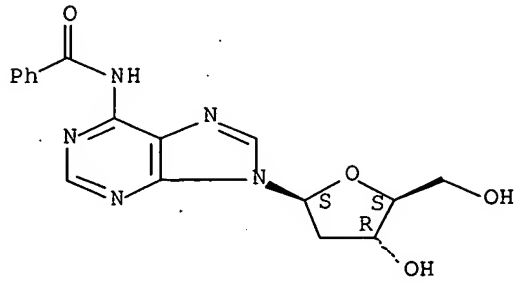


IT *137157-40-5P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, in synthesis of oligodeoxynucleotides)

RN 137157-40-5 HCAPLUS

CN Benzamide, N-[9-(2-deoxy-β-L-erythro-pentofuranosyl)-9H-purin-6-yl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 54 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:608454 HCAPLUS Full-text
DOCUMENT NUMBER: 115:208454
TITLE: Mirror-image DNA
AUTHOR(S): Urata, Hidehito; Shinohara, Keiko; Ogura, Emiko; Ueda, Yoshiaki; Akagi, Masao
CORPORATE SOURCE: Osaka Univ. Pharm. Sci., Matsubara, 580, Japan
SOURCE: Journal of the American Chemical Society (*1991*), 113(21), 8174-5
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

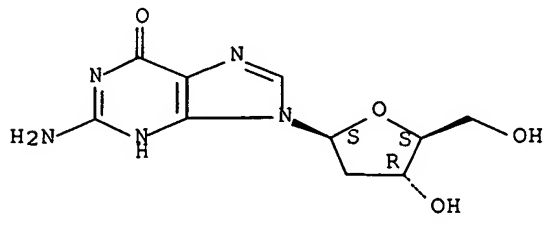
AB The hexadeoxyribonucleotide, L-d(CGCGCG) composed of L-deoxyribose, a mirror image mol. of natural D-deoxyribose was synthesized and its conformation was compared with that of the natural D-d(CGCGCG). The L-hexamer showed the same in magnitude but opposite in sign at each wavelength on CD (CD) spectra with the corresponding natural D-hexamer under both low and high salt conditions. The L-hexamer thus adopts a left-handed B-form under low salt conditions and a right-handed Z-form under high salt conditions. Both hexamers showed some identical dynamic properties in salt titration and temperature dependence expts. The higher-order structures of L-DNA are thus also exact mirror images of those of natural D-DNA.

IT ***22837-44-1P 40093-94-5P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to hexadeoxyribonucleotide)

RN 22837-44-1 HCAPLUS

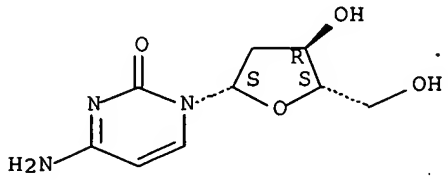
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



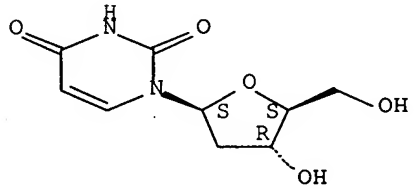
RN 40093-94-5 HCAPLUS
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT *31501-19-6P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and conversion of, to L-deoxycytidine)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-
(9CI) (CA INDEX NAME)

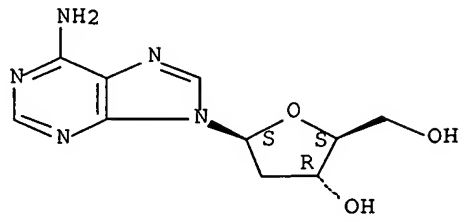
Absolute stereochemistry.



L71 ANSWER 55 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:444401 HCAPLUS Full-text
DOCUMENT NUMBER: 115:44401
TITLE: Enantio-oligodeoxyribonucleotides
AUTHOR(S): Fujimori, Shizuyoshi; Shudo, Koichi; Hashimoto, Yuichi
CORPORATE SOURCE: Fac. Pharm. Sci., Univ. Tokyo, Tokyo, 113, Japan
SOURCE: Nucleic Acids Symposium Series (*1990*),
22(Symp. Nucleic Acids Technol., 1990), 97-8
CODEN: NACSD8; ISSN: 0261-3166
DOCUMENT TYPE: Journal
LANGUAGE: English
AB As a sugar-modified oligonucleotide, a hexamer of 9-(2-deoxy-β-L- erythro-pentafuranosyl)-9H-purin-6-amine, [L-(dAp)5dA], was synthesized by the triester method. The L-hexamer was resistant to bovine spleen phosphodiesterase. UV absorption studies indicated that L-hexamer formed a complex with poly U but not with poly dT at 0°. It was assumed that enantio-DNA's possess the ability to distinguish complementary RNA from DNA.
IT *14365-45-8*
RL: BIOL (Biological study)
(poly(U)-binding specificity of)
RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 56 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1991:62614 HCAPLUS Full-text
DOCUMENT NUMBER: 114:62614
TITLE: Sugar modified oligonucleotides. III. (1). Synthesis, nuclease resistance and base pairing properties of α- and β-L-octathymidylates
AUTHOR(S): Morvan, Francois; Genu, Corinne; Rayner, Bernard; Gosselin, Gilles; Imbach, Jean Louis
CORPORATE SOURCE: Lab. Chim. Bio-Org., Univ. Montpellier II, Montpellier, 34095, Fr.
SOURCE: Biochemical and Biophysical Research Communications (*1990*), 172(2), 537-43
CODEN: BBRCA9; ISSN: 0006-291X
DOCUMENT TYPE: Journal
LANGUAGE: English

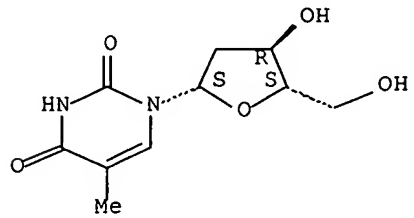
AB Two unnatural L-oligodeoxyribonucleotides, namely α- and β-dT8pO(CH2)3OH, have been synthesized. These oligomers are resistant towards nuclease degradation They do not show any UV detectable base pairing with β-D-dA8 and poly rA.

IT *3424-98-4*
RL: RCT (Reactant); RACT (Reactant or reagent)
(dimethoxytritylation of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 57 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:488165 HCAPLUS Full-text

DOCUMENT NUMBER: 103:88165
TITLE: Preparation and characterization of oligonucleotides of D- and L-2'-deoxyuridine
AUTHOR(S): Anderson, David J.; Reischer, Robert J.; Taylor, Arlen J.; Wechter, William J.
CORPORATE SOURCE: Hypersensitivity Dis. Res., Upjohn Co., Kalamazoo, MI, 49001, USA
SOURCE: Nucleosides & Nucleotides (*1984*), 3(5), 499-512
CODEN: NUNUD5; ISSN: 0732-8311
DOCUMENT TYPE: Journal
LANGUAGE: English

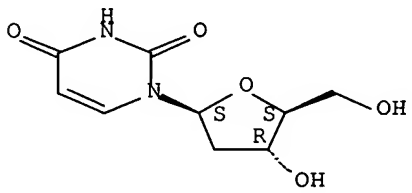
AB Oligonucleotides up to 18-mer of 2'-deoxyuridine containing both the natural D-2'-deoxyribose and unnatural L-2'-deoxyribose were prepared by the modified triester approach. The compds. were characterized by HPLC.

IT *31501-19-6P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of, intermediate in synthesis of oligonucleotide)

RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 58 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1985:404060 HCAPLUS Full-text
DOCUMENT NUMBER: 103:4060
TITLE: Metabolic phosphorylation and excretion of some nucleoside analogs in insects
AUTHOR(S): Holy, Antonin; Rosenberg, Ivan; Votruba, Ivan; Slama, Karel
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 16610, Czech.
SOURCE: Biological Chemistry Hoppe-Seyler (*1985*), 366(4), 355-9
CODEN: BCHSEI; ISSN: 0177-3593
DOCUMENT TYPE: Journal
LANGUAGE: English

AB After oral administration to the hemipteran insect Pyrrhocoris apterus, the L-enantiomers and certain open-chain analogs of nucleosides are rapidly converted into the corresponding monophosphates, which are then excreted. This metabolic phosphorylation is almost quant.; it occurs at the primary as well as the secondary OH groups. The process is abolished when the resp. nucleoside analogs contain a free carboxylic group. By contrast, the phosphorylating capacity is unaffected by structural variations at the heterocyclic base. This phosphorylation and excretion may represent a part of the detoxication mechanism for nucleoside analogs.

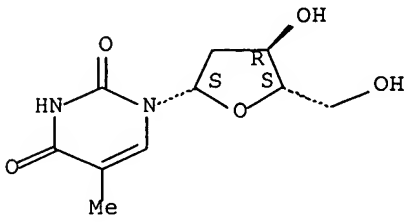
IT *3424-98-4 31501-19-6 40093-94-5*

RL: PROC (Process)
(phosphorylation and excretion of, by bug)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

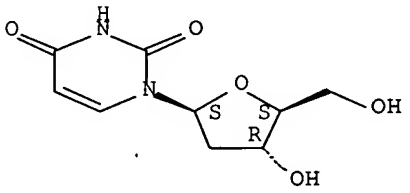
Absolute stereochemistry. Rotation (+).



RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

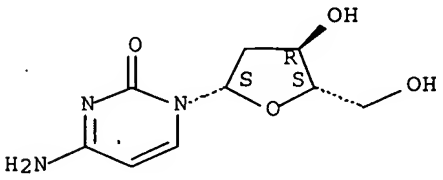
Absolute stereochemistry.



RN 40093-94-5 HCAPLUS

CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 59 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1984:418666 HCAPLUS Full-text

DOCUMENT NUMBER: 101:18666

TITLE: The effect of aluminum on the metabolism of embryonic chick bone in tissue culture

AUTHOR(S): Miyahara, Tatsuro; Hayashi, Miyuki; Kozuka, Hiroshi

CORPORATE SOURCE: Fac. Pharm. Sci., Toyama Med. Pharm. Univ., Toyama, 930-01, Japan
SOURCE: Toxicology Letters (*1984*), 21(2), 237-40
CODEN: TOLED5; ISSN: 0378-4274
DOCUMENT TYPE: Journal
LANGUAGE: English

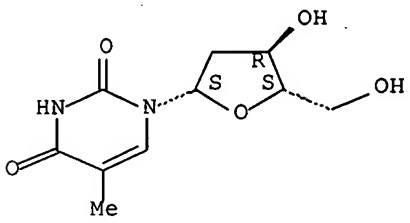
AB The effect of Al on bone metabolism was assessed in organ cultures of embryonic chick bone. Al (≥10-4M) caused an inhibitory effect on mineralization without inhibiting matrix formation and a stimulative effect on demineralization without stimulating matrix degradation Thus, Al influenced the mineral metabolism of bone.

IT *3424-98-4*
RL: BIOL (Biological study)
(incorporation of, in bone of embryo, aluminum effect on)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 60 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1981:157178 HCAPLUS Full-text
DOCUMENT NUMBER: 94:157178
TITLE: Preparation, antibacterial effects and enzymic degradation of 5-fluorouracil nucleosides
AUTHOR(S): Schwarz, Beatrice; Cech, Dieter; Holy, Antonin; Skoda, Jan
CORPORATE SOURCE: Sekt. Chem., Humboldt Univ. Berlin, Berlin, Ger. Dem. Rep.
SOURCE: Collection of Czechoslovak Chemical Communications (*1980*), 45(11), 3217-30
CODEN: CCCCAK; ISSN: 0366-547X
DOCUMENT TYPE: Journal
LANGUAGE: English

AB 5-Fluorouracil nucleosides of 15 aldopentofuranoses, and 1-(S)-(2,3-dihydroxypropyl)-5-fluorouracil were prepared by fluorination of the perbenzoylated nucleosides with F in AcOH followed by debenzoylation, and used in the study of the in vitro cleavage by the cell-free extract from Escherichia coli and of antibacterial effect on E. coli. 1-Allyl-5-fluorouracil was prepared from CH2:CHCH2Br and 2,4-bis(trimethylsilyloxy)-5-fluoropyrimidine and also tested. The cell exts. cleaved 5-fluorouracil only from the nucleosides with R-configuration of the nucleoside C atom and trans-position of 3'-OH of furanose to the base, i.e. from β-D-ribofuranoside, 2-deoxy-β-D-ribofuranoside, 5-deoxy-β-D-ribofuranoside, β-D-arabinofuranoside, α-L-lyxofuranoside, and 2-deoxy-α-L-lyxofuranoside, which also exhibited antibacterial activity (ID50 4 + 10-5- 2.5 + 10-7M). The antibacterial

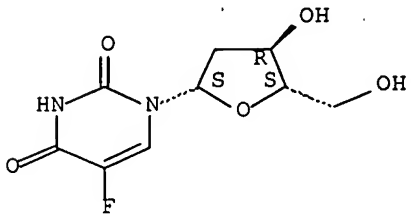
activity of uncleavable 1-(2-deoxy-β-L-ribofuranosyl)-, 1-(2-deoxy-α-D-ribofuranosyl)-, and 1-(2-deoxy-α-D- lyxofuranosyl)-5-fluorouracil (ID50 1.0-2.5 + 10-5M), which can be reversed by 2'-deoxyuridine but not by thymidine, was explained by enzymic transdeoxyribosylation leading to cleavable 5-fluoro-2'-deoxyuridine.

IT *77180-78-0P*
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antibacterial activity of)

RN 77180-78-0 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L71 ANSWER 61 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1980:198691 HCAPLUS Full-text
Correction of: 1979:23556

DOCUMENT NUMBER: 92:198691
Correction of: 90:23556

TITLE: 2'-Deoxy-L-uridine. Total synthesis of a uracil 2'-deoxynucleoside from a sugar 2-aminooxazoline through a 2,2'-anhydronucleoside intermediate

AUTHOR(S): Holy, Antonin

CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, 166 10/6, Czech.

SOURCE: Nucleic Acid Chem. (*1978*), Volume 1, 347-53.
Editor(s): Townsend, Leroy B.; Tipson, R. Stuart.
Wiley: New York, N. Y.
CODEN: 39GCA6

DOCUMENT TYPE: Conference

LANGUAGE: English

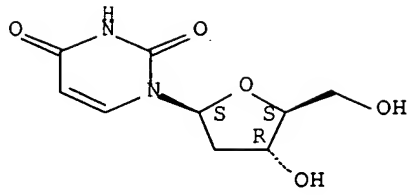
AB Oxazoline I, prepared in 70-7% yield by the reaction of L-arabinose with cyanamide, was refluxed with Et 1-propynoate in 50% aqueous EtOH to give 66-71% anhydrouridine II (R = H), which was benzoylated and the resultant II (R = Bz) (91-7% yield) was heated with HCl in DMF to give 81-7% III (R = Bz, R1 = Cl) (IV). Reductive dehalogenation of IV gave 92-9% II (R = Bz, R1 = H) which on debenzoylation gave 79-84% deoxyuridine (III, R = R1 = H).

IT *31501-19-6P*
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 31501-19-6 HCAPLUS

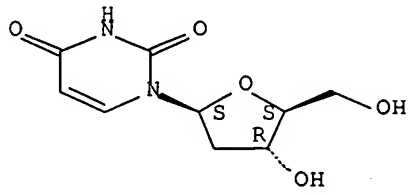
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 62 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1979:23556 HCAPLUS Full-text
DOCUMENT NUMBER: 90:23556
TITLE: 2'-Deoxy-L-uridine: Total synthesis of a uracil 2'-deoxynucleoside from a sugar 2-aminooxazoline through a 2,2'-anhydronucleoside intermediate
AUTHOR(S): Holy, Antonin
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, Czech.
SOURCE: Nucleic Acid Chem. (*1978*), Volume 1, 347-53. Editor(s): Townsend, Leroy B.; Tipson, R. Stuart. Wiley: New York, N. Y. CODEN: 39GCA6
DOCUMENT TYPE: Conference
LANGUAGE: English
AB Treatment of L-arabinose with cyanamide give I, which on cyclocondensation with HC.tplbond.CCO2Et gave the anhydronucleoside II. Benzoylation of II followed by ring cleavage using HCl gave 3',5'-di-O-benzoyl-2'-chloro-2'-deoxy-L-uridine, which on dechlorination and debenzoylation gave 2'-deoxy-L-uridine.
IT ***31501-19-6P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 63 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1976:538013 HCAPLUS Full-text
DOCUMENT NUMBER: 85:138013
TITLE: Metabolism of pyrimidine L-nucleosides
AUTHOR(S): Jurovcik, M.; Holy, A.
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, Czech.

SOURCE: Nucleic Acids Research (*1976*), 3(8), 2143-54
CODEN: NARHAD; ISSN: 0305-1048
DOCUMENT TYPE: Journal
LANGUAGE: English

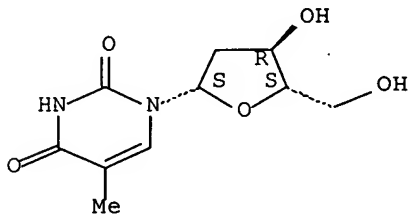
AB L-uridine [26287-69-4], L-cytidine [26524-60-7], and L-thymidine [*3424-98-4*] administered i.p. to mice were largely excreted in urine in an unchanged form, but residual levels in various tissues suggested in vivo metabolic transformations of the applied L-nucleosides. The nucleosides were phosphorylated to 5'-nucleotide derivs., L-uridine derivs. were aminated, and L-cytidine derivs. were deaminated. The phosphorylation was catalyzed by a nucleoside kinase, utilizing ATP but not glycerol 1-phosphate or creatine phosphate as the phosphate donor.

IT *3424-98-4*
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(metabolism of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 64 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1973:84716 HCAPLUS Full-text
DOCUMENT NUMBER: 78:84716
TITLE: Nucleic acid components and their analogs. CLIII. Preparation of 2'-deoxy-L-ribonucleosides of the pyrimidine series
AUTHOR(S): Holy, Antonin
CORPORATE SOURCE: Cesk. Akad. Ved, Prague, Czech.
SOURCE: Collection of Czechoslovak Chemical Communications (*1972*), 37(12), 4072-87
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English

AB L-Arabinose and H2NCN stirred in MeOH and NH4OH gave 2-amino-β-L-arabinofurano[1',2':4,5]oxazoline which was refluxed with MeC.tplbond.CCO2Me in aqueous EtOH to give O2,2'-anhydro-L-uridine (I). Benzoylation of I with BzCN in DMF and Et3N gave 3',5'-dibenzoate (II). Alkaline hydrolysis of I gave 1-(β-L-arabinofuranosyl)uracil. II and HCl/DMF heated at 100° gave 3',5'-di-O-benzoyl-2'-chloro-2'-deoxy-L- uridine (III). II and LiI in HCl/DMF at 100° gave 3',5'-di-O-benzoyl-2'-iodo-2'-deoxy-L-uridine (IV), 1-(3,5-di-O-benzoyl-2- iodo-2-deoxy-β-L-arabinofuranosyl)uracil (V), 3',5'-di-O-benzoyl-L-uridine, and 1-(3,5-di-O-benzoyl-β-L-arabinofuranosyl)uracil. Reaction of III, IV or V with Bu3SnH in C6H6 in the presence of azabisisobutyronitrile gave 2'-deoxy-L-uridine (VI) 3',5'-dibenzoate (VII). VII and NaOMe/MeOH gave VI. VII and P2S5 in refluxing dioxane gave 3',5'-di-O-benzoyl-2'-deoxy-4-thio-L-

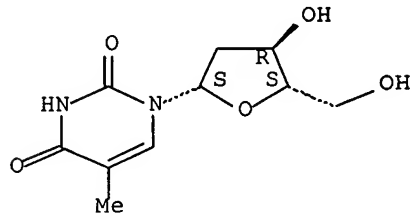
uridine which in NH3/MeOH at 100° (autoclave) yielded 2'-deoxy-L-cytidine. Reaction of VI with HCHO in aqueous KOH, etherification with EtOH in the presence of concentrated aqueous HCl, and hydrogenation over Pd/C in EtOH and a small amount of concentrated aqueous HCl gave 2'-deoxy-L-thymidine. Bromination of VI gave 2'-deoxy-5-bromo-L-uridine. 5-Methyluridine, (PhO)2CO, NaHCO3, and DMF heated at 150° gave O2,2'-anhydro-5-methyluridine which was converted with BzCN in DMF and Et3N to 3',5'-di-O-benzoyl-O2,2'-anhydro-5-methyluridine. Reaction of this compound with LiI and reduction with Bu3SnH gave 3',5'-di-O-benzoyl-2'-deoxythymidine.

IT ***3424-98-4P 31501-19-6P 40093-94-5P 40093-97-8P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

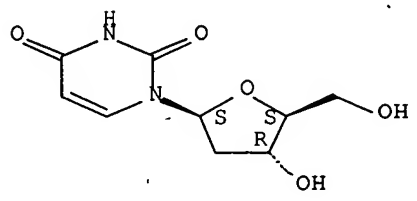
Absolute stereochemistry. Rotation (+).



RN 31501-19-6 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

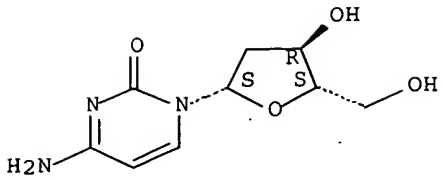
Absolute stereochemistry.



RN 40093-94-5 HCAPLUS

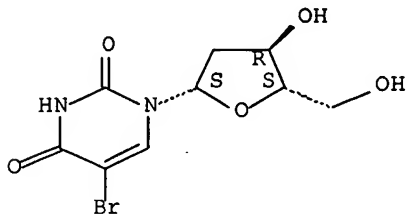
CN 2(1H)-Pyrimidinone, 4-amino-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 40093-97-8 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 5-bromo-1-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



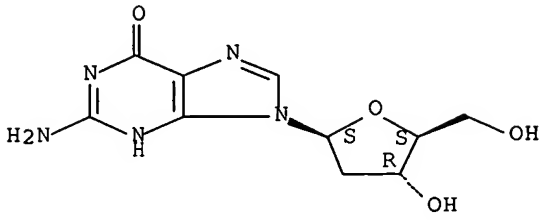
L71 ANSWER 65 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:125984 HCAPLUS Full-text
DOCUMENT NUMBER: 74:125984
TITLE: Circular dichroism of nucleoside derivatives. X. Influence of solvents and substituents upon the Cotton effects of guanosine derivatives
AUTHOR(S): Eyring, Henry; Miles, Daniel W.; Townsend, Leroy B.; Robins, Morris J.; Robins, Roland K.; Inskeep, Warren H.
CORPORATE SOURCE: Dep. Chem., Univ. Utah, Salt Lake City, UT, USA
SOURCE: Journal of the American Chemical Society (*1971*), 93(7), 1600-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The effects of certain substituents and solvents on the CD spectra are reported for guanine nucleoside derivs. from 320 to 200 nm. Both theoretical and empirical anal. of the data suggest that the anti conformation predominates in aqueous solution, but that the syn conformation is preferred in alc. solvents, at low pH in water, and when the heterocycle carries a large substituent on C-8 of the imidazole ring. Theoretical optical calcns. based on the bond-bond coupled oscillator theory are included to check the validity of the theory with exptl. data. The interaction of guanine nucleoside derivs. with actinomycin is also reported and the data suggest that the anti conformation is necessary for complex formation.

IT *22837-44-1*
RL: PRP (Properties)
(Cotton effect of)

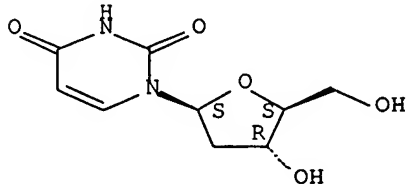
RN 22837-44-1 HCAPLUS
CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 66 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1971:100338 HCAPLUS Full-text
DOCUMENT NUMBER: 74:100338
TITLE: Synthesis of 2'-deoxy-L-uridine
AUTHOR(S): Holy, Antonin
CORPORATE SOURCE: Inst. Org. Chem. Biochem., Czech. Acad. Sci., Prague, Czech.
SOURCE: Tetrahedron Letters (*1971*), (2), 189-92
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: English
AB 2'-Deoxy-L-uridine (I) was prepared from L-arabinose in 6 steps. Thus, L-arabinose was treated with cyanamide, followed by Me propiolate to give 2,2'-anhydro-(1-β-L-arabinofuranosyl)uracil, which was 3',5'-di-o-benzoylated, and treated with LiI to give 3',5'-di-o-benzoyl-2'-deoxy-2'-iodo-L-uridine and its 1-(β-L-arabinofuranosyl)-uracil isomer. Reduction with Bu3SnH and debenzoylation gave I.
IT ***31501-19-6P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)
RN 31501-19-6 HCAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 67 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1970:111764 HCAPLUS Full-text
DOCUMENT NUMBER: 72:111764
TITLE: Purine nucleosides. XXIX. Synthesis of 21-deoxy-L-adenosine and 21-deoxy-L-guanosine and their α anomers

AUTHOR(S): Robins, Morris J.; Khwaja, Tasneem A.; Robins, Roland K.

CORPORATE SOURCE: Dep. of Chem., Univ. of Utah, Salt Lake City, UT, USA

SOURCE: Journal of Organic Chemistry (*1970*), 35(3), 636-9
CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: English

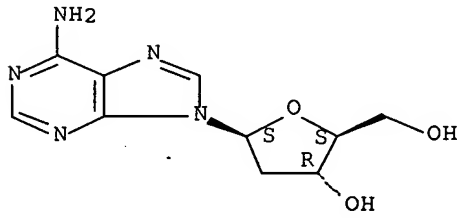
AB The synthesis of 6-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)purine (2'-deoxy-L-adenosine) (I) and its α anomer (II) was accomplished by the first reported fusion of a Me 2-deoxy glycoside.° Fusion of Me 3,5-di-O-p-toluyl-2-deoxy-L-erythro-pentofuranoside (III) and 2,6-dichloropurine (IV) gave 2,6-dichloro-9-(3,5-di-O-p-toluyl-2-deoxy- α- and β-L-erythro-pentofuranosyl)purines (V and VI, resp.). Selective amination at position 6 with concurrent de-blocking, followed b y hydrogenolysis of the 2-chloro function, gave the desired L enantiomers II and I. The α and β anomers of III were separated and individually fused with IV. The α anomer gave higher total yields of nucleosides (V plus VI) and gave a higher proportion of β-nucleoside VI. Fusion of 1-O-acetyl-3,5-di-O-p-toluyl-2-deoxy-L- erythro-pentofuranose and 2-fluoro-6-benzyloxypurine followed by treatment with alc. ammonia and then hydrogenolysis of the 6-benzyloxy group gave 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)purin-6-one (2'-deoxy-L-guanosine) and its α anomer. These 2'-deoxynucleosides obey Hudson's isorotation rule and the "triplet"-"quartet" 1H NMR anomeric proton splitting patterns for β and α anomers, resp.

IT ***14365-45-8P 22837-44-1P***
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 14365-45-8 HCAPLUS

CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

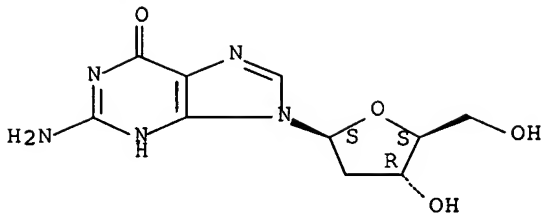
Absolute stereochemistry. Rotation (+).



RN 22837-44-1 HCAPLUS

CN 6H-Purin-6-one, 2-amino-9-(2-deoxy-β-L-erythro-pentofuranosyl)-1,9-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

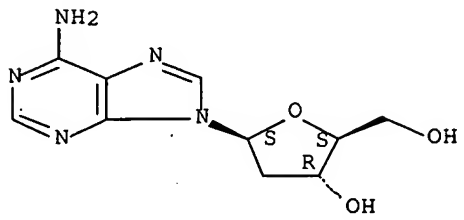


L71 ANSWER 68 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1968:76681 HCAPLUS Full-text
DOCUMENT NUMBER: 68:76681
TITLE: Inhibition of the synthesis of 5-phosphoribosyl-1-pyrophosphate by 3'-deoxyadenosine and structurally related nucleoside analogs
AUTHOR(S): Tyrsted, Gerda; Sartorelli, Alan C.
CORPORATE SOURCE: Yale Univ. Sch. of Med., New Haven, CT, USA
SOURCE: Biochimica et Biophysica Acta, Nucleic Acids and Protein Synthesis (*1968*), 155(2), 619-22
CODEN: BBNPAS; ISSN: 0005-2787
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Inhibition of the synthesis of 5-phosphoribosyl-1-pyrophosphate (I) from glucose by 3'-deoxyadenosine (II) was investigated in L5178Y lymphoma ascites cells propagated in BDF1 mice. In the presence of 11.1 mM glucose, the lymphoma cells increased the content of I .apprx.6-fold in 10 min. Addition of 0.28 mM II inhibited markedly the synthesis of I, causing a decrease in rate of .apprx.70%. 2',3'-Dideoxyadenosine, 2',3'-dideoxydidehydroadenosine, and 2',5'-dideoxyadenosine also inhibited the synthesis of I. The findings with the last compound suggested that the formation of a 5'-nucleotide is not essential for inhibition; however, in this regard 2',3',5'-trideoxyadenosine, also incapable of being directly phosphorylated, was not active. These results indicated the requirement of an OH group on the substituent in position 9 of the purine ring for inhibitory activity. 2'-Deoxy-β-L-adenosine, the 9-substituted cyclopentyl derivs. of adenine, cis-2-[9-(6-aminopurinyl)]cyclopentanol, and 6-amino-9-cyclopentylpurine caused only slight or marginal decreases in cellular content of I. The inhibitory effects evidently reflect a blockade of the enzyme ribosephosphate phosphokinase.

IT *14365-45-8*
RL: BIOL (Biological study)
(inhibition of 5-phosphoribosyl-1-pyrophosphate formation by, in lymphoblastoma)
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

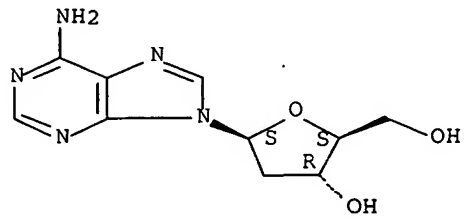


L71 ANSWER 69 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:470707 HCAPLUS Full-text
DOCUMENT NUMBER: 67:70707
TITLE: Role of the 5'-hydroxyl group of adenosine in determining substrate specificity for adenosine deaminase
AUTHOR(S): Bloch, Alexander; Robins, Morris J.; McCarthy, James R., Jr.
CORPORATE SOURCE: Roswell Park Mem. Inst., Buffalo, NY, USA
SOURCE: Journal of Medicinal Chemistry (*1967*), 10(5), 908-12
CODEN: JMCMAR; ISSN: 0022-2623
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The relation between structural alterations in the carbohydrate moiety of adenosine and the resulting changes in substrate activity was examined with adenosine deaminase. Of the 43 analogs studied, 16 were deaminated, all of them at slower rates than the natural substrate. With the exception of adenosine 2'- or 3'-monophosphate, modifications at the 2' or 3' positions, including the simultaneous removal of the 2'-and 3'-hydroxyl groups or changes in their steric configuration, did not abolish substrate activity. Replacement of the bridge O with S allowed deamination, but modifications at the 1' position prevented it. Replacement or substitution of the 5'-hydroxyl group with a variety of other groups, or removal of the 4'-hydroxymethyl group, invariably led to loss of substrate activity. Very low activity was retained when an amino group replaced the 5'-hydroxyl group, or when, in the absence of the 5'-hydroxyl, an hydroxyl group was present at carbon 3' in configuration cis to the base moiety. These data show that the 2'- or 3'-hydroxyl groups of adenosine are not required for substrate activity, but that the 5'-hydroxyl group is essential for binding to the enzyme unless its function can be assumed to a very limited extent by an amino or possibly other hydrogen-bonding groups, or by an hydroxyl group at the 3' position cis to the base. The implication of these observations for the design of adenosine analogs of interest in chemotherapy is discussed.

IT *14365-45-8*
RL: BIOL (Biological study)
(as adenosine deaminase substrate)
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

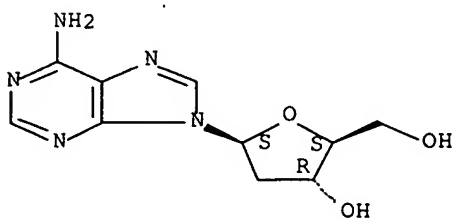


L71 ANSWER 70 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1967:441230 HCAPLUS Full-text
DOCUMENT NUMBER: 67:41230
TITLE: Inhibition of the steroid-induced synthesis of Δ6-3-keto steroid isomerase in Pseudomonas testosteroni by a new purine deoxyribonucleoside analog. 6-Chloro-8-aza-9-cyclo-pentylpurine
AUTHOR(S): Zedeck, Morris S.; Sartorelli, Alan C.; Chang, Pauline K.; Raska, Karel, Jr.; Robins, Roland K.; Welch, Arnold D.
CORPORATE SOURCE: Sch. of Med., Yale Univ., New Haven, CT, USA
SOURCE: Molecular Physics (*1967*), 3(4), 386-95
CODEN: MOPHAM; ISSN: 0026-8976
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Analogs of 2'-deoxyadenosine (2'-AdR) were used to determine the structural requirements for inhibition of the steroid-induced synthesis of Δ5-3-keto steroid isomerase in P. testosteroni. Compds. more active than 2'-AdR included 4-aminopyrazolo[3,4-d]pyrimidine-2'- deoxyribonucleoside and 6-chloro-9-cyclopentylpurine. The data obtained with many compds. indicate that: (a) substitution of the amino group of adenine with chlorine enhances activity, (b) replacement of C with N in position 8 of the purine ring increases activity, (c) replacement of deoxyribose in position 9 of 2'-AdR with substituents containing a 2'-hydroxyl (as in adenosine, 3'-AdR, and 9-(2'-hydroxycyclopentyl)adenine) causes a loss of activity, while nonhydroxyl-containing substituents (as in 2',3',5'-trideoxyadenosine and 9-cyclopentyladenine) retain activity (thus, direct phosphorylation of the analogs is not prerequisite to inhibitory activity), and (d) unnatural derivs. of 2'-AdR containing either L-deoxyribose in β-configuration or D-deoxyribose in α-configuration are inactive. These findings were used to guide the design and synthesis of 6-chloro-8-aza-9-cyclopentylpurine (689). This compound, which cannot be phosphorylated directly, markedly inhibited the synthesis of induced enzymes in P. testosteroni at a concentration (0.3 mM) that was significantly less inhibitory to the synthesis of total protein and to the incorporation into protein of L-leucine-1-14C. The inhibition of Δ5-3-keto steroid isomerase activity was not attributable either to prevention of uptake of the inducer or to direct inhibition of enzyme activity. These data suggest that 689 inhibits relatively selectively a process critical involved in the inductive synthesis in P. testosteroni of Δ5-3-keto steroid isomerase. 24 references.

IT ***14365-45-8***
RL: BIOL (Biological study)
(steroid Δ-isomerase induction inhibition by)
RN 14365-45-8 HCAPLUS
CN 9H-Purin-6-amine, 9-(2-deoxy-β-L-erythro-pentofuranosyl)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



L71 ANSWER 71 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:91297 HCAPLUS Full-text
DOCUMENT NUMBER: 62:91297
ORIGINAL REFERENCE NO.: 62:16362g-h,16363a-e,16364a
TITLE: Preparation of fluoropyrimidine nucleosides
PATENT ASSIGNEE(S): F. Hoffmann-La Roche & Co. A.-G.
SOURCE: 15 pp.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE	
NL 6404761		19641103	NL		<--
PRIORITY APPLN. INFO.:			US	19630502	

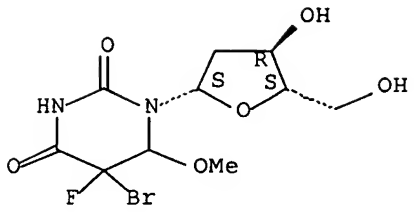
AB The title compds. (Ia-c) interfere with the nucleic acid metabolism and are thus useful in inhibiting the cell-growth in microorganisms and tumors. I can be obtained by the reaction of R2OX (X = halogen), which can be formed in situ, with IIa-c. This reaction is best effected in the absence of free HX, and thus an Ag-salt can be added to the reaction medium. I (R1 = H) can be obtained from I (R1 = halogen) by catalytic hydrogenolysis. Thus, to a solution of 41.6 g. Br in 960 ml. MeOH at -5° is added 143.2 g. Ag2CO3 and the mixture stirred 30 min. The obtained MeOBr solution is added to an ice-cold mixture of 50 g. IIc (R3 = H, R = OH) in 750 ml. MeOH, the mixture is stirred 1 hr. at 2°, and a further 45 g. Ag2CO3 added; the stirring is continued 1 hr. The slightly yellow solution is filtered and evaporated in vacuo to 400 ml., filtered over Celite and evaporated to a sirup, which is taken up in 100 ml. Et2O to give 30.5 g. Ic (R = OH, R1 = Br, R2 = Me, R3 = H) (III), m. 151-2°, [α]22D 52.6° (MeOH). Addition of 110 ml. Et2O to the mother liquors gives a precipitate of 24.5 g., m. 112-15°, [α]22D 22.2°. The 1st fraction is recrystd. from BuOAc to give 24.66 g. pure D-III (m. 166.5-7.5°); the 2nd fraction recrystd. from EtOAc-petr. ether-BuOAc gives 6.2 g. D-III (total yield 42.2%, [α]22D 58.7° (c 4, MeOH)). The mother liquor of the 1st fraction evaporated to dryness, taken up in H2O and lyophilized yields 15 g. L-III, [α]27D -9.9° (c 1, H2O). A solution of 6.5 g. D-III in 115 ml. H2O and 1.55 g. NaOAc and 0.85 g. of a 10% hydrogenated Pd-C catalyst is hydrogenated 30 min., until 454 ml. H is absorbed. The solution is filtered, lyophilized, taken up in 76 ml. H2O, the pH adjusted to 6.0 (NH4OH) and chromatographed on a Dowex 1-+ 4 column to give finally 2.58 g. D-Ic (R = OH, R1 = R3 = H, R2 = Me) (IV), m. 136.5-8.5° (dioxane, BuOAc), [α]24D 44.5° (c 1, MeOH). Likewise, a solution of 5 g. L-III in 20 ml. MeOH, 10 ml. H2O, and 20 ml. 5% NaOAc is hydrogenated over 0.25 g. 10% Pd-C catalyst; working up and chromatography on Dowex 50-X8, gives 1.89 g. crude L-IV ([α]25D -1.24° (c 2.76, H2O)). The tabulated I are similarly obtained.

IT *3180-60-7*, Hydrouracil, 5-bromo-1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro-6-methoxy- *3180-72-1*, Hydrouracil, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro-6-methoxy- (preparation of)

RN 3180-60-7 HCAPLUS

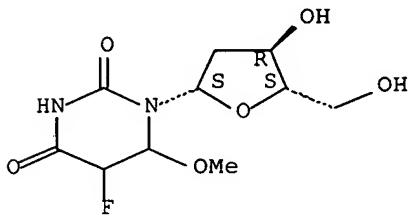
CN Hydrouracil, 5-bromo-1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro-6-methoxy- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 3180-72-1 HCAPLUS
CN Hydrouracil, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-fluoro-6-methoxy- (7CI, 8CI) (CA INDEX NAME)

Absolute stereochemistry.



L71 ANSWER 72 OF 72 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1965:3279 HCAPLUS Full-text
DOCUMENT NUMBER: 62:3279
ORIGINAL REFERENCE NO.: 62:625d-g
TITLE: Nucleic acids components and their analogs. LII. Preparation of 1-(2'-deoxy-β-L-ribofuranosyl)thymine, "L-thymidine."
AUTHOR(S): Smejkal, J.; Sorm, F.
SOURCE: Collection of Czechoslovak Chemical Communications (*1964*), 29(11), 2809-13
CODEN: CCCCAK; ISSN: 0010-0765
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 62:3279

AB Tetra-O-acetyl-α-L-arabinopyranose, m. 90°, obtained in 200-g. yield by adding portionwise 400 g. L-arabinose to a mixture of 2000 ml. Ac2O and 200 mg. fused AcONa heated to 80°, heating with stirring 30 min. to 100°, evaporating in vacuo, and pouring on ice, was dissolved (20 g.) at 0° in 40 ml. AcOH containing 28% HBr, the mixture kept at 0° 2 hrs., and the crystalline tri-O-acetyl-β-L- arabinopyranosyl bromide, m. 135°, precipitated with Et2O, which (80 g.) was converted into di-O-acetyl-L-arabinal (I) (40.5 g.), [α]20D -228.5° (c 0.205, CHCl3). Refluxing 11 g. I with HCl in C6H6-absolute MeOH containing dry Ag2CO3 in analogy with the procedure of Vargha and Kuszmann (CA 59, 7623d) gave a mixture of Me 3,4-di-O-acetyl-2-deoxy-L- ribosides, which was deacetylated with 0.1N NaOMe in absolute MeOH 2 hrs. at 0°, neutralized on Dowex-50(H+), and hydrolyzed with BzOH to yield sirupy 2-deoxy-L-ribose (II), purified via its anilide, m. 170° (5.2 g.). The sirupy II was converted by the method of Hoffer (CA 55, 5519b) to a mixture of anomeric Me 2-deoxy-3,5-di-O-p-toluoyl-L-ribosides, the product chromatographed on Al2O3 in C6H6 and

silica-gel in C6H6 containing 2% EtOAc, and the purified material (1.5 g.) stirred at 100° with 25 ml. dioxane, 10 ml. H2O, and 1 ml. HCl 15 min., neutralized and evaporated to give 1.09 g. 2-deoxy-3, 5-di-O-p-toluoyl-L-ribose which was directly acetylated (0.55 g.) with 0.2 ml. Ac2O 24 hrs. at 0° in 3 ml. pyridine to yield 0.46 g. sirupy 1-O-acetyl-2-deoxy-3,5-di-O-p-toluoyl-L- ribose (III). A solution of 100 mg. III in 4 ml. absolute Et2O was saturated at 0° with dry HCl and the mixture kept 10 min. to give 80 mg. 2-deoxy-3, 5-di-O-p-toluoyl-L-ribofuranosyl chloride (IV), m. 109° (C6H6), [α]20D--50.6° (c 0.180, CHCl3). A solution of 3.2 g. IV in 150 ml. PhMe was added dropwise in 45 min. at 105-10° to a vigorously stirred suspension of 1.3 g. thyminylmercury and 250 mg. CdCO3 in 250 ml. dry PhMe (Hoffer, et al., CA 54, 3443c) and the mixture refluxed 90 min., filtered, and diluted with 4 vols. petr. ether to give 400 mg. 2'-deoxy-1-(3',5'-di-O-p-toluoyl-β-L-ribofuranosyl)thymine, m. 197° (Et2O-C6H6), [α]20D 85.5° (c 0.062, CHCl3), yielding on deacetylation with 60 ml. 0.1N NaOMe in MeOH overnight, neutralization with Dowex-50(H+), and evaporation in vacuo, the title compound, m. 186° (EtOH), [α]20D --20.3° (c 0.192, H2O).

IT ***3424-98-4***, Thymine, 1-(2-deoxy-β-L-erythro-pentofuranosyl)- (preparation of)

RN 3424-98-4 HCAPLUS

CN 2,4(1H,3H)-Pyrimidinedione, 1-(2-deoxy-β-L-erythro-pentofuranosyl)-5-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).